

 **PALM INTRANET**Day : Wednesday  
Date: 4/12/2006  
Time: 15:18:03

## Inventor Information for 10/031478

Inventor Name	City	State/Country
BARNHAM, KEVIN JEFFREY	WEST BRUNSWICK	AUSTRALIA
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MATTHEWS, BARRY ROSS	OLINDA	AUSTRALIA
CHERNY, ROBERT ALAN	BRIGHTON EAST	AUSTRALIA

[Appln Info](#)[Contents](#)[Petition Info](#)[Atty/Agent Info](#)[Continuity Data](#)[Foreign Data](#)[Inventor](#)Search Another: Application#   or Patent#  PCT /  /   or PG PUBS #  Attorney Docket #  Bar Code #  

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## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L5	2	"6323218".pn.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/04/12 15:21
L6	54395	Alzheimer\$	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/04/12 15:21
L7	13503	amyloid\$	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/04/12 15:22
L8	9352	l6 and l7	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/04/12 15:22
L9	1150	l8 (chelator or chelation)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/04/12 15:22
L10	15	l9 and (chelation adj therapy)	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/04/12 15:22
S1	1	wo-200107442-\$.did.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/04/12 12:22
S2	1	2001-168531.NRAN.	DERWENT	AND	ON	2006/04/11 20:11
S3	2	wo-9628471-\$.did.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	AND	ON	2006/04/12 14:48
S4	1	1996-433762.NRAN.	DERWENT	AND	ON	2006/04/12 12:28

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FILE 'REGISTRY' ENTERED AT 09:07:55 ON 12 APR 2006  
E BR7158/CN

L1 FILE 'HCAPLUS' ENTERED AT 09:08:25 ON 12 APR 2006  
0 SEA PLU=ON BR7158

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L3 FILE 'REGISTRY' ENTERED AT 09:09:19 ON 12 APR 2006  
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L4 131 SEA PLU=ON LVFFA^/SQSP  
L5 98 SEA PLU=ON LVFFA^/SQEP

L6 FILE 'HCAPLUS, USPATFULL, USPAT2' ENTERED AT 09:11:08 ON 12 APR 2006  
47 SEA PLU=ON L5  
L7 38 DUP REM L6 (9 DUPLICATES REMOVED)  
ANSWERS '1-22' FROM FILE HCAPLUS  
ANSWERS '23-38' FROM FILE USPATFULL  
L8 22 SEA PLU=ON L7 AND (PD<20000721 OR PRD<20000721)  
L9 10 SEA PLU=ON L8 AND PD<19990721  
D L9 1-10 IBIB ABS HITSTR  
L10 12 SEA PLU=ON L8 NOT L9  
D L10 1-12 IBIB ABS HITSTR  
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1 SEA PLU=ON 321913-17-1/RN  
D L11 SQIDE TOTAL

FILE 'HCAPLUS, USPATFULL, USPAT2' ENTERED AT 09:17:00 ON 12 APR 2006

FILE HOME

FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 10 APR 2006 HIGHEST RN 879997-63-4  
DICTIONARY FILE UPDATES: 10 APR 2006 HIGHEST RN 879997-63-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

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\*  
\* The CA roles and document type information have been removed from \*  
\* the IDE default display format and the ED field has been added, \*  
\* effective March 20, 2005. A new display format, IDERL, is now \*

\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

#### FILE HCAPLUS

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FILE COVERS 1907 - 12 Apr 2006 VOL 144 ISS 16  
FILE LAST UPDATED: 11 Apr 2006 (20060411/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

#### FILE USPATFULL

FILE COVERS 1971 TO PATENT PUBLICATION DATE: 6 Apr 2006 (20060406/PD)  
FILE LAST UPDATED: 6 Apr 2006 (20060406/ED)  
CA INDEXING IS CURRENT THROUGH 6 Apr 2006 (20060406/UPCA)  
ISSUE CLASS FIELDS (/INCL) CURRENT THROUGH: 6 Apr 2006 (20060406/PD)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2006

#### FILE USPAT2

FILE COVERS 2001 TO PUBLICATION DATE: 11 Apr 2006 (20060411/PD)  
FILE LAST UPDATED: 11 Apr 2006 (20060411/ED)  
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REVISED CLASS FIELDS (/NCL) LAST RELOADED: Feb 2006

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=> d que sta l10

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L8 22 SEA L7 AND (PD<20000721 OR PRD<20000721)  
L9 10 SEA L8 AND PD<19990721  
L10 12 SEA L8 NOT L9



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L9 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:844884 HCAPLUS  
 DOCUMENT NUMBER: 136:665  
 TITLE: Modified peptide modulators of amyloid aggregation  
 INVENTOR(S): Findeis, Mark A.; Benjamin, Howard; Garnick, Marc B.;  
 Gefter, Malcolm L.; Hundal, Arvind; Kasman, Laura;  
 Musso, Gary; Signer, Ethan R.; Wakefield, James; Reed,  
 Michael J.  
 PATENT ASSIGNEE(S): Praecis Pharmaceuticals Incorporated, USA  
 SOURCE: U.S., 54 pp., Cont.-in-part of U.S. Ser. No. 548,998,  
 abandoned.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6319498	B1	20011120	US 1996-617267	19960314 <--
US 5817626	A	19981006	US 1995-404831	19950314 <--
US 5854215	A	19981229	US 1995-475579	19950607 <--
AU 759036	B2	20030403	AU 2000-35389	20000519 <--
US 2002098173	A1	20020725	US 2001-972475	20011004 <--
AU 769915	B2	20040212	AU 2002-15539	20020211 <--
US 2004005307	A1	20040108	US 2003-463729	20030617 <--
AU 2003208150	A1	20030807	AU 2003-208150	20030703 <--
AU 2004202014	A1	20040610	AU 2004-202014	20040512 <--
PRIORITY APPLN. INFO.:			US 1995-404831	A2 19950314 <--
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			US 1996-617267	A1 19960314 <--
			AU 1997-42387	A3 19970827 <--
			AU 2000-35389	A3 20000519 <--
			US 2001-972475	A1 20011004
			AU 2002-15539	A3 20020211

OTHER SOURCE(S): MARPAT 136:665

AB Compds. that modulate the aggregation of amyloidogenic proteins or peptides are disclosed. The modulators of the invention can promote amyloid aggregation or, more preferably, can inhibit natural amyloid aggregation. In a preferred embodiment, the compds. modulate the aggregation of natural  $\beta$  amyloid peptides ( $\beta$ -AP). In a preferred embodiment, the  $\beta$  amyloid modulator compds. are comprised of an A $\beta$  aggregation core domain and a modifying group coupled thereto such that the compound alters the aggregation or inhibits the neurotoxicity of natural  $\beta$  amyloid peptides when contacted with the peptides. Furthermore, the modulators are capable of altering natural  $\beta$ -AP aggregation when the natural  $\beta$ -APs are in a molar excess amount relative to the modulators. Pharmaceutical compns. comprising the compds. of the invention, and diagnostic and treatment methods for amyloidogenic diseases using the compds. of the invention, are also disclosed.

IT 182912-78-3 182912-78-3D, N-terminal cholyl derivs.  
 183746-77-2 183746-77-2D, N-terminal cholyl derivs.  
 183746-96-5 204333-52-8D, N-terminal cholyl derivs.  
 321913-13-7D, N-terminal cholyl derivs. 365537-66-2D,  
 N-terminal cholyl derivs.

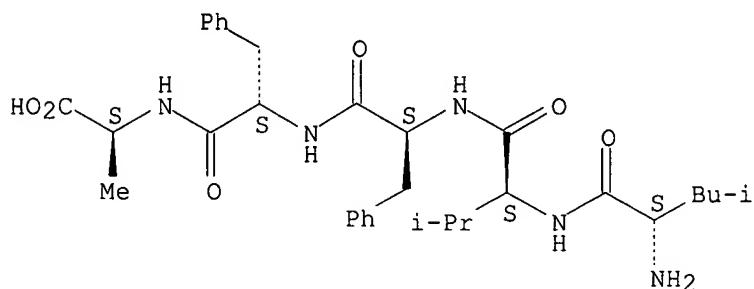
RL: BSU (Biological study, unclassified); PRP (Properties); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)  
(modified peptide modulators of amyloid aggregation)

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INDEX NAME)

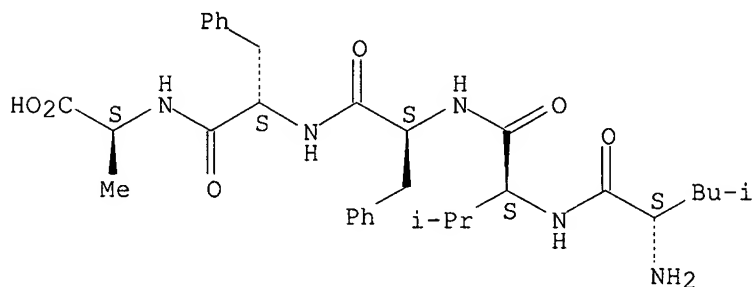
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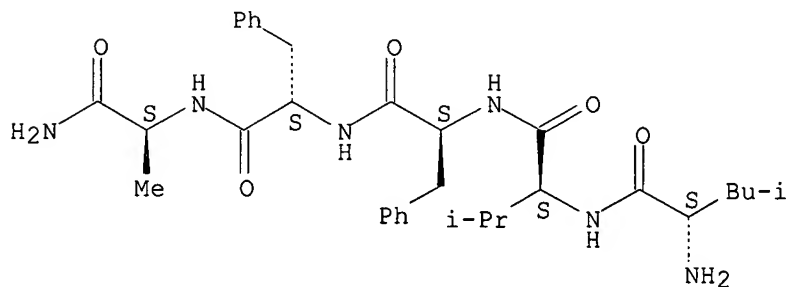
Absolute stereochemistry.



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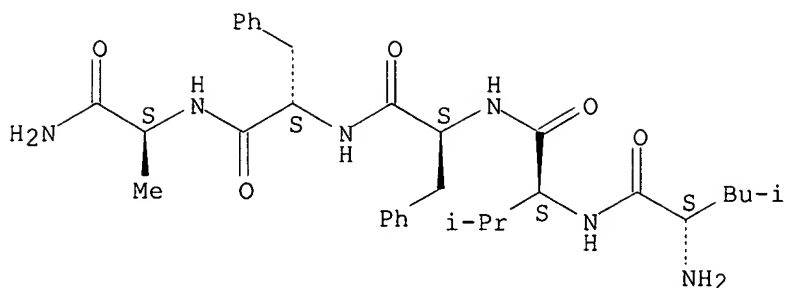
Absolute stereochemistry.



RN 183746-77-2 HCAPLUS

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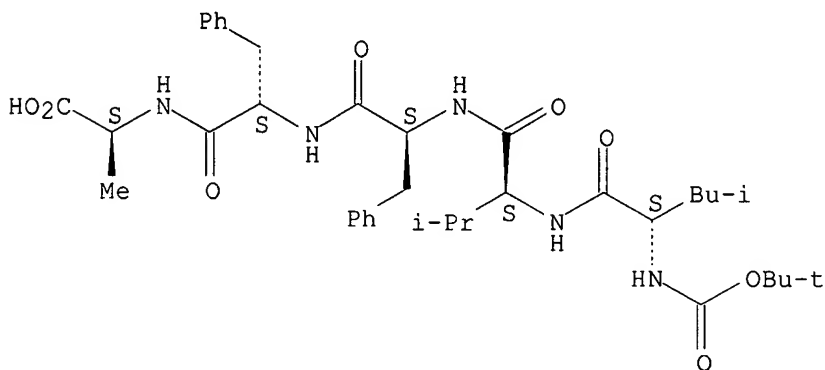
Absolute stereochemistry.



RN 183746-96-5 HCAPLUS

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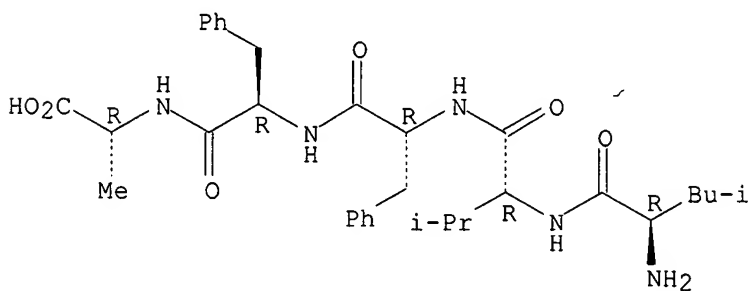
Absolute stereochemistry.



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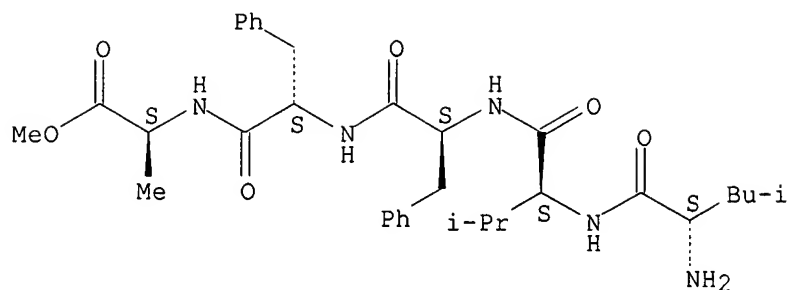
Absolute stereochemistry.



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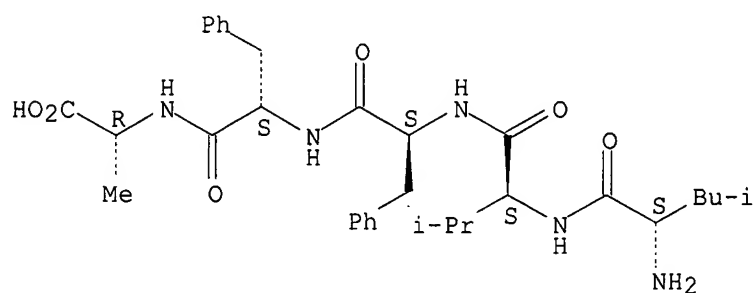
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Absolute stereochemistry.



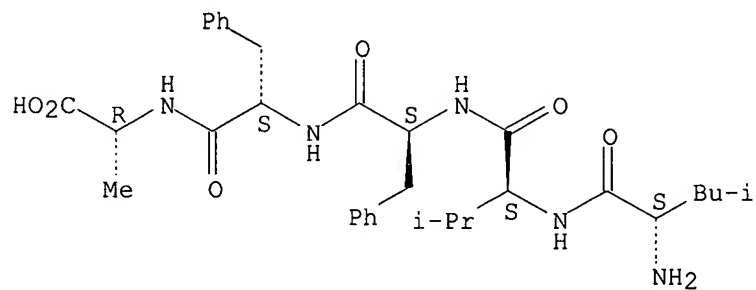
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 INDEX NAME)

Absolute stereochemistry.



IT 365537-66-2  
 RL: PRP (Properties)  
 (unclaimed sequence; modified peptide modulators of amyloid  
 aggregation)  
 RN 365537-66-2 HCAPLUS  
 CN D-Alanine, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 2001:757810 HCAPLUS  
 DOCUMENT NUMBER: 135:298818  
 TITLE: D-amino acid-containing peptide modulators of  
 β-amyloid peptide aggregation  
 INVENTOR(S): Findeis, Mark A.; Gefter, Malcolm L.; Musso, Gary;

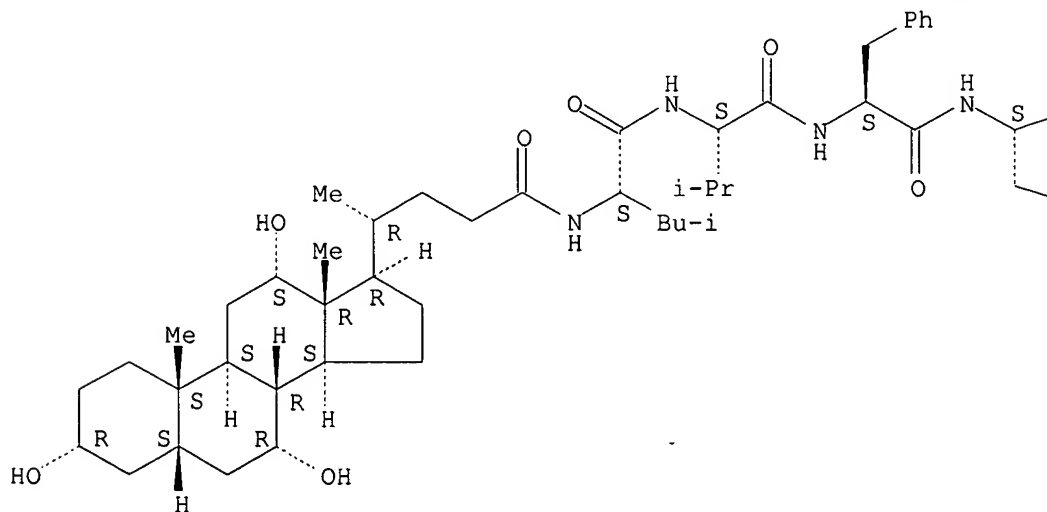
SIGNER, Ethan R.; Wakefield, James; Molineaux, Susan;  
 Chin, Joseph; Lee, Jung-Ja; Kelley, Michael;  
 Komar-Panicucci, Sonja; Arico-Muendel, Christopher C.;  
 Phillips, Kathryn; Hayward, Neil J.  
 PATENT ASSIGNEE(S): Praecis Pharmaceuticals, Inc., USA  
 SOURCE: U.S., 44 pp., Cont.-in-part of U.S. Ser. No. 616,081.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6303567	B1	20011016	US 1996-703675	19960827 <--
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US 5854215	A	19981229	US 1995-475579	19950607 <--
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AU 741199	B2	20011122		
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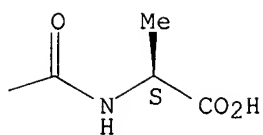
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CN L-Alanine, N-[(3 $\alpha$ ,5 $\beta$ ,7 $\alpha$ ,12 $\alpha$ )-3,7,12-trihydroxy-24-oxocholan-24-yl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI)  
(CA INDEX NAME)

PAGE 1-A



PAGE 1-B



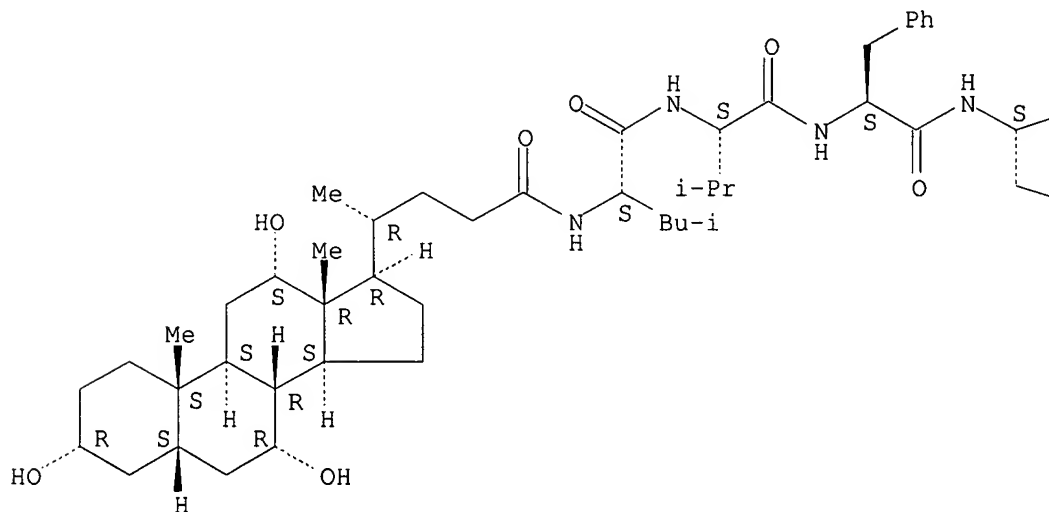
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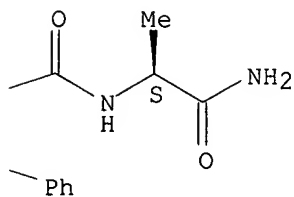
CN L-Alaninamide, N-[(3 $\alpha$ , 5 $\beta$ , 7 $\alpha$ , 12 $\alpha$ )-3, 7, 12-trihydroxy-24-oxocholan-24-yl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



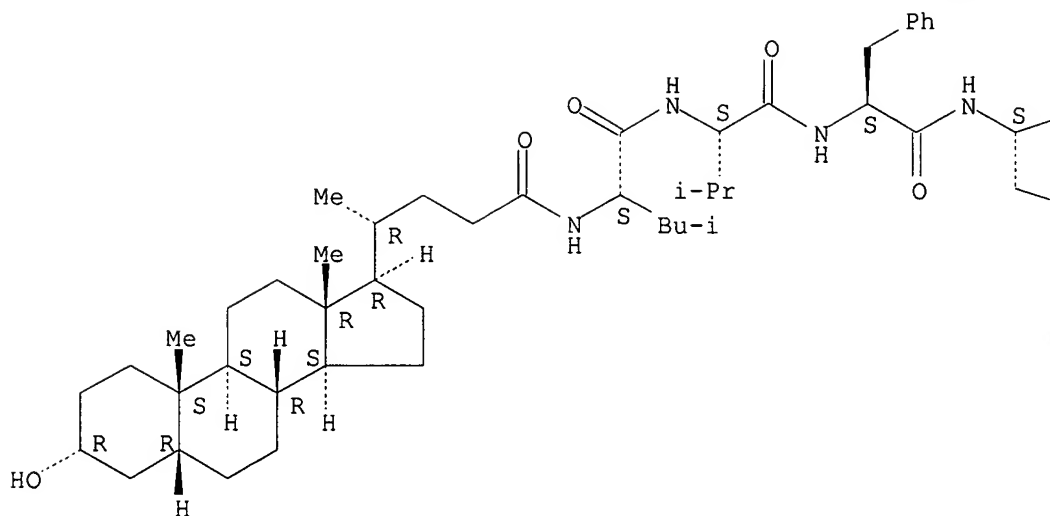
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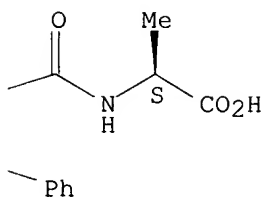
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Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RN 183903-87-9 HCAPLUS  
 CN D-Alanine, N-[(3 $\alpha$ ,5 $\beta$ ,7 $\alpha$ ,12 $\alpha$ )-3,7,12-trihydroxy-24-oxocholan-24-yl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.

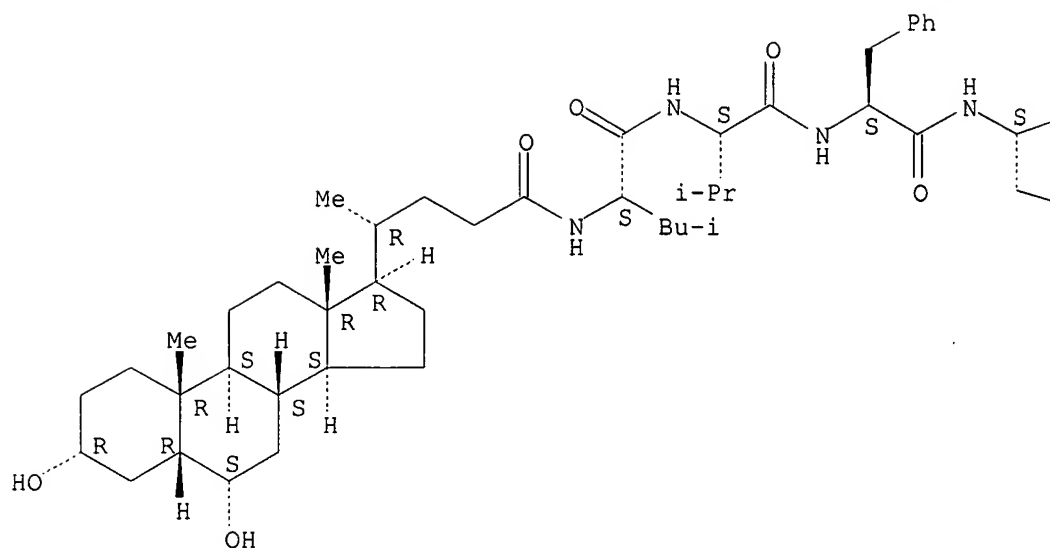


The chemical structure shows a steroid nucleus with four fused rings. Substituents include hydroxyl groups (HO) at C3 and C14, and methyl groups (Me) at C10, C13, and C14. A complex side chain is attached at C17, featuring a ketone group (C=O) and a chiral center with a methyl group (Me) and a hydrogen atom (H). The side chain continues with a ketone group (C=O) and a chiral center with a methyl group (Me) and a hydrogen atom (H). The side chain ends with a ketone group (C=O) and a chiral center with a methyl group (Me) and a hydrogen atom (H).

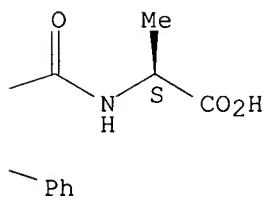
CC(=O)N[C@H](C)C(=O)O

Absolute stereochemistry.

PAGE 1-A



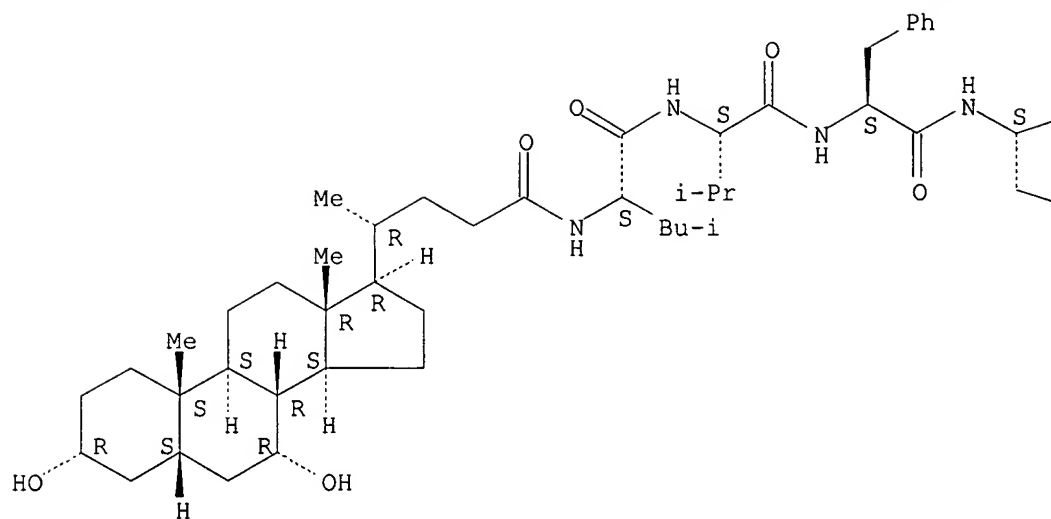
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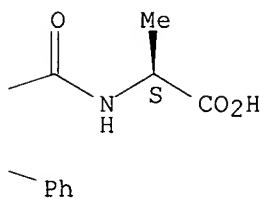
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Absolute stereochemistry.

PAGE 1-A



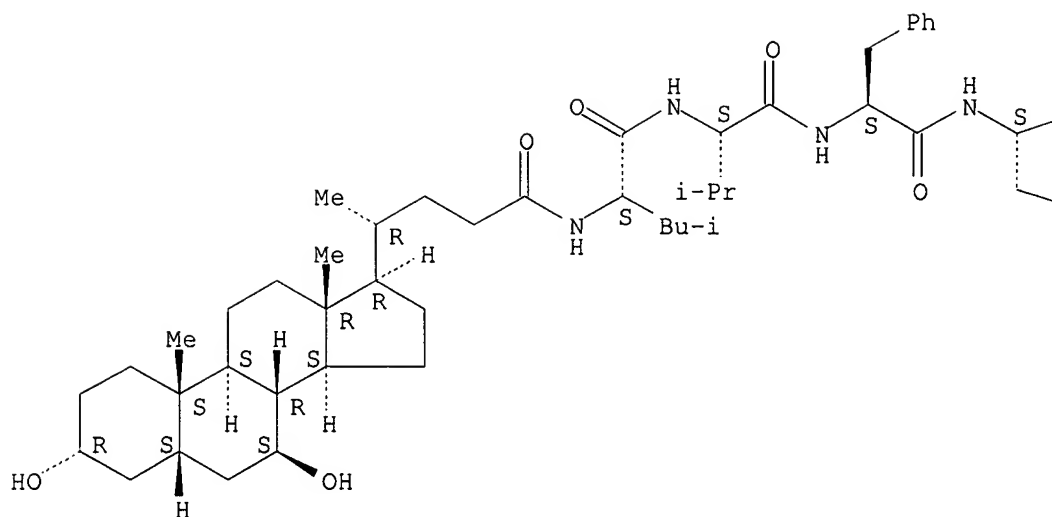
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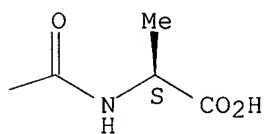
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Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

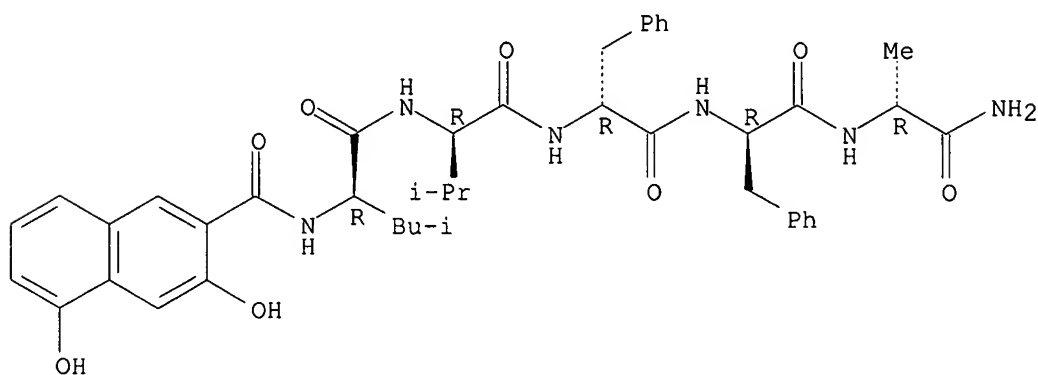


Ph

RN 204333-84-6 HCAPLUS

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Absolute stereochemistry.



RN 204333-86-8 HCAPLUS

CN D-Alaninamide, N-(1-oxobutyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

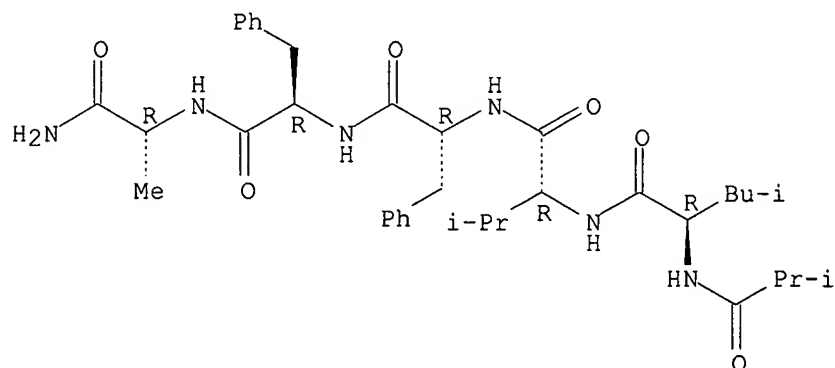
Absolute stereochemistry.

[illegible]CC(=O)N[C@H](C)C(=O)N

Page 13

CN D-Alaninamide, N-(2-methyl-1-oxopropyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

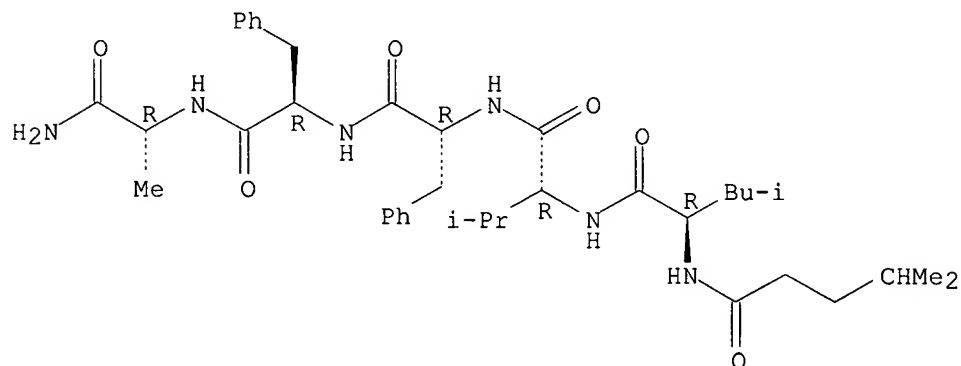
Absolute stereochemistry.



RN 365538-53-0 HCAPLUS

CN D-Alaninamide, N-(4-methyl-1-oxopentyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

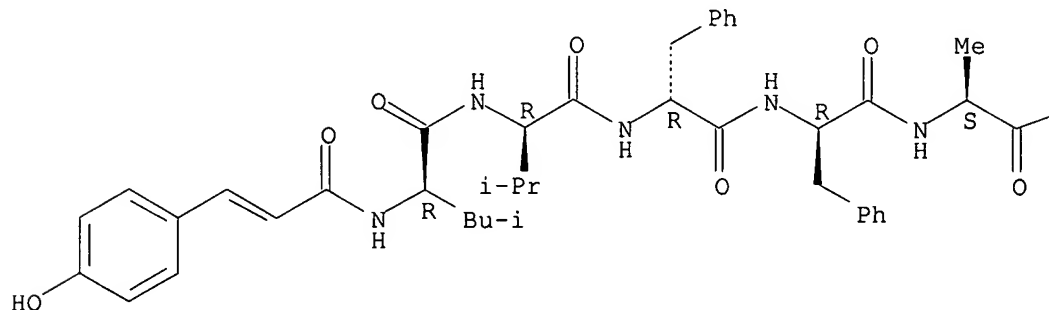


RN 365538-55-2 HCAPLUS

CN L-Alaninamide, N-[3-(4-hydroxyphenyl)-1-oxo-2-propenyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.



PAGE 1-A

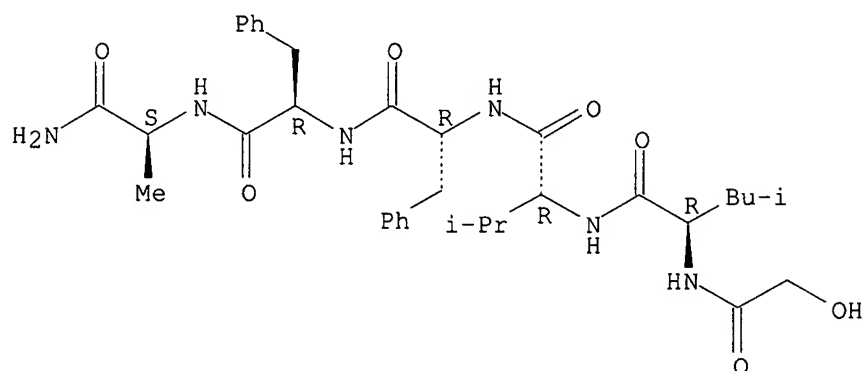
PAGE 1-B

—NH<sub>2</sub>

RN 365538-56-3 HCAPLUS

CN L-Alaninamide, hydroxyacetyl-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



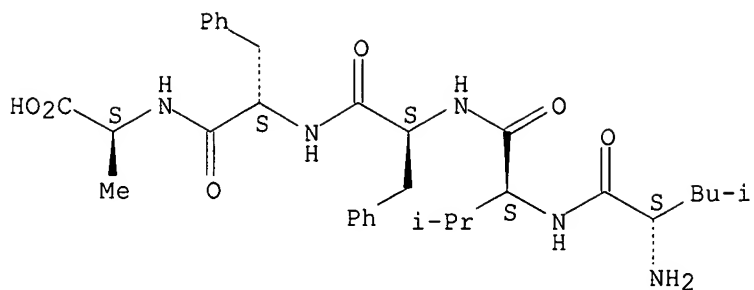
IT 182912-78-3D, amino-terminal modified derivs. 183746-77-2  
 183746-77-2D, amino-terminal modified derivs. 204333-52-8  
 204333-52-8D, alkyl- and aryl-amide derivs. 204333-53-9  
 365534-27-6 365534-45-8 365534-71-0  
 365534-98-1 365535-35-9 365535-68-8  
 365535-86-0 365536-04-5 365536-22-7  
 365536-40-9 365536-61-4 365536-79-4  
 365536-97-6 365537-15-1 365537-38-8  
 365537-66-2D, modifying group derivs. 365537-67-3  
 365537-67-3D, derivs. 365537-68-4 365537-68-4D  
 , derivs. 365537-69-5 365537-69-5D, derivs.  
 365537-71-9 365537-73-1 365537-75-3  
 365537-77-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (D-amino acid-containing peptide modulators of  $\beta$ -amyloid peptide aggregation)

RN 182912-78-3 HCAPLUS

CN L-Alanine, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

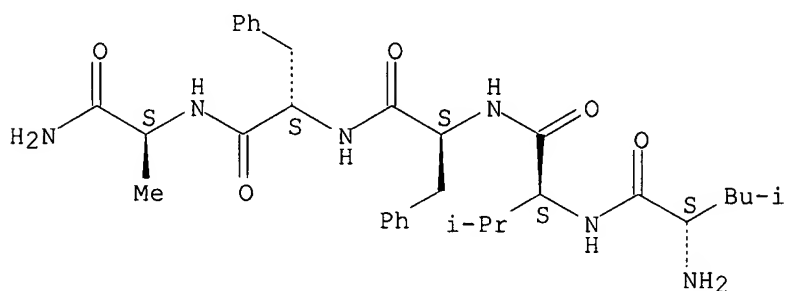
Absolute stereochemistry.



RN 183746-77-2 HCAPLUS

CN L-Alaninamide, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

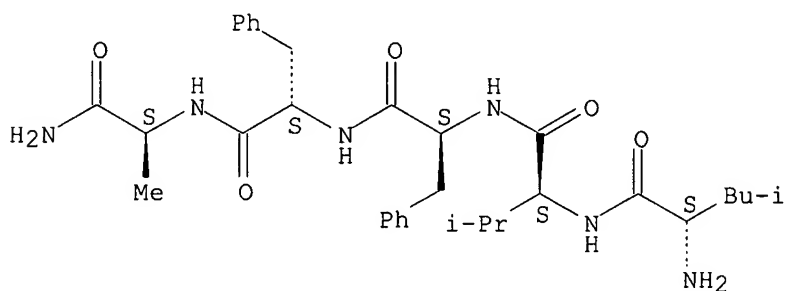
Absolute stereochemistry.



RN 183746-77-2 HCAPLUS

CN L-Alaninamide, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

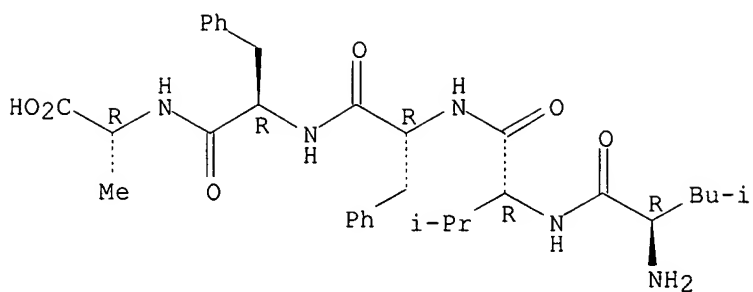


RN 204333-52-8 HCAPLUS

CN D-Alanine, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

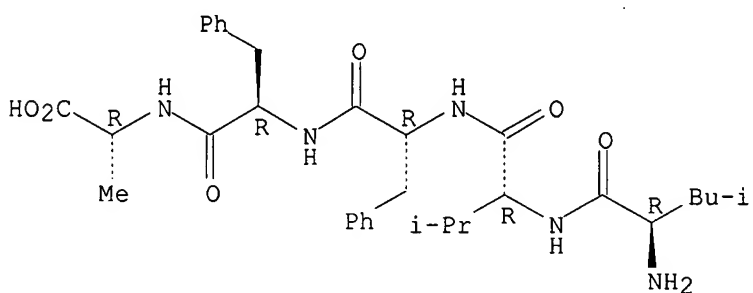




RN 204333-52-8 HCAPLUS

CN D-Alanine, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

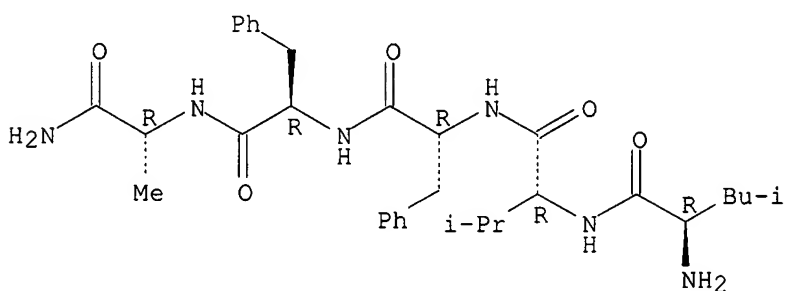
Absolute stereochemistry.



RN 204333-53-9 HCAPLUS

CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

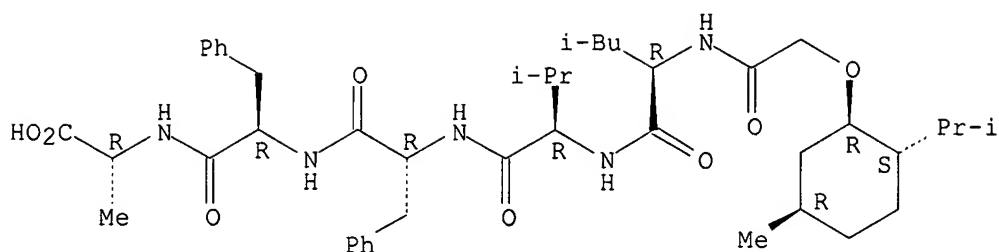
Absolute stereochemistry.



RN 365534-27-6 HCAPLUS

CN D-Alanine, N-[[[(1R,2S,5R)-5-methyl-2-(1-methylethyl)cyclohexyl]oxy]acetyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

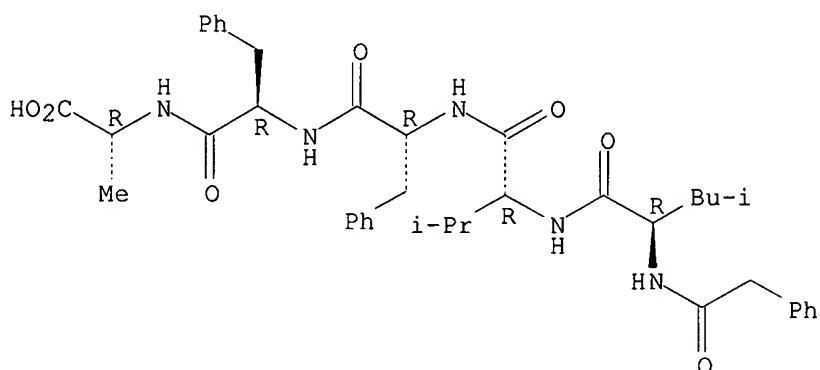
Absolute stereochemistry.



RN 365534-45-8 HCAPLUS

CN D-Alanine, N-(phenylacetyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-  
(9CI) (CA INDEX NAME)

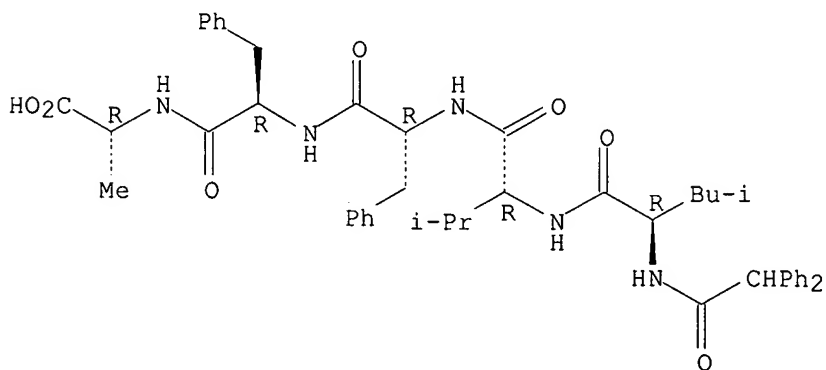
Absolute stereochemistry.



RN 365534-71-0 HCAPLUS

CN D-Alanine, N-(diphenylacetyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

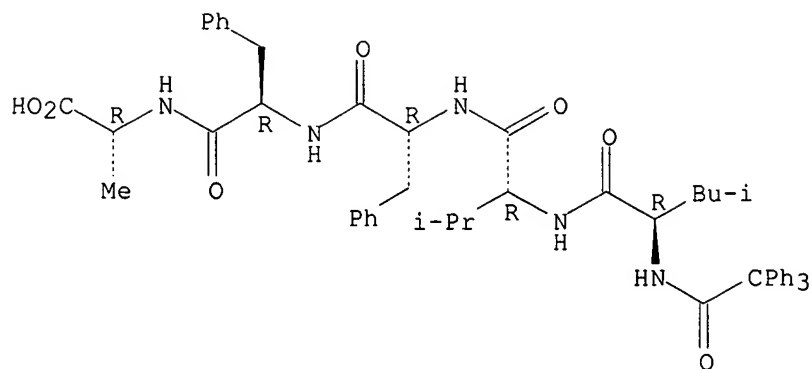
Absolute stereochemistry.



RN 365534-98-1 HCAPLUS

CN D-Alanine, N-(triphenylacetyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

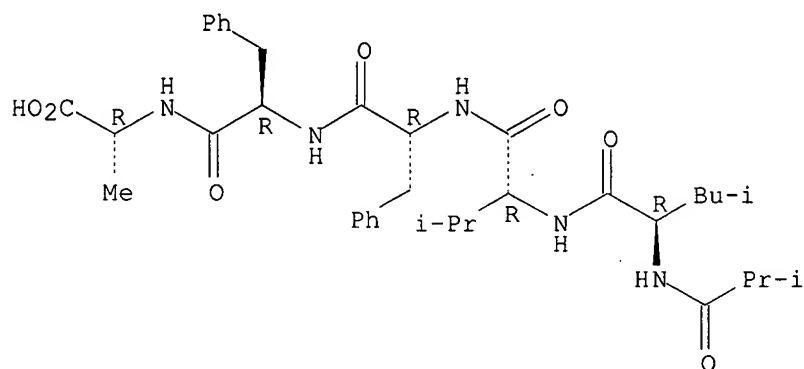
Absolute stereochemistry.



RN 365535-35-9 HCAPLUS

CN D-Alanine, N-(2-methyl-1-oxopropyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

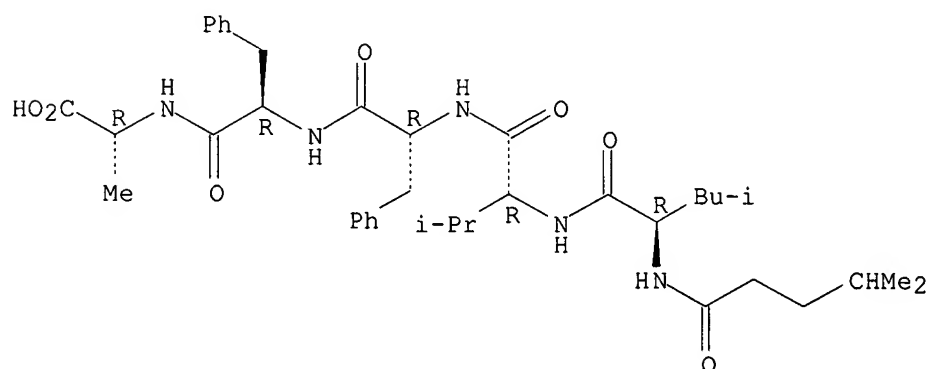
Absolute stereochemistry.



RN 365535-68-8 HCAPLUS

CN D-Alanine, N-(4-methyl-1-oxopentyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

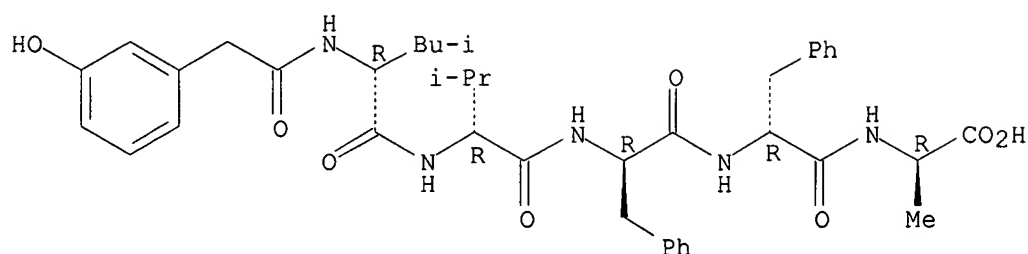
Absolute stereochemistry.



RN 365535-86-0 HCAPLUS

CN D-Alanine, N-[(3-hydroxyphenyl)acetyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

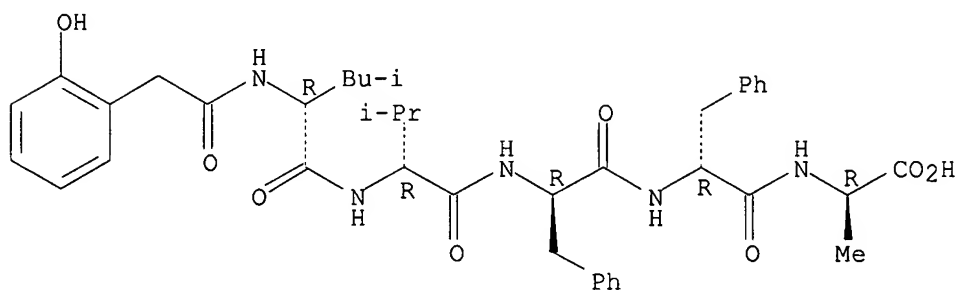
Absolute stereochemistry.



RN 365536-04-5 HCAPLUS

CN D-Alanine, N-[(2-hydroxyphenyl)acetyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

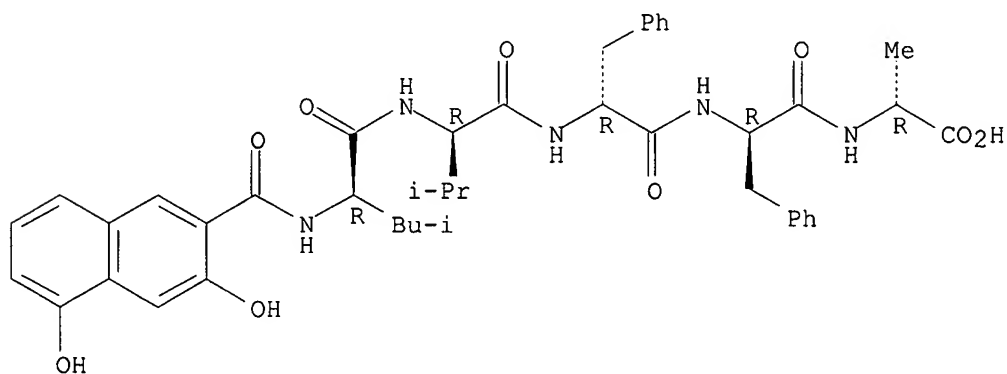
Absolute stereochemistry.



RN 365536-22-7 HCAPLUS

CN D-Alanine, N-[(3,5-dihydroxy-2-naphthalenyl)carbonyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



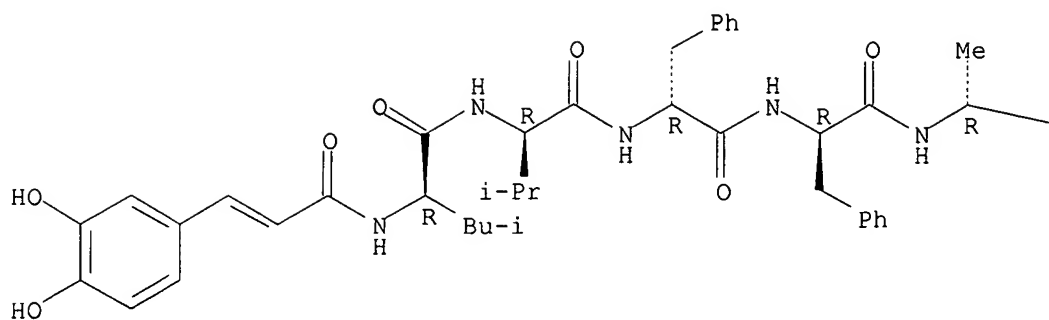
RN 365536-40-9 HCAPLUS

CN D-Alanine, N-[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

PAGE 1-A



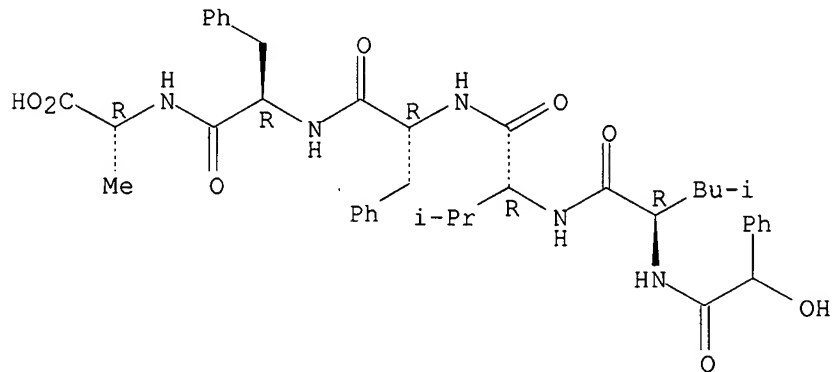
PAGE 1-B

—CO<sub>2</sub>H

RN 365536-61-4 HCAPLUS

CN D-Alanine, α-hydroxybenzeneacetyl-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

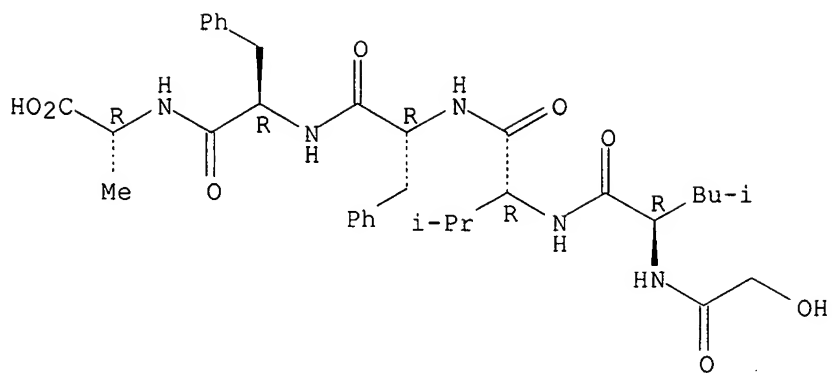
Absolute stereochemistry.



RN 365536-79-4 HCAPLUS

CN D-Alanine, hydroxyacetyl-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

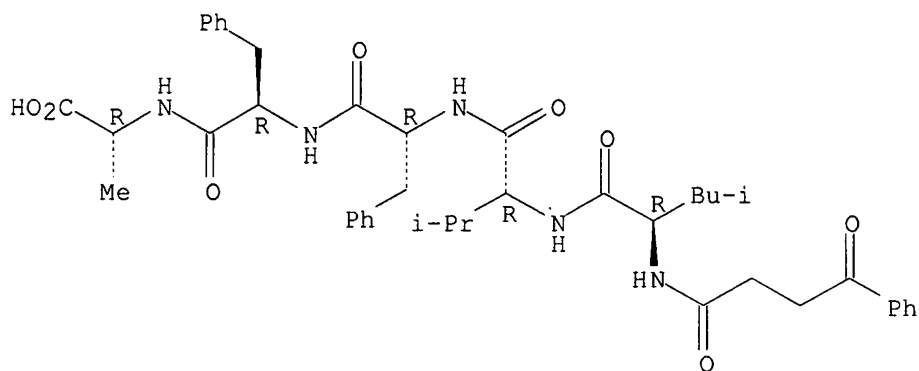
Absolute stereochemistry.



RN 365536-97-6 HCAPLUS

CN D-Alanine, N-(1,4-dioxo-4-phenylbutyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

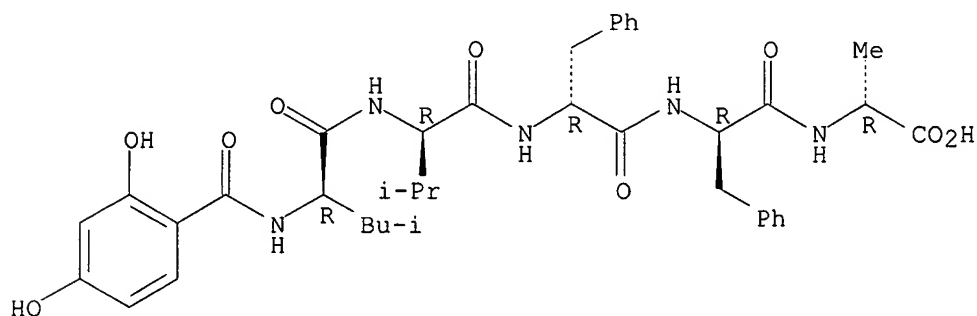
Absolute stereochemistry.



RN 365537-15-1 HCAPLUS

CN D-Alanine, N-(2,4-dihydroxybenzoyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

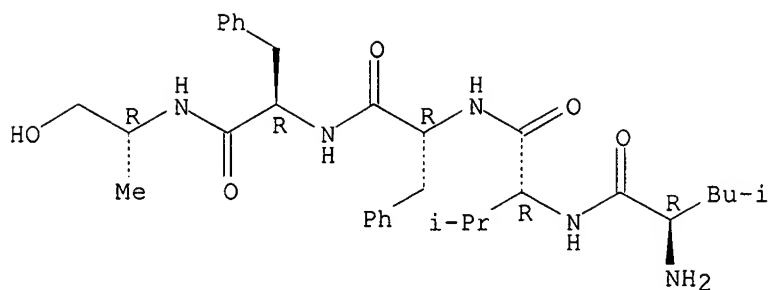
Absolute stereochemistry.



RN 365537-38-8 HCAPLUS

CN D-Phenylalaninamide, D-leucyl-D-valyl-D-phenylalanyl-N-[(1R)-2-hydroxy-1-methylethyl]- (9CI) (CA INDEX NAME)

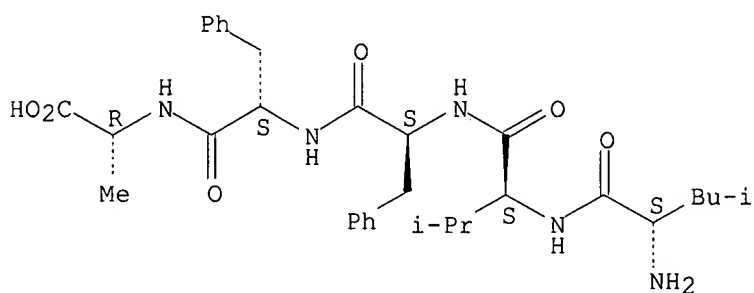
Absolute stereochemistry.



RN 365537-66-2 HCAPLUS

CN D-Alanine, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

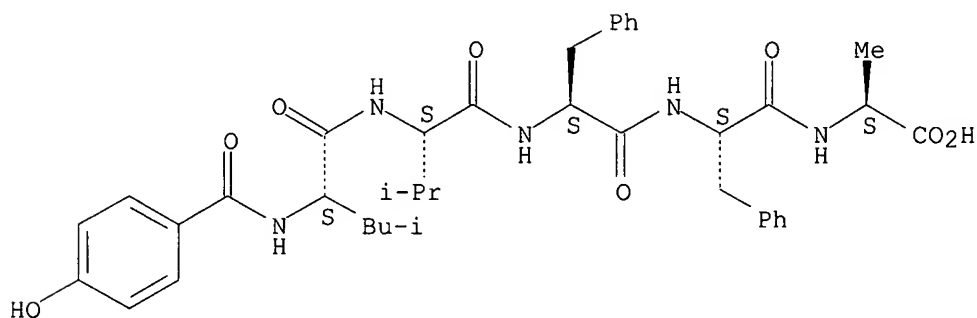
Absolute stereochemistry.



RN 365537-67-3 HCAPLUS

CN L-Alanine, N-(4-hydroxybenzoyl)-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

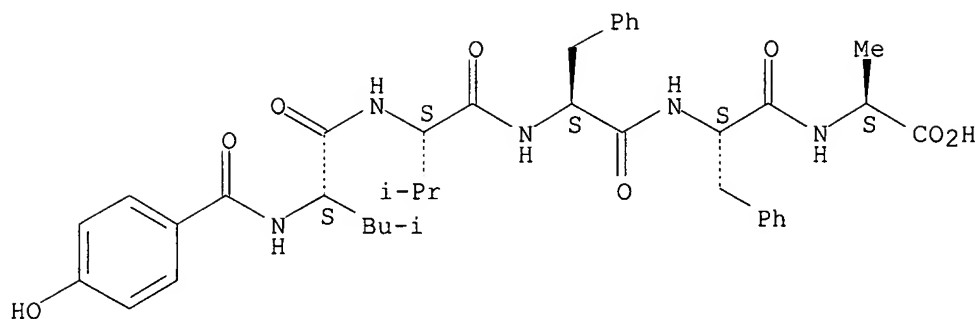
Absolute stereochemistry.



RN 365537-67-3 HCAPLUS

CN L-Alanine, N-(4-hydroxybenzoyl)-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

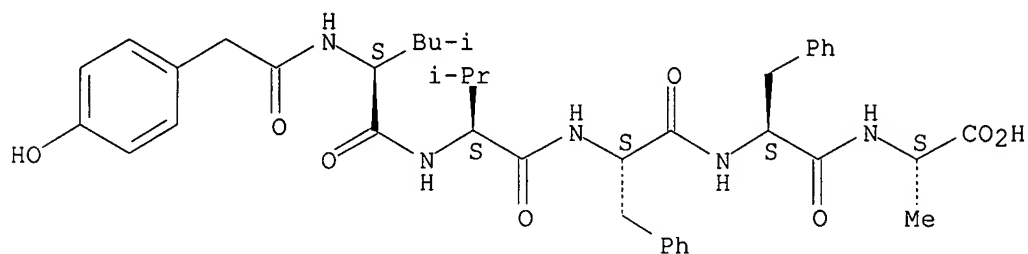
Absolute stereochemistry.



RN 365537-68-4 HCAPLUS

CN L-Alanine, N-[(4-hydroxyphenyl)acetyl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

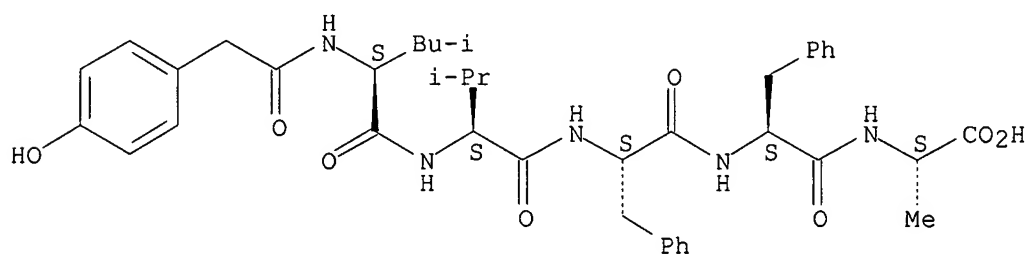
Absolute stereochemistry.



RN 365537-68-4 HCAPLUS

CN L-Alanine, N-[(4-hydroxyphenyl)acetyl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

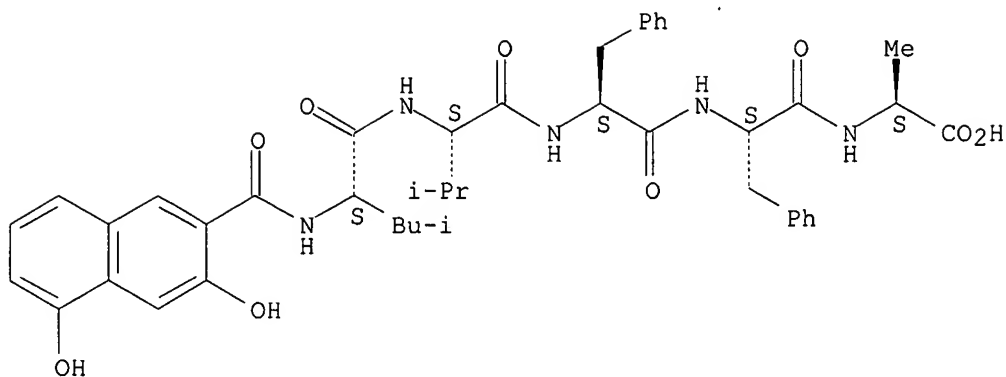


RN 365537-69-5 HCAPLUS

CN L-Alanine, N-[(3,5-dihydroxy-2-naphthalenyl)carbonyl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

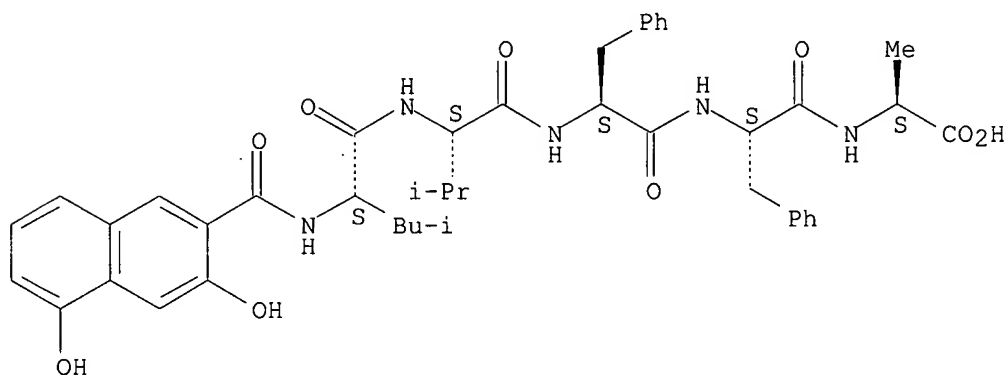




RN 365537-69-5 HCAPLUS

CN L-Alanine, N-[(3,5-dihydroxy-2-naphthalenyl)carbonyl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

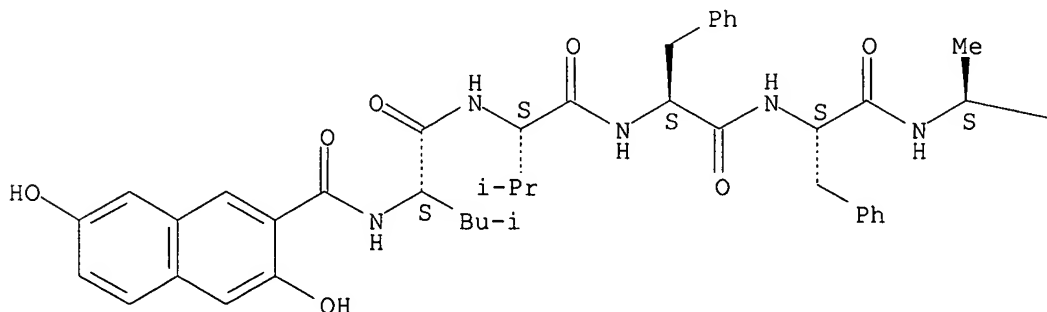


RN 365537-71-9 HCAPLUS

CN L-Alanine, N-[(3,7-dihydroxy-2-naphthalenyl)carbonyl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



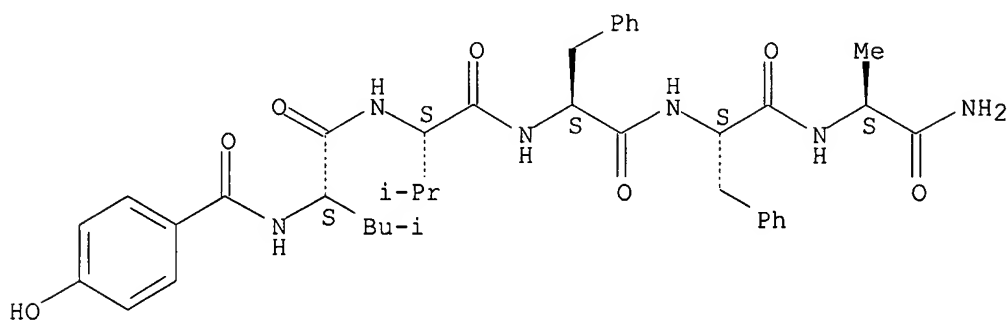
PAGE 1-B

—CO<sub>2</sub>H

RN 365537-73-1 HCAPLUS

CN L-Alaninamide, N-(4-hydroxybenzoyl)-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

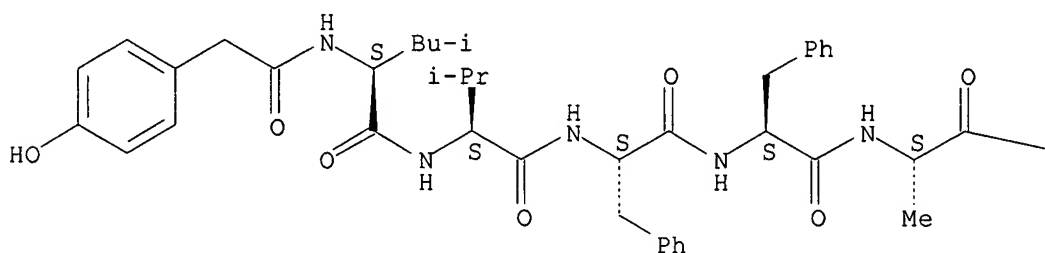
Absolute stereochemistry.



RN 365537-75-3 HCAPLUS

CN L-Alaninamide, N-[(4-hydroxyphenyl)acetyl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

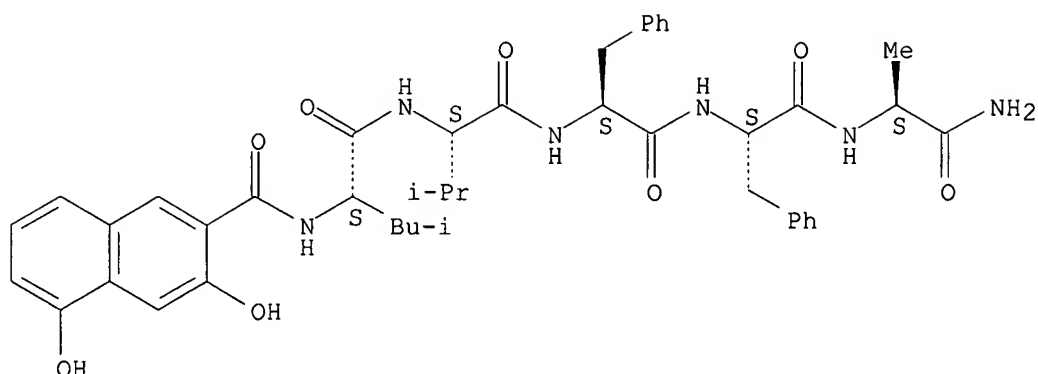
PAGE 1-B

—NH<sub>2</sub>

RN 365537-77-5 HCAPLUS

CN L-Alaninamide, N-[(3,5-dihydroxy-2-naphthalenyl)carbonyl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 182912-78-3

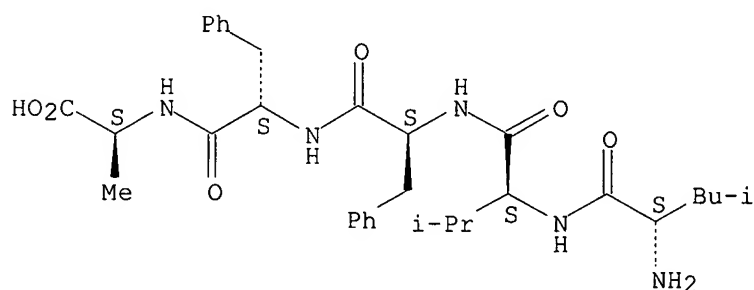
RL: PRP (Properties)

(D-amino acid-containing peptide modulators of  $\beta$ -amyloid peptide aggregation)

RN 182912-78-3 HCAPLUS

CN L-Alanine, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 79 THERE ARE 79 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:773575 HCAPLUS

DOCUMENT NUMBER: 132:220716

TITLE: Aged synthetic human amyloid  $\beta$ -peptide 1-42 and related fragments induce direct acetylcholine release from rat basal forebrain tissue slices

AUTHOR(S): Forgon, Monika; Farkas, Z.; Pakaski, Magdolna; Zarandi, Marta; Penke, B.

CORPORATE SOURCE: Alzheimer's Disease Research Centre, Albert Szent-Gyorgyi Medical University, Szeged, H-6720, Hung.

SOURCE: Acta Biologica Hungarica (1998), 49(1), 71-78

CODEN: ABHUE6; ISSN: 0236-5383

PUBLISHER: Akademiai Kiado

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The direct effects of synthetic human amyloid 1-peptide 1-42 ( $A\beta$ 1-42), scrambled  $A\beta$ 1-42 (MOD1 and MOD2), and related

fragments (A $\beta$ 31-35, A $\beta$ 34-39, and A $\beta$ 17-21), either freshly dissolved (non-aged) or aged for 2, 4, 12 and 24 h, were studied on acetylcholine release from rat basal forebrain tissue slices. In in vitro tissue slices, A $\beta$ 1-42 aged for 2 h, and A $\beta$ 31-35 and A $\beta$ 34-39 aged for 24 h evoked acetylcholine release from the basal forebrain tissue slices in a Ca<sup>2+</sup>-dependent manner. Transmitter release was not observed on the use of freshly dissolved A $\beta$ 1-42 and scrambled A $\beta$ 1-42 (MOD1 and MOD2) and A $\beta$ 17-21 aged for 24 h. These data support the suggestion that it is the fibrillar (aggregated) form which is effective on the axon terminals and evokes direct acetylcholine release. It is proposed that one of the roles of A $\beta$  in the brain is the presynaptic modulation of acetylcholine release, in this way causing first an altered Ca<sup>2+</sup>-homeostasis, then cholinergic hypoactivity, and finally the retrograde degeneration of cholinergic nerve cells.

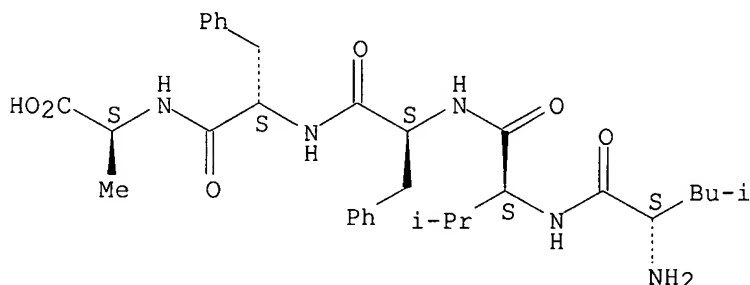
IT 182912-78-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
(aged (fibrillar) synthetic human amyloid  $\beta$ -peptide 1-42 and related fragments induce direct acetylcholine release from rat basal forebrain tissue slices)

RN 182912-78-3 HCAPLUS

CN L-Alanine, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:278142 HCAPLUS

DOCUMENT NUMBER: 131:110884

TITLE: Modified-Peptide Inhibitors of Amyloid  $\beta$ -Peptide Polymerization

AUTHOR(S): Findeis, Mark A.; Musso, Gary M.; Arico-Muendel, Christopher C.; Benjamin, Howard W.; Hundal, Arvind M.; Lee, Jung-Ja; Chin, Joseph; Kelley, Michael; Wakefield, James; Hayward, Neil J.; Molineaux, Susan M.

CORPORATE SOURCE: PRAECIS Pharm. Inc., Cambridge, MA, 02139-1572, USA

SOURCE: Biochemistry (1999), 38(21), 6791-6800

CODEN: BICHAW; ISSN: 0006-2960

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Cellular toxicity resulting from nucleation-dependent polymerization of amyloid  $\beta$ -peptide (A $\beta$ ) is considered to be a major and possibly the primary component of Alzheimer's disease (AD). Inhibition of A $\beta$  polymerization has thus been identified as a target for the development of

therapeutic agents for the treatment of AD. The intrinsic affinity of A $\beta$  for itself suggested that A $\beta$ -specific interactions could be adapted to the development of compds. that would bind to A $\beta$  and prevent it from polymerizing. A $\beta$ -derived peptides of fifteen residues were found to be inhibitory of A $\beta$  polymerization. The activity of these peptides was subsequently enhanced through modification of their amino termini with specific organic reagents. Addnl. series of compds. prepared to probe structural requirements for activity allowed reduction of the size of the inhibitors and optimization of the A $\beta$ -derived peptide portion to afford a lead compound, cholesteryl-Leu-Val-Phe-Phe-Ala-OH (PPI-368), with potent polymerization inhibitory activity but limited biochem. stability. The corresponding all-D-amino acyl analog peptide acid (PPI-433) and amide (PPI-457) retained inhibitory activity and were both stable in monkey cerebrospinal fluid for 24 h.

IT 183746-33-0P 204333-43-7P 204333-44-8P  
204333-48-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

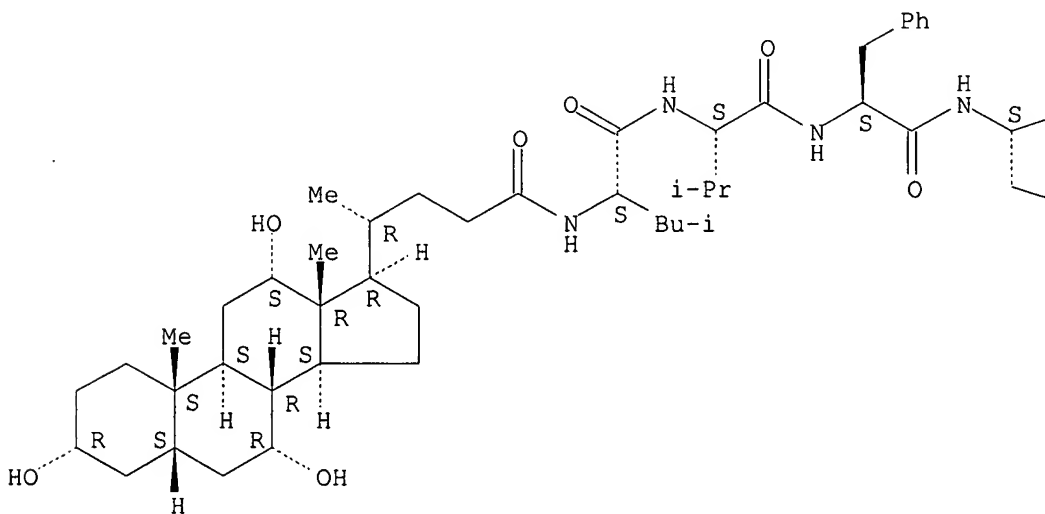
(modified peptide inhibitors of amyloid  $\beta$ -peptide polymerization and stability in monkey CSF)

RN 183746-33-0 HCAPLUS

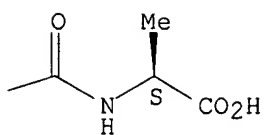
CN L-Alanine, N-[(3 $\alpha$ , 5 $\beta$ , 7 $\alpha$ , 12 $\alpha$ )-3, 7, 12-trihydroxy-24-oxocholan-24-yl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

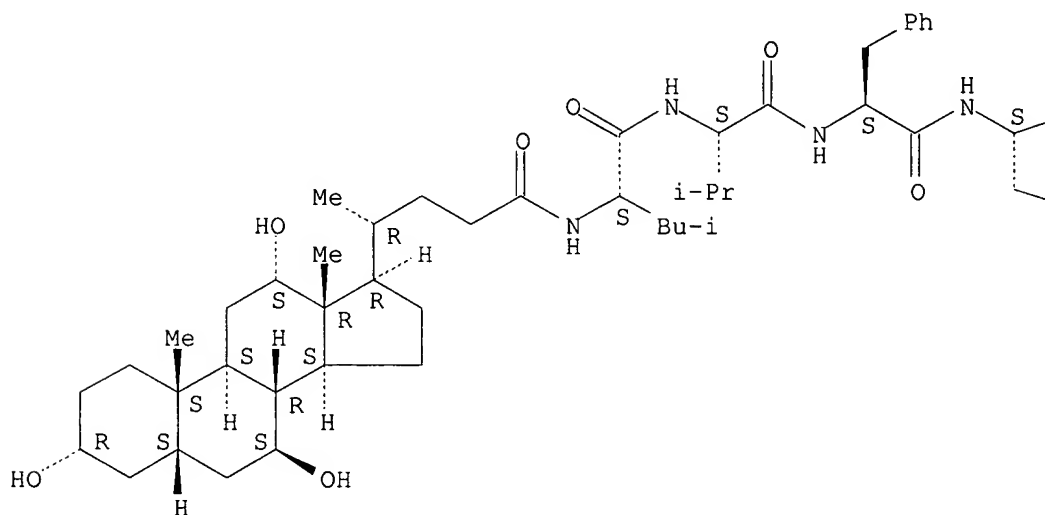


RN 204333-43-7 HCAPLUS

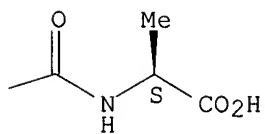
CN L-Alanine, N-[(3 $\alpha$ ,5 $\beta$ ,7 $\beta$ ,12 $\alpha$ )-3,7,12-trihydroxy-24-oxocholan-24-yl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

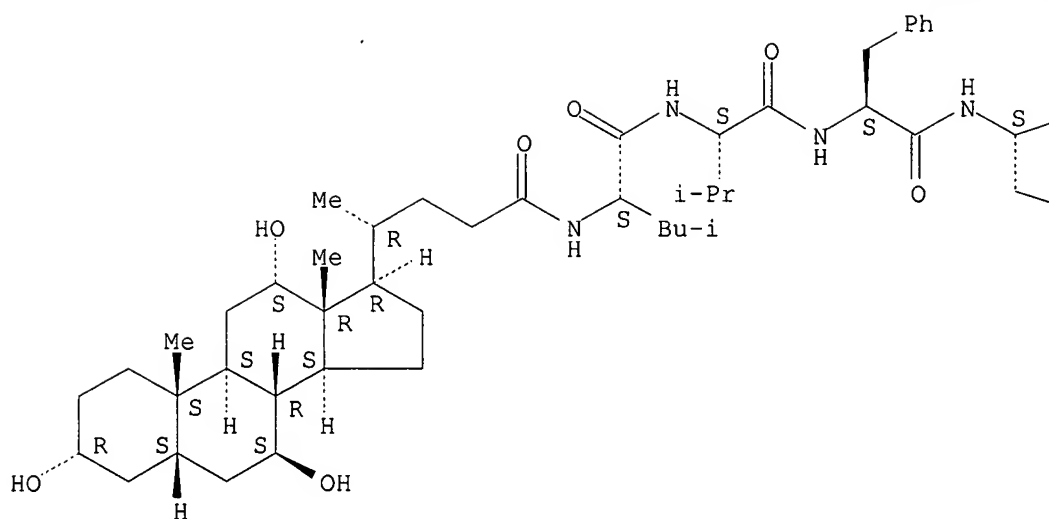


RN 204333-44-8 HCAPLUS

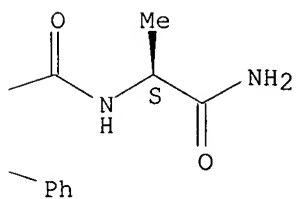
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(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



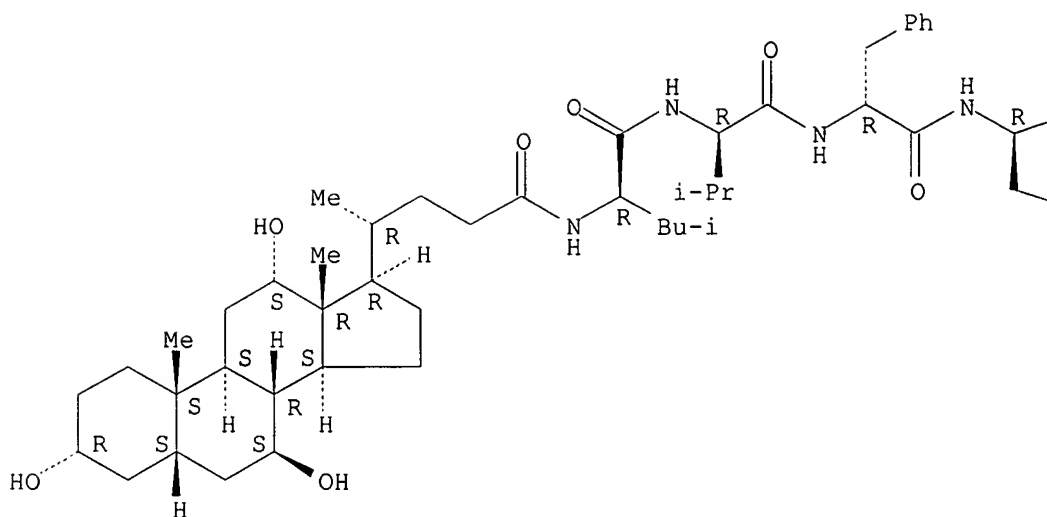
PAGE 1-B



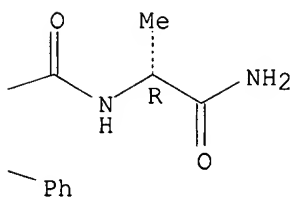
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 24-oxocholan-24-yl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:21679 HCAPLUS

DOCUMENT NUMBER: 130:95847

TITLE: Preparation of amyloid  $\beta$  peptides and derivatives that modulate  $\beta$ -amyloid aggregation

INVENTOR(S): Findeis, Mark A.; Benjamin, Howard; Garnick, Marc B.; Gefter, Malcolm L.; Hundal, Arvind; Kasman, Laura; Musso, Gary; Signer, Ethan R.; Wakefield, James; Reed, Michael; Molineaux, Susan; Kubasek, William; Chin, Joseph; Lee, Jung-Ja; Kelley, Michael

PATENT ASSIGNEE(S): Praecis Pharmaceuticals, Inc., USA

SOURCE: U.S., 52 pp., Cont.-in-part of U.S. Ser. No. 404,831. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5854204	A	19981229	US 1996-612785	19960314 <--
US 5817626	A	19981006	US 1995-404831	19950314 <--



US 5854215	A	19981229	US 1995-475579	19950607 <--
AU 759036	B2	20030403	AU 2000-35389	20000519 <--
AU 769915	B2	20040212	AU 2002-15539	20020211 <--
AU 2003208150	A1	20030807	AU 2003-208150	20030703 <--
AU 2004202014	A1	20040610	AU 2004-202014	20040512 <--
PRIORITY APPLN. INFO.:			US 1995-404831	A2 19950314 <--
			US 1995-475579	A2 19950607 <--
			US 1995-548998	A2 19951027 <--
			AU 1996-52524	A3 19960314 <--
			AU 1997-42387	A3 19970827 <--
			AU 2000-35389	A3 20000519 <--
			AU 2002-15539	A3 20020211

AB Compds. that modulate the aggregation of amyloidogenic proteins or peptides are disclosed. The modulators of the invention can promote amyloid aggregation or, more preferably, can inhibit natural amyloid aggregation. In a preferred embodiment, the compds. modulate the aggregation of natural  $\beta$  amyloid peptides ( $\beta$ -AP). In a preferred embodiment, the  $\beta$  amyloid modulator compds. of the invention are comprised of an A $\beta$  aggregation core domain and a modifying group coupled thereto such that the compound alters the aggregation or inhibits the neurotoxicity of natural  $\beta$  amyloid peptides when contacted with the peptides. Furthermore, the modulators are capable of altering natural  $\beta$ -AP aggregation when the natural  $\beta$ -APs are in a molar excess amount relative to the modulators. Pharmaceutical compns. comprising the compds. of the invention, and diagnostic and treatment methods for amyloidogenic diseases using the compds. of the invention, are also disclosed.

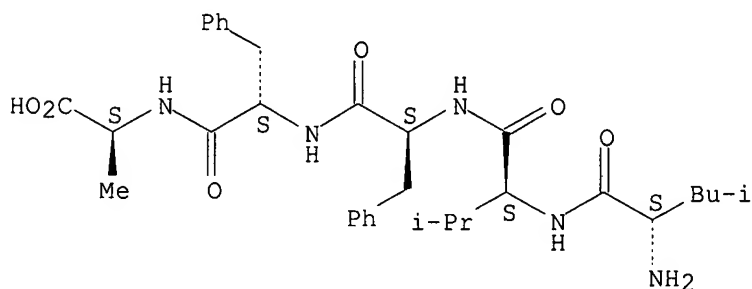
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 183746-96-5P 183903-86-8P 183903-87-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of amyloid  $\beta$  peptides and derivs. that modulate  $\beta$ -amyloid aggregation)

RN 182912-78-3 HCAPLUS

CN L-Alanine, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

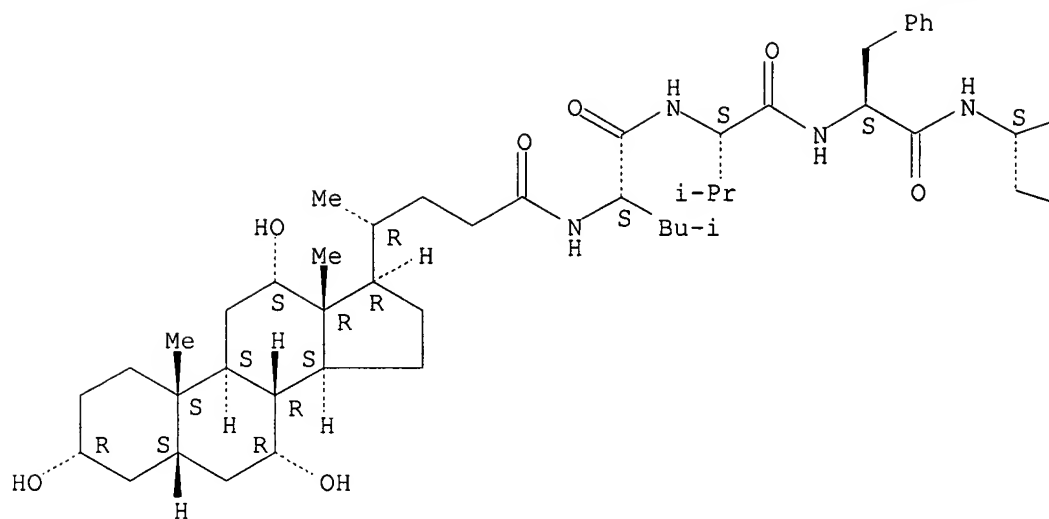


RN 183746-33-0 HCAPLUS

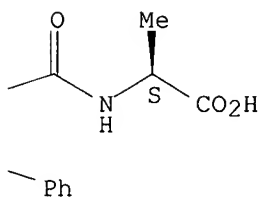
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Absolute stereochemistry.

PAGE 1-A



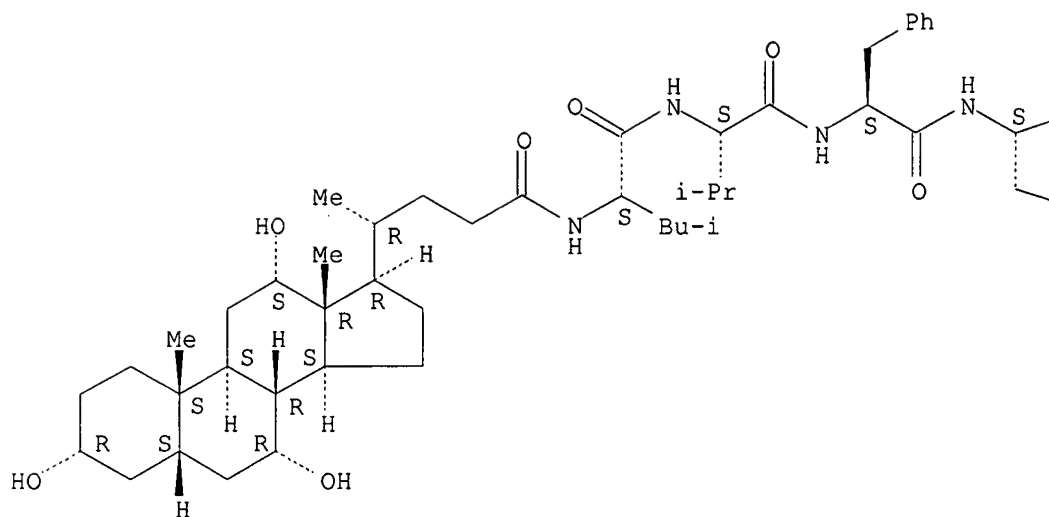
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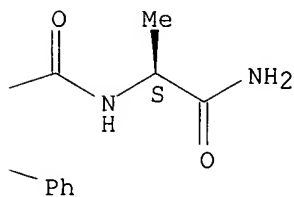
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 (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



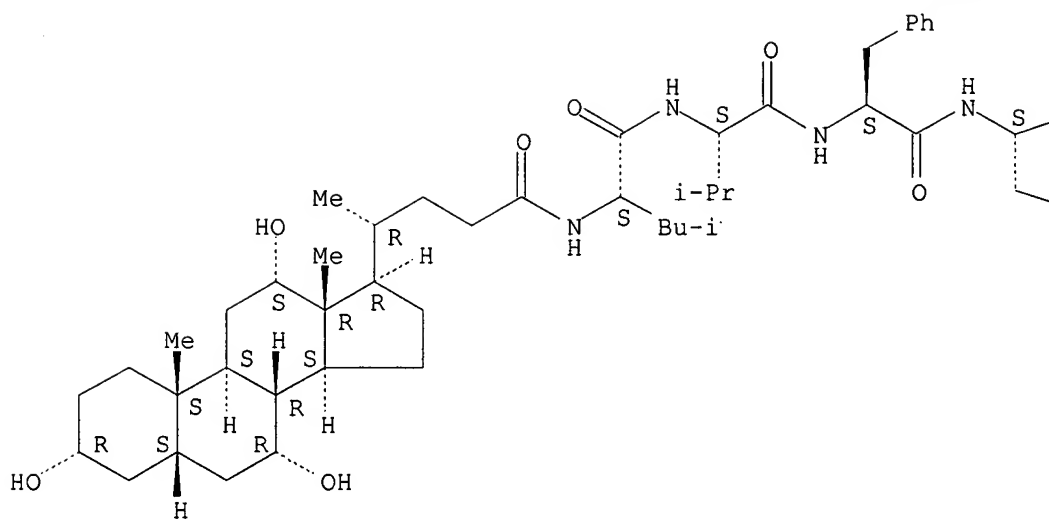
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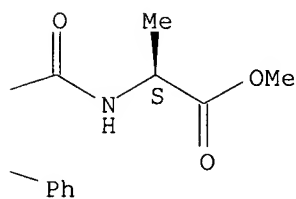
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Absolute stereochemistry.

PAGE 1-A



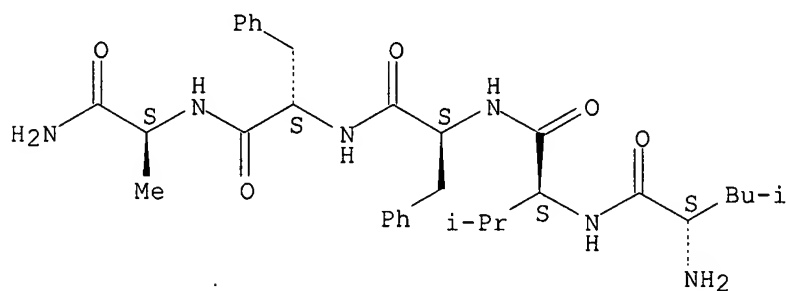
PAGE 1-B



RN 183746-77-2 HCAPLUS

CN L-Alaninamide, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

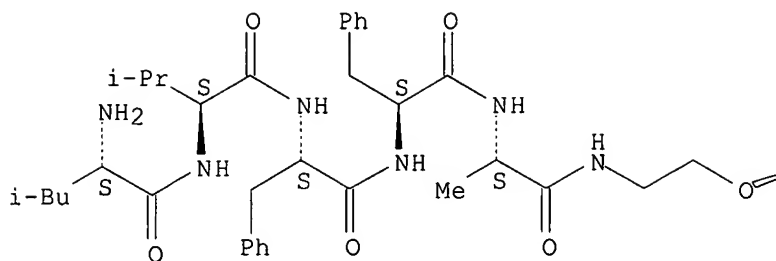


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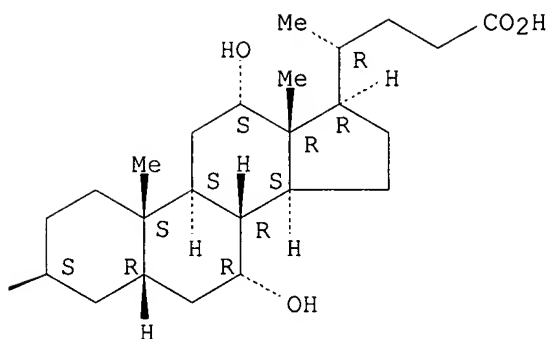
CN L-Alaninamide, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl-N-[2-[[ (3 $\beta$ , 5 $\beta$ , 7 $\alpha$ , 12 $\alpha$ )-23-carboxy-7,12-dihydroxy-24-norcholan-3-yl]oxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



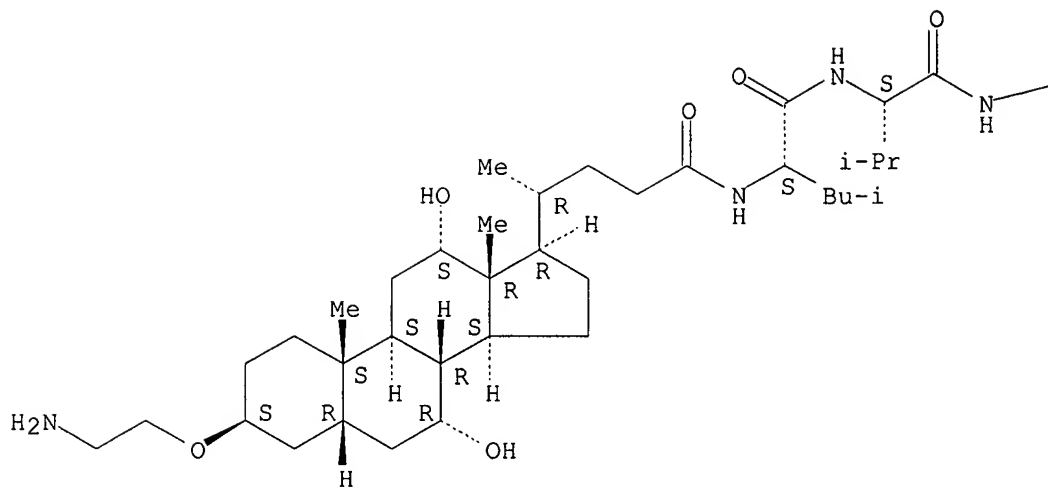
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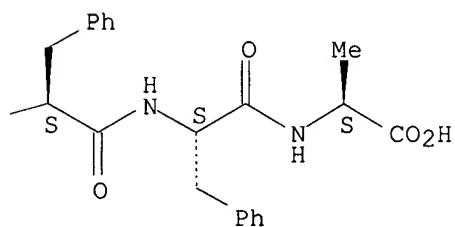
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Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

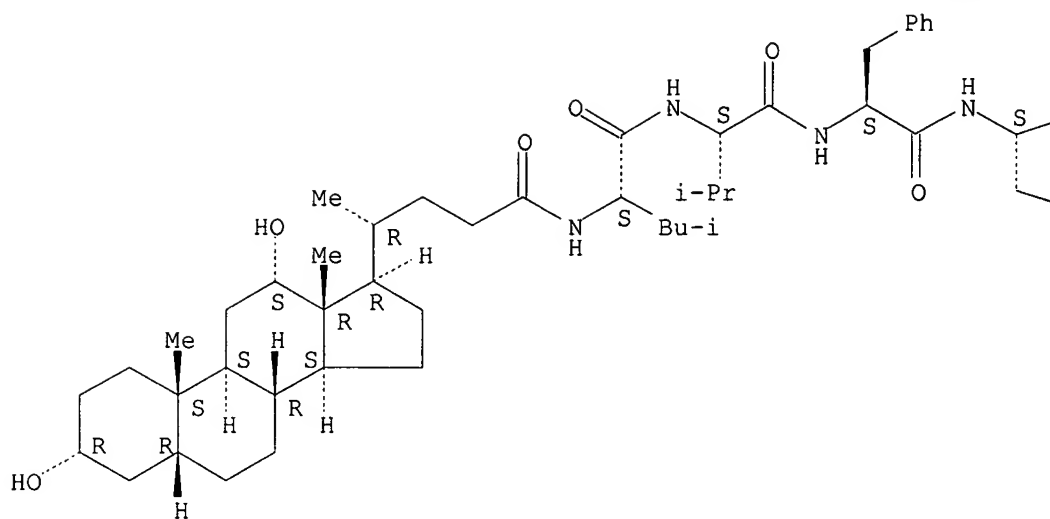


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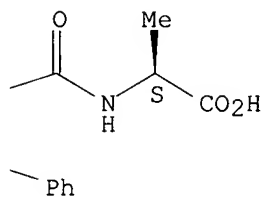
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Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

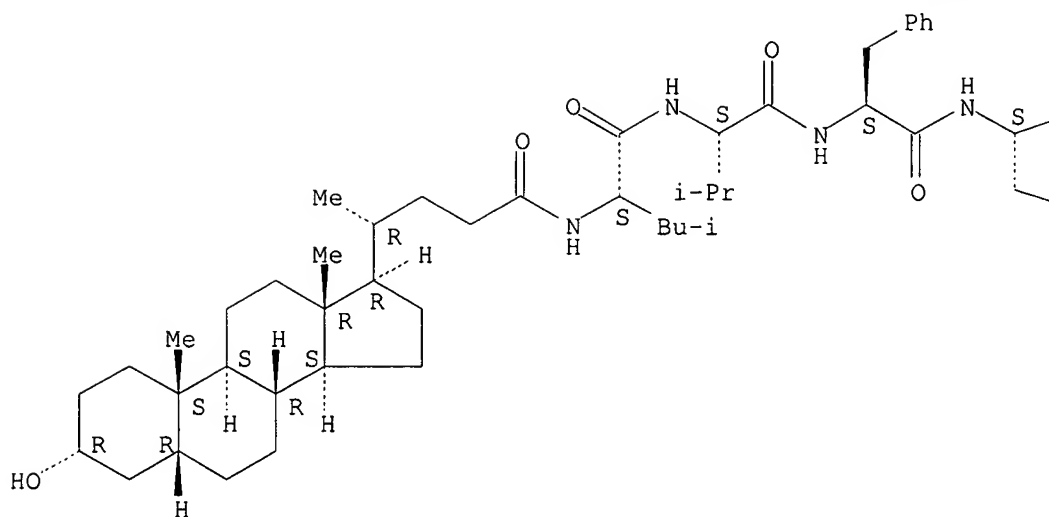


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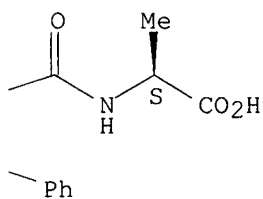
CN L-Alanine, N-[(3 $\alpha$ ,5 $\beta$ )-3-hydroxy-24-oxocholan-24-yl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

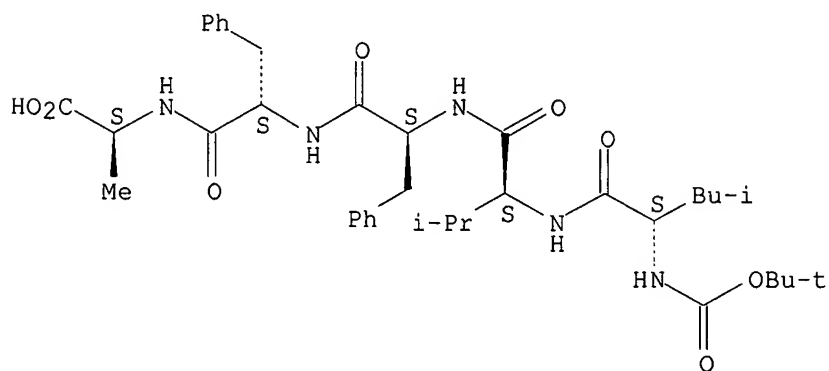


PAGE 1-B



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Absolute stereochemistry.

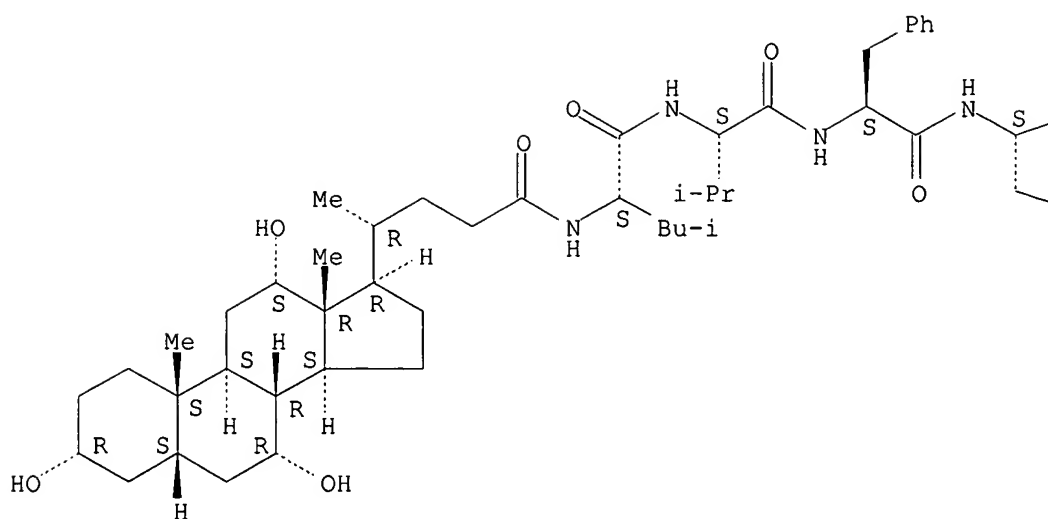


RN 183903-86-8 HCAPLUS  
 CN D-Alanine, N-[(3 $\alpha$ , 5 $\beta$ , 7 $\alpha$ , 12 $\alpha$ )-3, 7, 12-trihydroxy-24-oxocholan-24-yl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

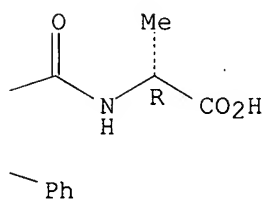


Absolute stereochemistry.

PAGE 1-A



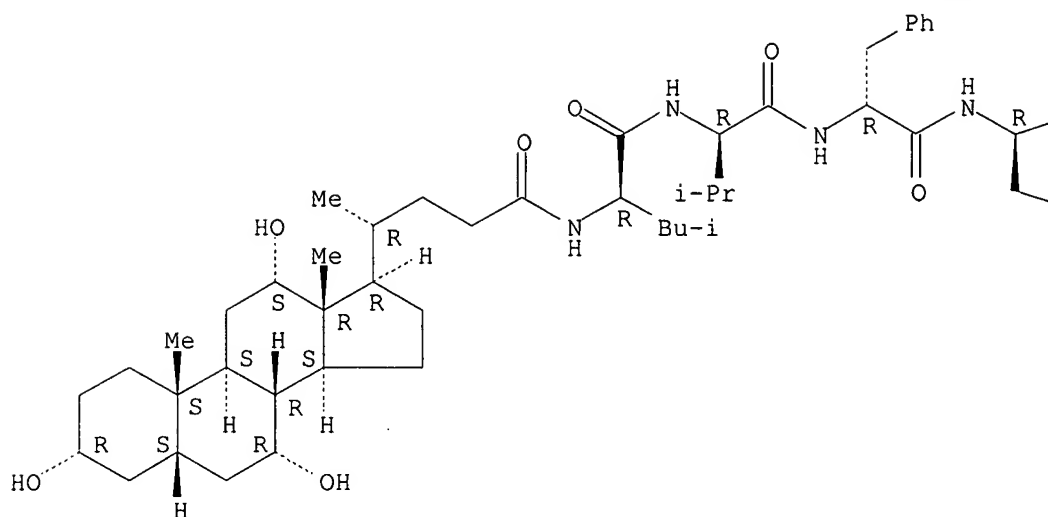
PAGE 1-B



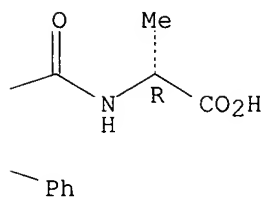
RN 183903-87-9 HCAPLUS  
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 (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:725680 HCAPLUS

DOCUMENT NUMBER: 130:91806

TITLE: Residual structure in the Alzheimer's disease peptide: probing the origin of a central hydrophobic cluster

AUTHOR(S): Zhang, Shengsheng; Casey, Nicole; Lee, Jonathan P.

CORPORATE SOURCE: Department of Chemistry, Boston University, Boston, MA, 02215, USA

SOURCE: Folding & Design (1998), 3(5), 413-422

CODEN: FODEFH; ISSN: 1359-0278

PUBLISHER: Current Biology Publications

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Structure-function studies on the Alzheimer's disease peptide show that a central hydrophobic cluster - Aβ(17-21), LVFFA - is a prominent structural feature linked to plaque competence. The origin and stability of this cluster was probed in a 17-residue fragment which includes flanking residues that potentially help stabilize the cluster. After residue substitution, the measurement of pKas, amide exchange rates and other NMR data show that any coulombic interactions between His 14 and Glu22 are not required for the stability of the central hydrophobic cluster. In contrast, a single substitution within the cluster disrupts

its integrity and causes the largest pKa shift for flanking residues, while increasing the solvent accessibility of the backbone. The integrity of the structurally dominant cluster relies primarily upon local hydrophobic interactions, rather than on interactions between the sidechains of charged flanking residues. Moreover, the conformational disposition of the cluster affects the pKas of flanking residues, underscoring its structural dominance.

IT 182912-78-3

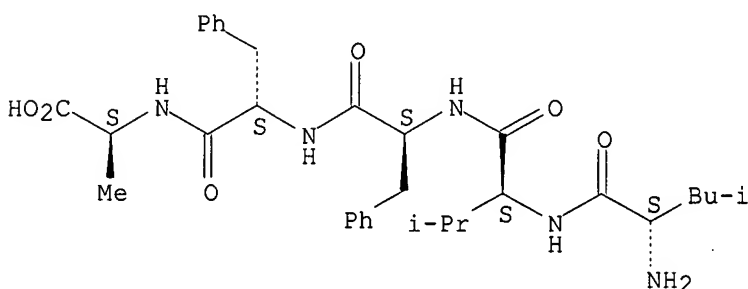
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)

(amyloid  $\beta$  central hydrophobic cluster peptide residual structure in Alzheimer's disease peptide)

RN 182912-78-3 HCAPLUS

CN L-Alanine, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:163613 HCAPLUS

DOCUMENT NUMBER: 128:217639

TITLE: Preparation of D-amino acid peptides as modulators of  $\beta$ -amyloid peptide aggregation

INVENTOR(S): Findeis, Mark A.; Gefter, Malcolm L.; Musso, Gary; Signer, Ethan R.; Wakefield, James; Molineaux, Susan; Chin, Joseph; Lee, Jung-Ja; Kelley, Michael; Komar-Panicucci, Sonja; Arico-Muendel, Christopher C.; Phillips, Kathryn; Hayward, Neil J.

PATENT ASSIGNEE(S): Praecis Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 92 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9808868	A1	19980305	WO 1997-US15166	19970827 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,				

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AU 9742387	A1	19980319	AU 1997-42387	19970827 <--
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EP 929574	A1	19990721	EP 1997-940663	19970827 <--
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AU 2004202014	A1	20040610	AU 2004-202014	20040512 <--
PRIORITY APPLN. INFO.:			US 1996-703675	A 19960827 <--
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			US 1995-404831	A2 19950314 <--
			US 1995-475579	A2 19950607 <--
			US 1995-548998	B2 19951027 <--
			AU 1996-52524	A3 19960314 <--
			US 1996-616081	B2 19960314 <--
			AU 1997-42387	A3 19970827 <--
			WO 1997-US15166	W 19970827 <--
			AU 2000-35389	A3 20000519 <--
			AU 2002-15539	A3 20020211

OTHER SOURCE(S): MARPAT 128:217639

AB Compds. that modulate natural  $\beta$ -amyloid peptide aggregation are provided. The modulators of the invention comprise a peptide, preferably based on a  $\beta$ -amyloid peptide, that is comprised entirely of D-amino acids. Preferably, the peptide comprises 3-5 D-amino acid residues and includes at least two D-amino acid residues independently selected from the group consisting of D-Leu, D-Phe, and D-Val. In a particularly preferred embodiment, the peptide is a retro-inverso isomer of a  $\beta$ -amyloid peptide, preferably a retro-inverso isomer of A $\beta$ 17-21. In certain embodiments, the peptide is modified at the amino-terminus, the carboxy-terminus, or both. Preferred amino-terminal modifying groups include cyclic, heterocyclic, polycyclic and branched alkyl groups. Preferred carboxy-terminal modifying groups include an amide group, an alkylamide group, an arylamide group or a hydroxy group. Pharmaceutical compns. comprising the compds. of the invention, and diagnostic and treatment methods for amyloidogenic diseases using the compds. of the invention, are also disclosed. Thus, peptide H-D-Leu-D-Val-D-Phe-D-Phe-D-Ala-NH<sub>2</sub>, prepared by standard solid-phase methods, inhibited aggregation of natural  $\beta$ -amyloid peptide with a change in lag time of 3.5 at a concentration of 3  $\mu$ M.

IT 183746-91-0P 204333-38-0P 204333-39-1P  
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

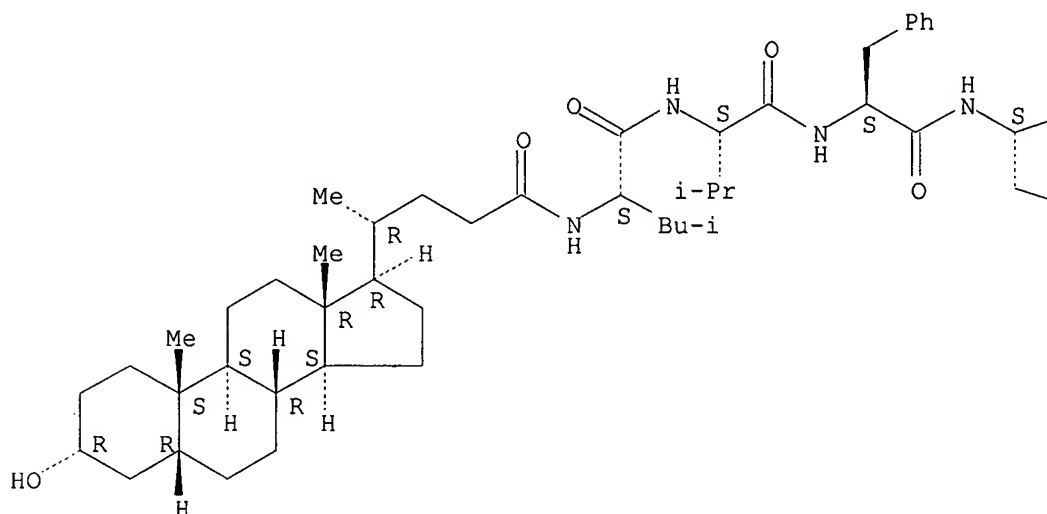
(preparation of D-amino acid peptides as modulators of  $\beta$ -amyloid peptide aggregation)

RN 183746-91-0 HCAPLUS

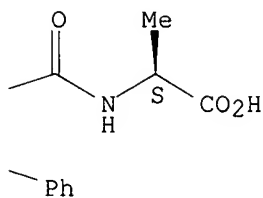
CN L-Alanine, N-[(3 $\alpha$ ,5 $\beta$ )-3-hydroxy-24-oxocholan-24-yl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

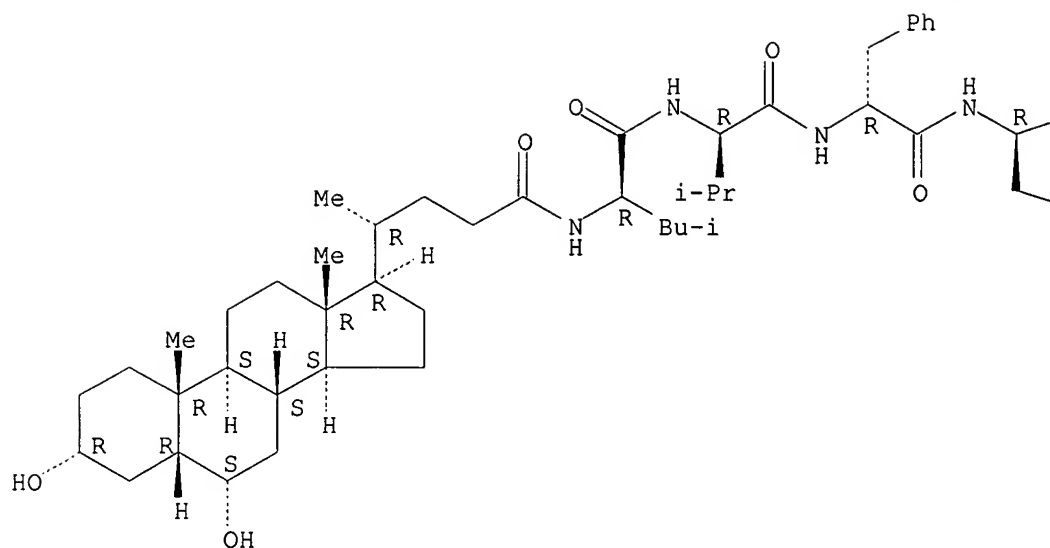


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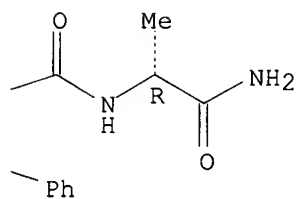
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Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

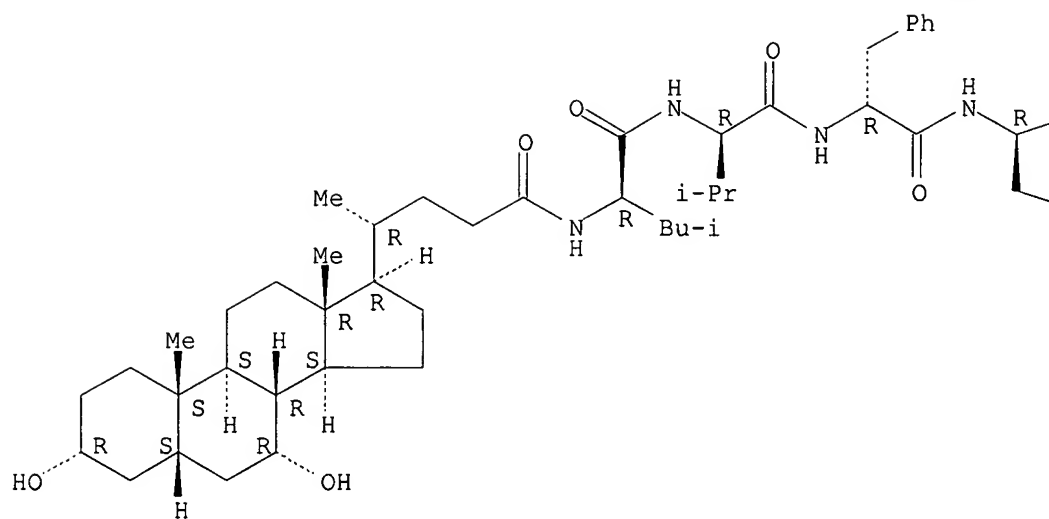


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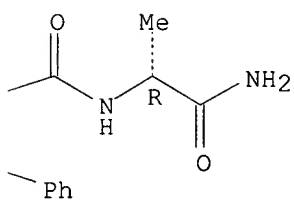
CN D-Alaninamide, N-[(3 $\alpha$ ,5 $\beta$ ,7 $\alpha$ )-3,7-dihydroxycholesterol-24-oyl]-  
D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



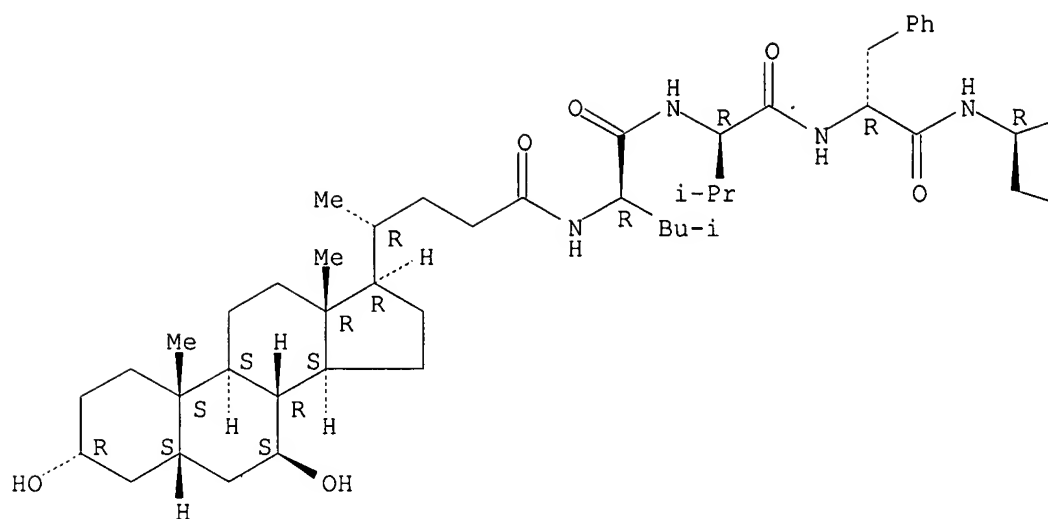
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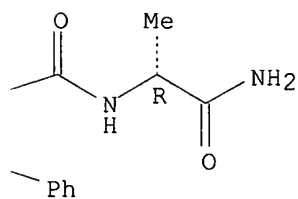
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 CN D-Alaninamide, N-[(3 $\alpha$ , 5 $\beta$ , 7 $\beta$ )-3,7-dihydroxycholan-24-oyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



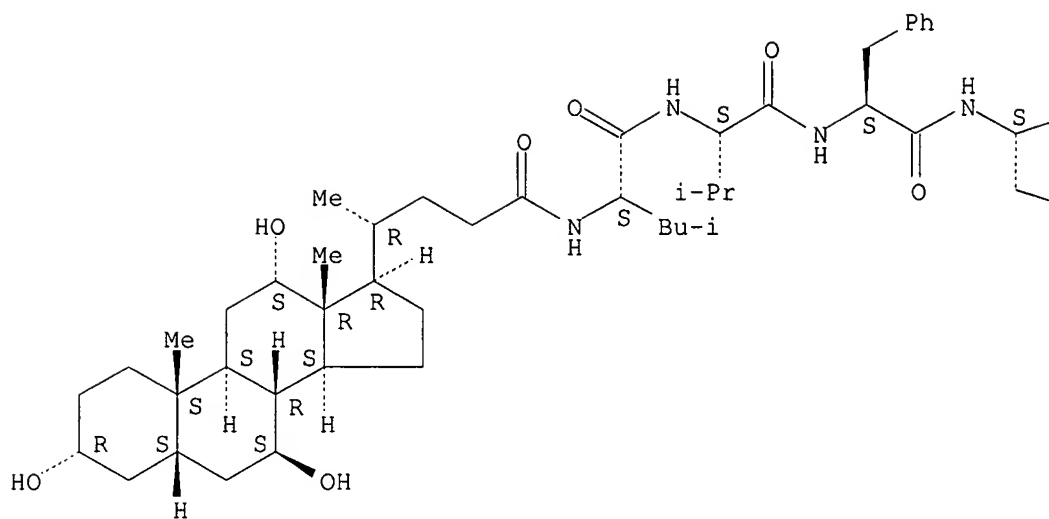
RN 204333-43-7 HCAPLUS

CN L-Alanine, N-[(3 $\alpha$ , 5 $\beta$ , 7 $\beta$ , 12 $\alpha$ )-3, 7, 12-trihydroxy-24-oxocholan-24-yl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI)  
(CA INDEX NAME)

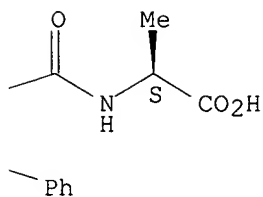
Absolute stereochemistry.



PAGE 1-A



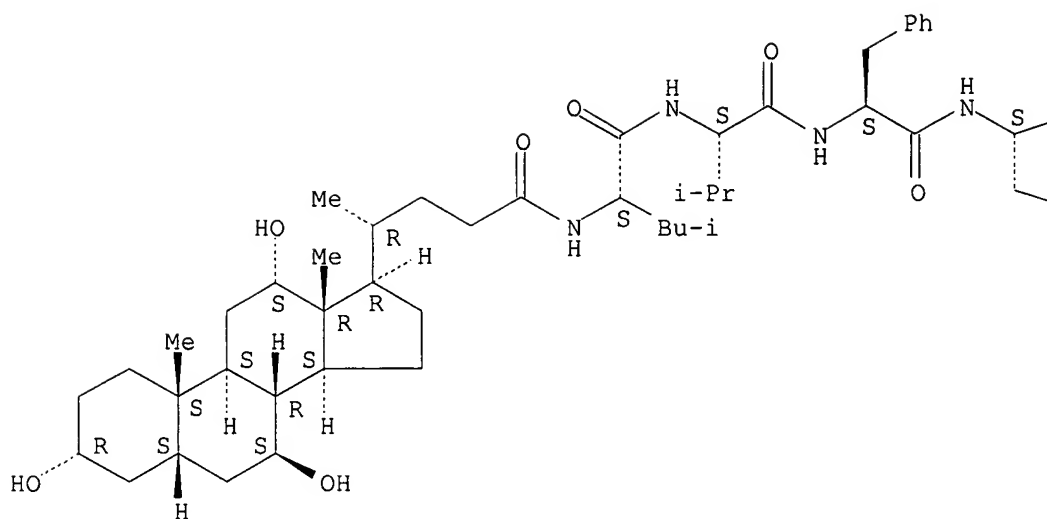
PAGE 1-B



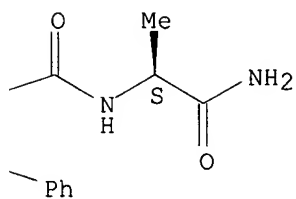
RN 204333-44-8 HCAPLUS  
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 24-oxocholan-24-yl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



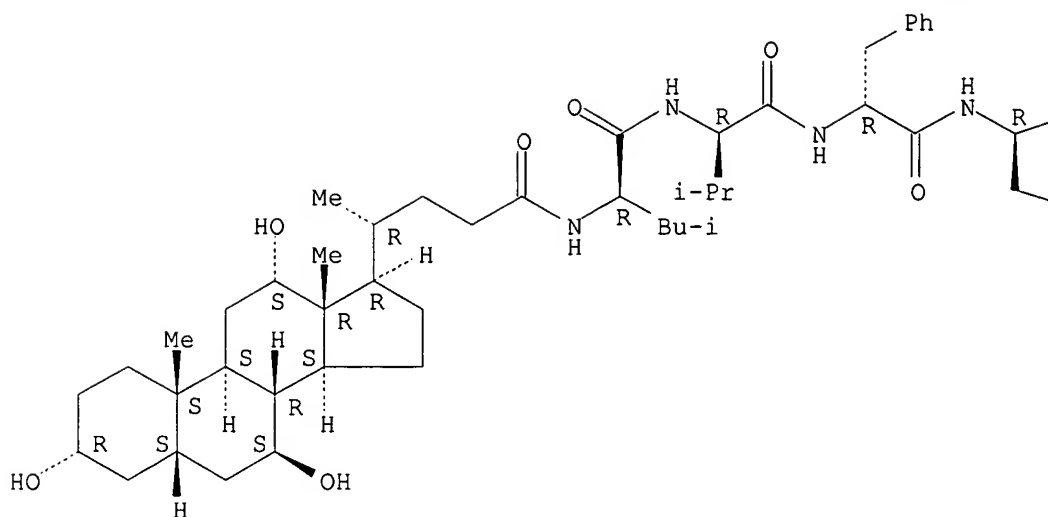
PAGE 1-B



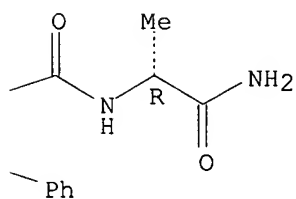
RN 204333-48-2 HCAPLUS  
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 24-oxocholan-24-yl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



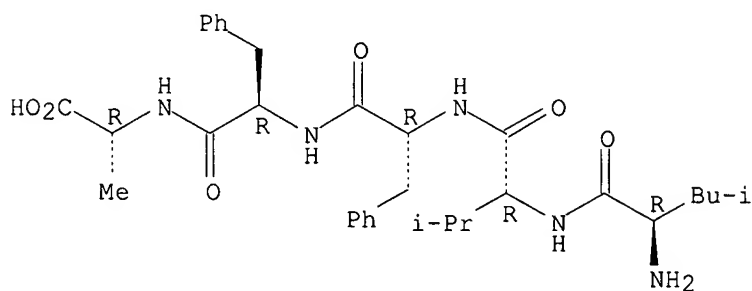
PAGE 1-B



RN 204333-52-8 HCAPLUS

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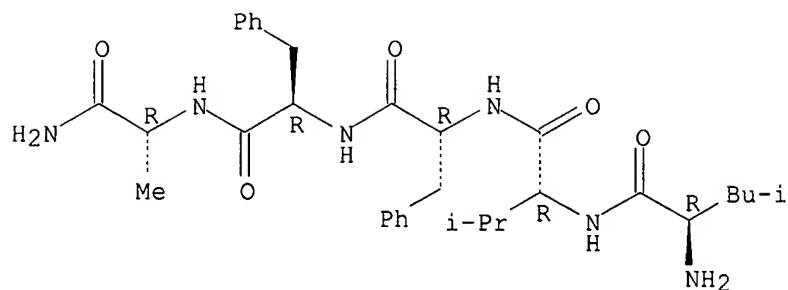
Absolute stereochemistry.



RN 204333-53-9 HCAPLUS

CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

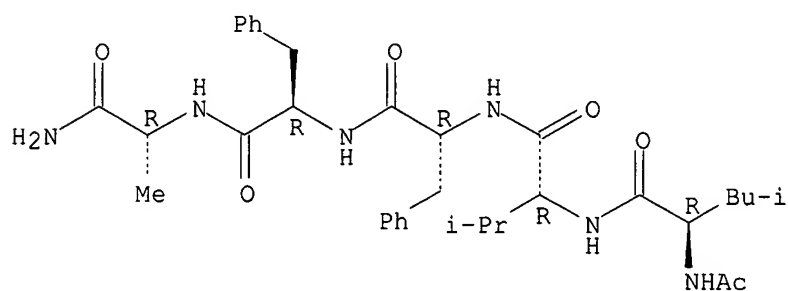
Absolute stereochemistry.



RN 204333-74-4 HCAPLUS

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(9CI) (CA INDEX NAME)

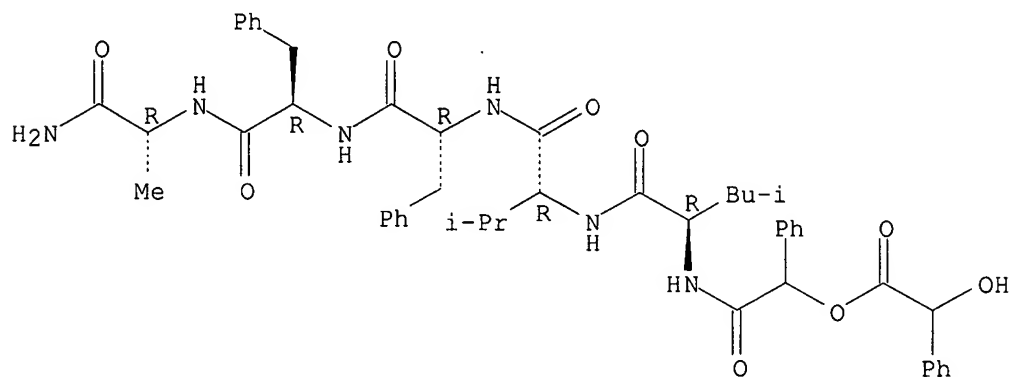
Absolute stereochemistry.



RN 204333-80-2 HCAPLUS

CN D-Alaninamide, N-[(hydroxyphenylacetyl)oxy]phenylacetyl-D-leucyl-D-valyl-  
D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

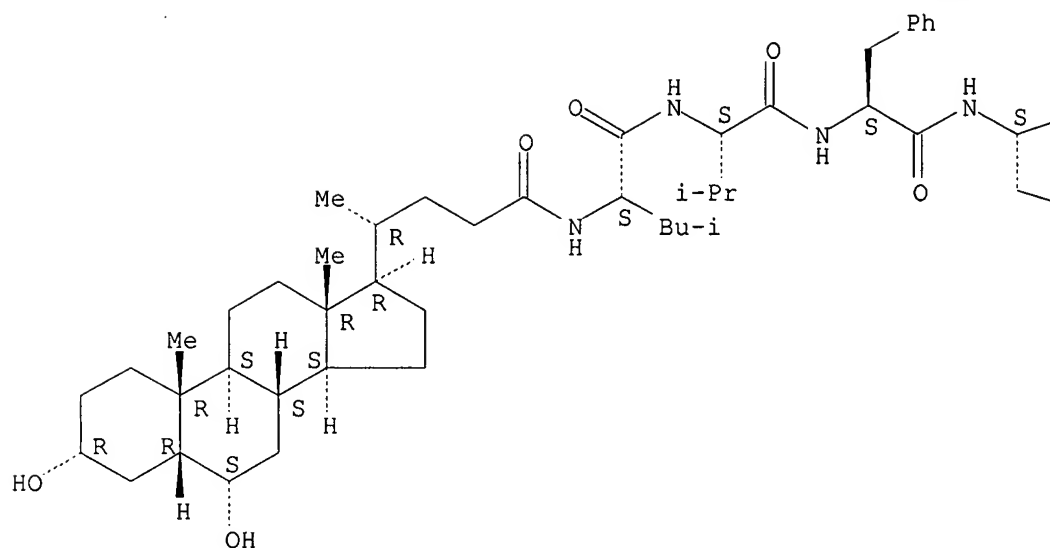


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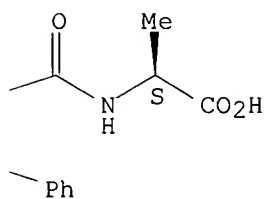
CN L-Alanine, N-[(3α,5β,6α)-3,6-dihydroxy-24-oxocholan-24-yl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



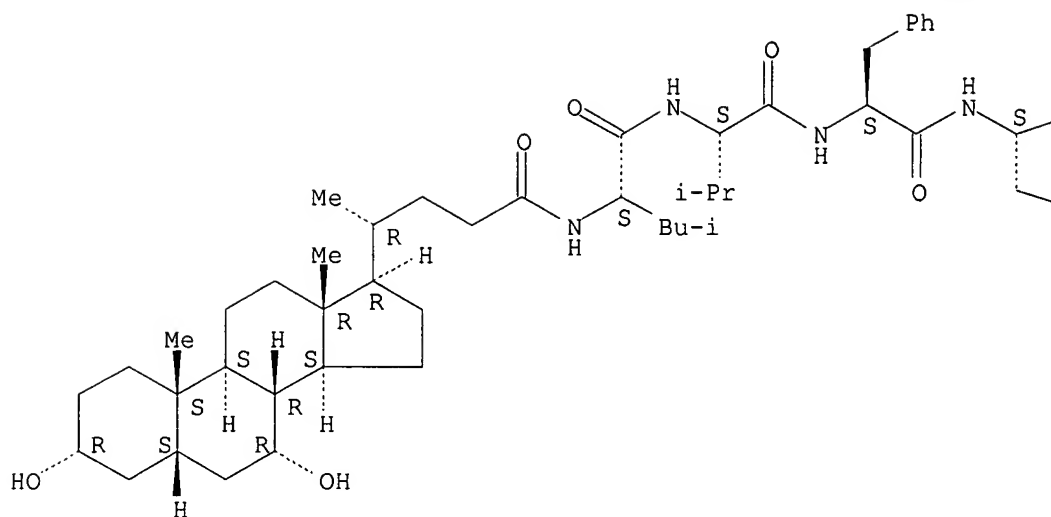
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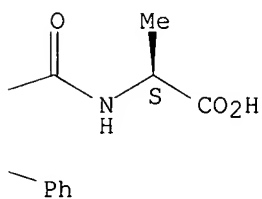
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 CN L-Alanine, N-[(3 $\alpha$ ,5 $\beta$ ,7 $\alpha$ )-3,7-dihydroxy-24-oxocholan-24-yl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



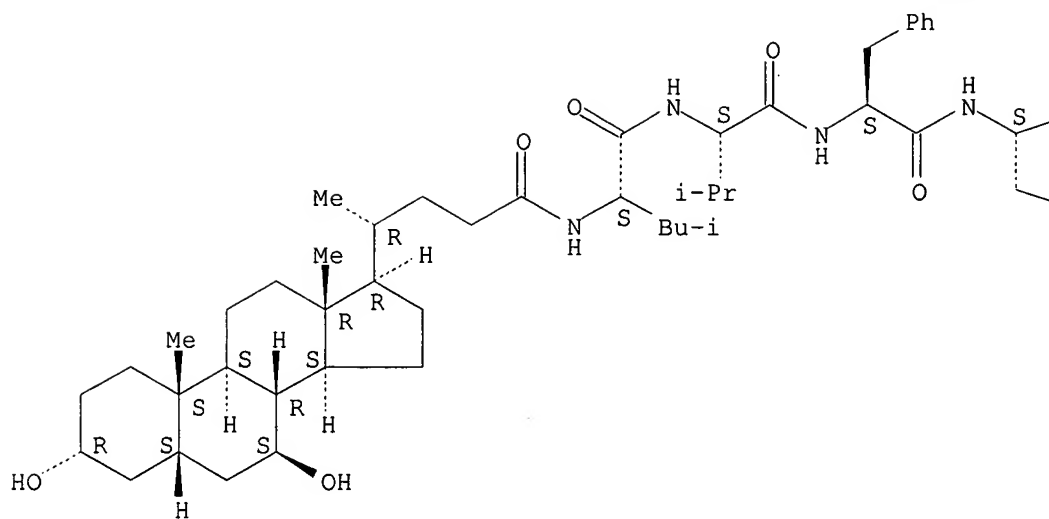
PAGE 1-B



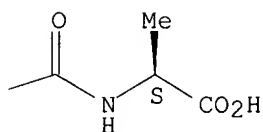
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 L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

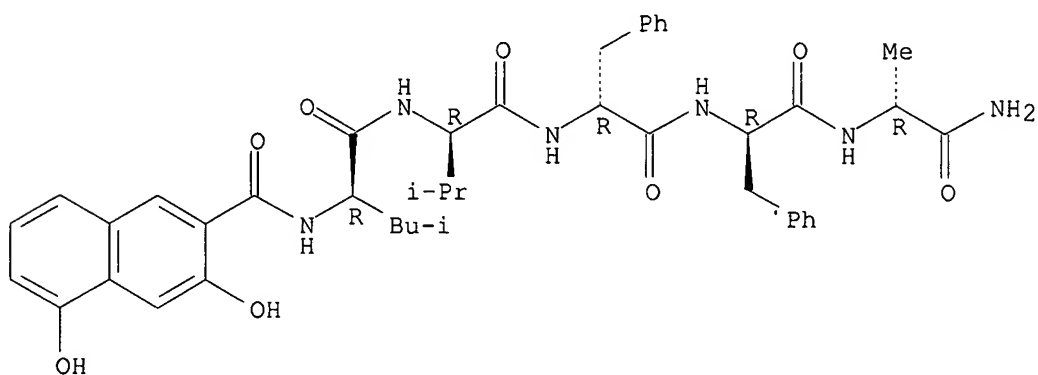


Ph

RN 204333-84-6 HCAPLUS

CN D-Alaninamide, N-[(3,5-dihydroxy-2-naphthalenyl)carbonyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

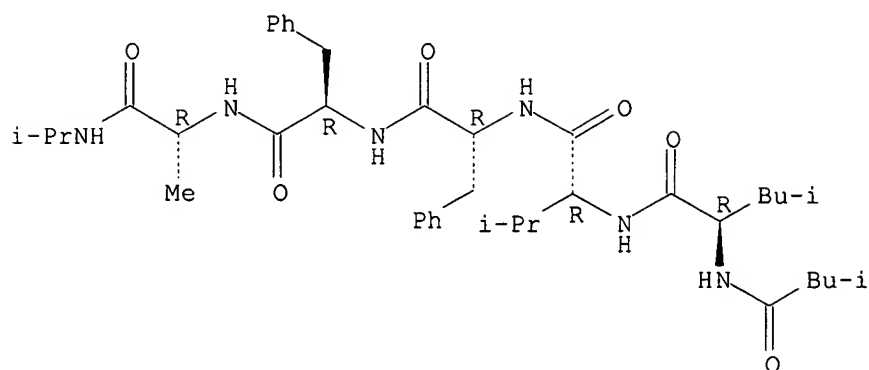
Absolute stereochemistry.



RN 204333-85-7 HCAPLUS

CN D-Alaninamide, N-(3-methyl-1-oxobutyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

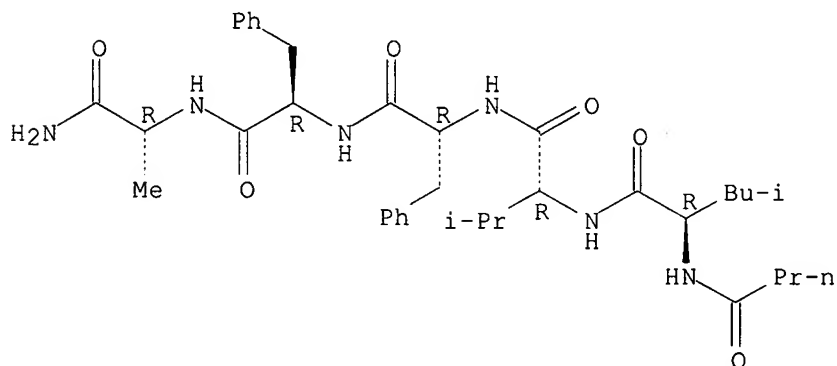
Absolute stereochemistry.



RN 204333-86-8 HCAPLUS

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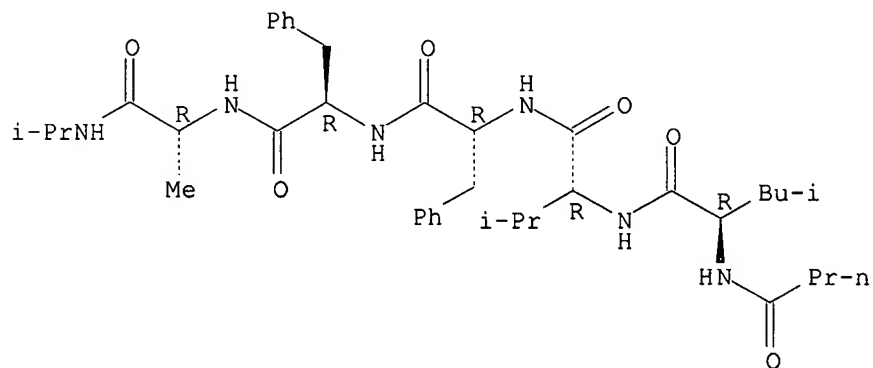
Absolute stereochemistry.



RN 204333-87-9 HCAPLUS

CN D-Alaninamide, N-(1-oxobutyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



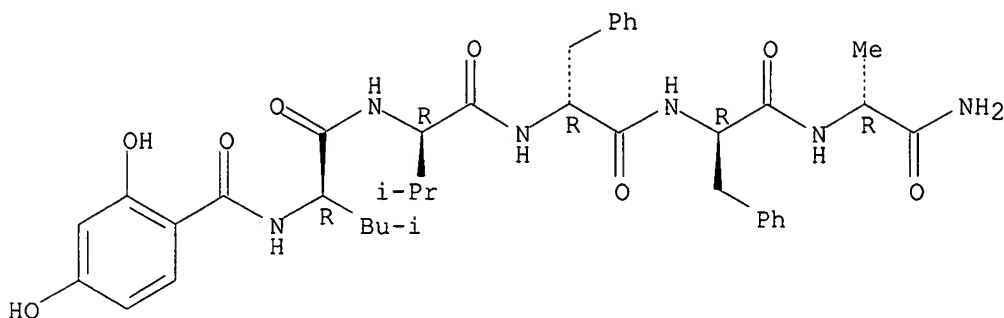
RN 204333-88-0 HCAPLUS

CN D-Alaninamide, N-(2,4-dihydroxybenzoyl)-D-leucyl-D-valyl-D-phenylalanyl-D-



phenylalanyl- (9CI) (CA INDEX NAME)

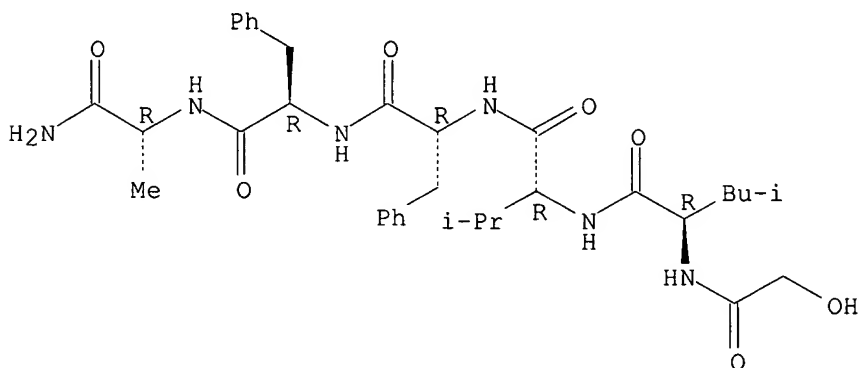
Absolute stereochemistry.



RN 204333-90-4 HCAPLUS

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Absolute stereochemistry.

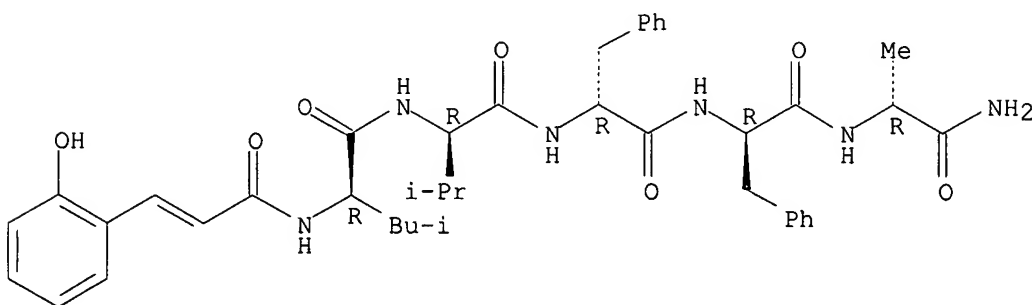


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CN D-Alaninamide, N-[3-(2-hydroxyphenyl)-1-oxo-2-propenyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

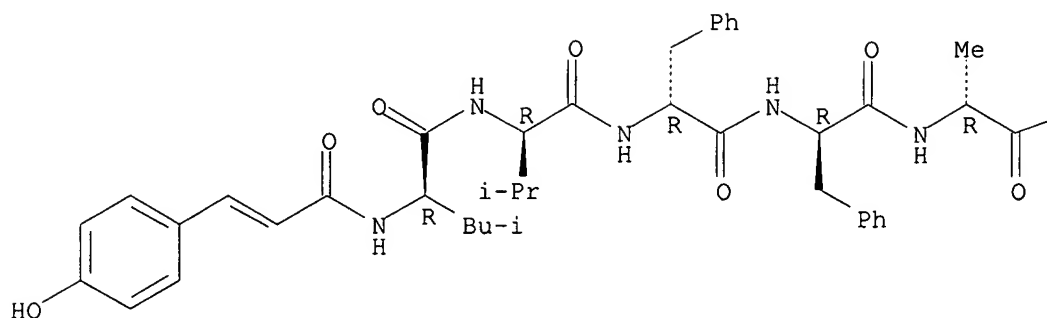


RN 204333-92-6 HCAPLUS

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Absolute stereochemistry.  
Double bond geometry unknown.

PAGE 1-A



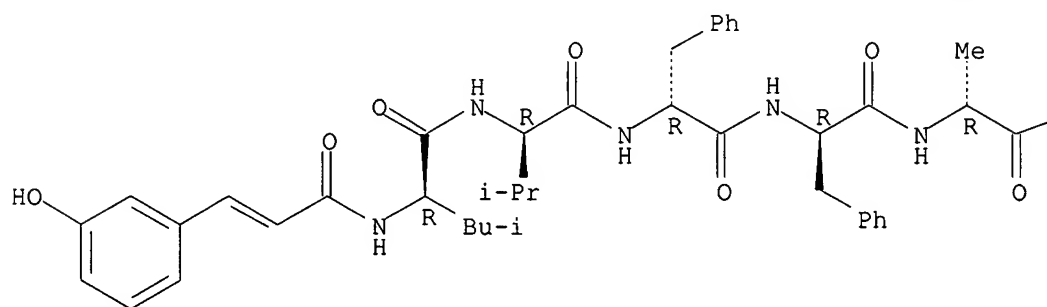
PAGE 1-B

—NH<sub>2</sub>

RN 204333-93-7 HCAPLUS  
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Absolute stereochemistry.  
Double bond geometry unknown.

PAGE 1-A



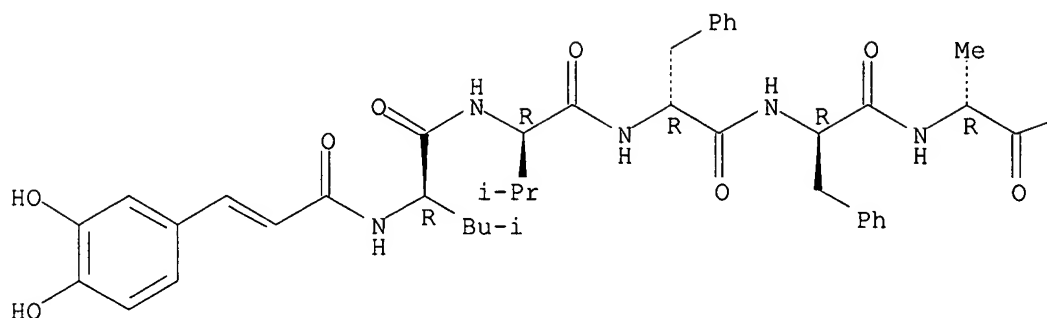
PAGE 1-B

—NH<sub>2</sub>

RN 204333-95-9 HCAPLUS  
CN D-Alaninamide, N-[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.

PAGE 1-A

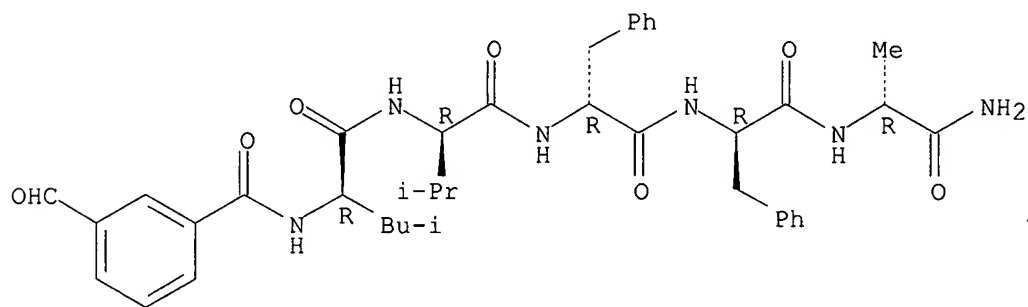


PAGE 1-B

—NH<sub>2</sub>

RN 204333-96-0 HCAPLUS  
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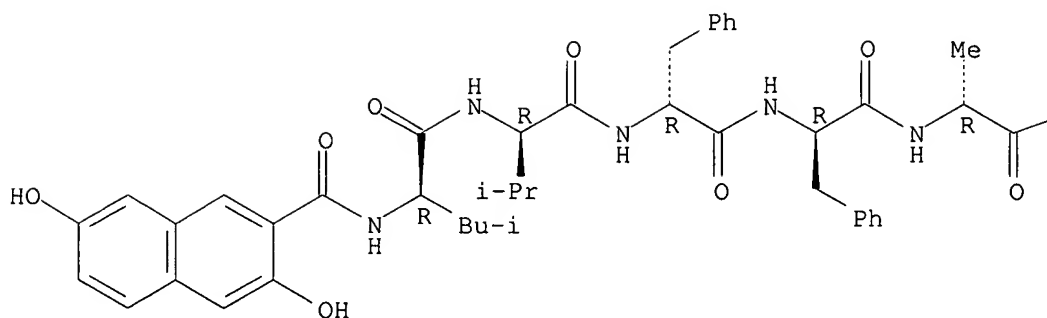
Absolute stereochemistry.



RN 204333-97-1 HCAPLUS  
CN D-Alaninamide, N-[(3,7-dihydroxy-2-naphthalenyl)carbonyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



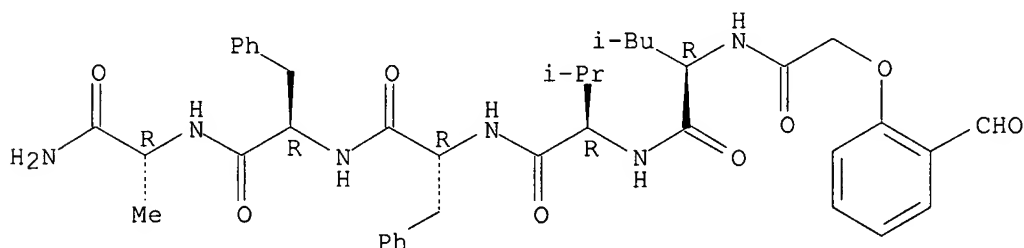
PAGE 1-B

—NH<sub>2</sub>

RN 204333-98-2 HCAPLUS

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Absolute stereochemistry.



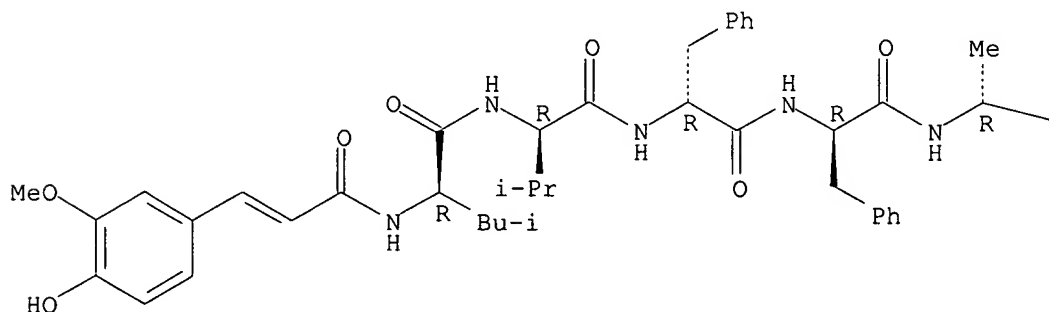
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CN D-Alaninamide, N-[3-(4-hydroxy-3-methoxyphenyl)-1-oxo-2-propenyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

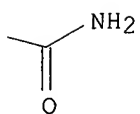
Absolute stereochemistry.

Double bond geometry unknown.

PAGE 1-A



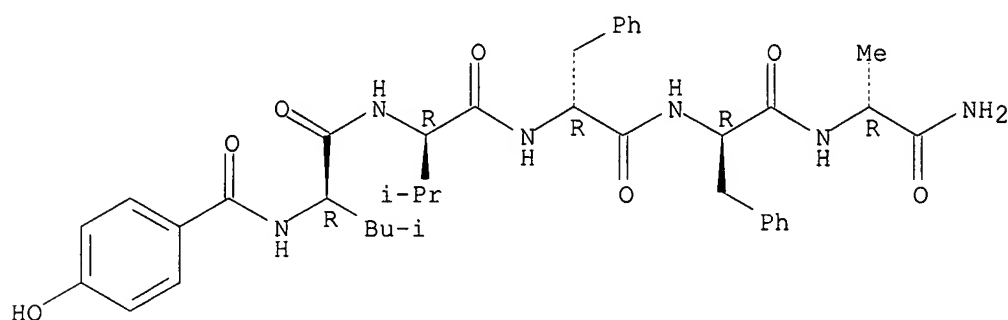
PAGE 1-B



RN 204334-00-9 HCAPLUS

CN D-Alaninamide, N-(4-hydroxybenzoyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

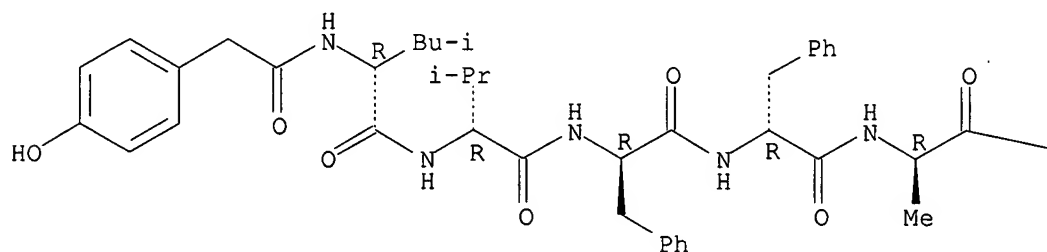
Absolute stereochemistry.



RN 204334-01-0 HCAPLUS

CN D-Alaninamide, N-[(4-hydroxyphenyl)acetyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

PAGE 1-B



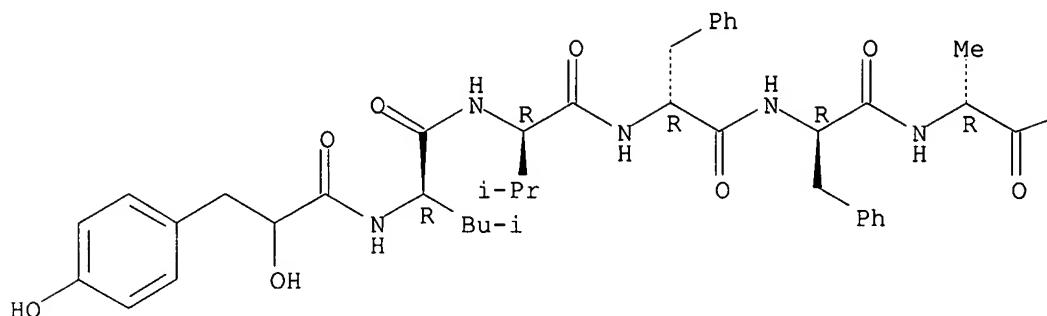
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CN D-Alaninamide, α,4-dihydroxybenzenepropanoyl-D-leucyl-D-valyl-D-

phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

—NH<sub>2</sub>

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:748345 HCAPLUS

DOCUMENT NUMBER: 126:19332

TITLE: Preparation of peptides as modulators of amyloid aggregation

INVENTOR(S): Findeis, Mark A.; Benjamin, Howard; Garnick, Marc B.; Gefter, Malcolm L.; Hundal, Arvind; Kasman, Laura; Musso, Gary; Signer, Ethan R.; Wakefield, James; et al.

PATENT ASSIGNEE(S): Pharmaceutical Peptides Incorporated, USA

SOURCE: PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9628471	A1	19960919	WO 1996-US3492	19960314 <--
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5817626	A	19981006	US 1995-404831	19950314 <--
US 5854215	A	19981229	US 1995-475579	19950607 <--
AU 9652524	A1	19961002	AU 1996-52524	19960314 <--
EP 815134	A1	19980107	EP 1996-908805	19960314 <--
EP 815134	B1	20020605		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11514333	T2	19991207	JP 1996-527816	19960314 <--

AT 218583	E	20020615	AT 1996-908805	19960314 <--
AU 759036	B2	20030403	AU 2000-35389	20000519 <--
AU 769915	B2	20040212	AU 2002-15539	20020211 <--
AU 2003208150	A1	20030807	AU 2003-208150	20030703 <--
AU 2004202014	A1	20040610	AU 2004-202014	20040512 <--
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			US 1995-475579	A 19950607 <--
			US 1995-548998	A 19951027 <--
			AU 1996-52524	A3 19960314 <--
			WO 1996-US3492	W 19960314 <--
			AU 1997-42387	A3 19970827 <--
			AU 2000-35389	A3 20000519 <--
			AU 2002-15539	A3 20020211

AB Compds. that modulate the aggregation of amyloidogenic proteins or peptides are disclosed. The modulators of the invention can promote amyloid aggregation or, more preferably, can inhibit natural amyloid aggregation. In a preferred embodiment, the compds. modulate the aggregation of natural  $\beta$  amyloid peptides ( $\beta$ -AP). In a preferred embodiment, the  $\beta$  amyloid modulator compds. of the invention are comprised of an A $\beta$  aggregation core domain and a modifying group coupled thereto such that the compound alters the aggregation or inhibits the neurotoxicity of natural  $\beta$  amyloid peptides when contacted with the peptides. Furthermore, the modulators are capable of altering natural  $\beta$ -AP aggregation when the natural  $\beta$ -APs are in a molar excess amount relative to the modulators. Pharmaceutical compns. comprising the compds. of the invention, and diagnostic and treatment methods for amyloidogenic diseases using the compds. of the invention, are also disclosed. These peptide compds. are bound to natural  $\beta$ -amyloid peptides to facilitate diagnosis of a  $\beta$ -amyloidogenic disease, in particular Alzheimer's disease, and are useful for treating a disorder associated with amyloidosis including, e.g. familial amyloid polyneuropathy or cardiomyopathy, isolated cardiac amyloid, systemic senile amyloidosis, scrapie, bovine spongiform encephalopathy, and Creutzfeldt-Jakob disease. Thus, N-biotinyl-DAEFRHDSGYEVHHQKLVFFAEDVGSNKGAIIGLMVGGVV-OH (N-biotinyl- $\beta$ -AP1-40), prepared by the solid phase synthesis using a N $\alpha$ -Fmoc-based protection strategy and Fmoc-Val-Wang resin, at 1% markedly inhibited aggregation of the natural  $\beta$ -amyloid peptide ( $\beta$ -AP1-40).

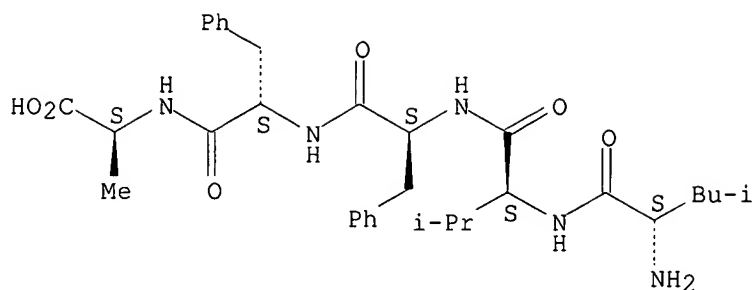
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 183746-96-5P 183903-86-8P 183903-87-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of peptides as modulators of amyloid aggregation for treating amyloidosis-associated disorders)

RN 182912-78-3 HCAPLUS

CN L-Alanine, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

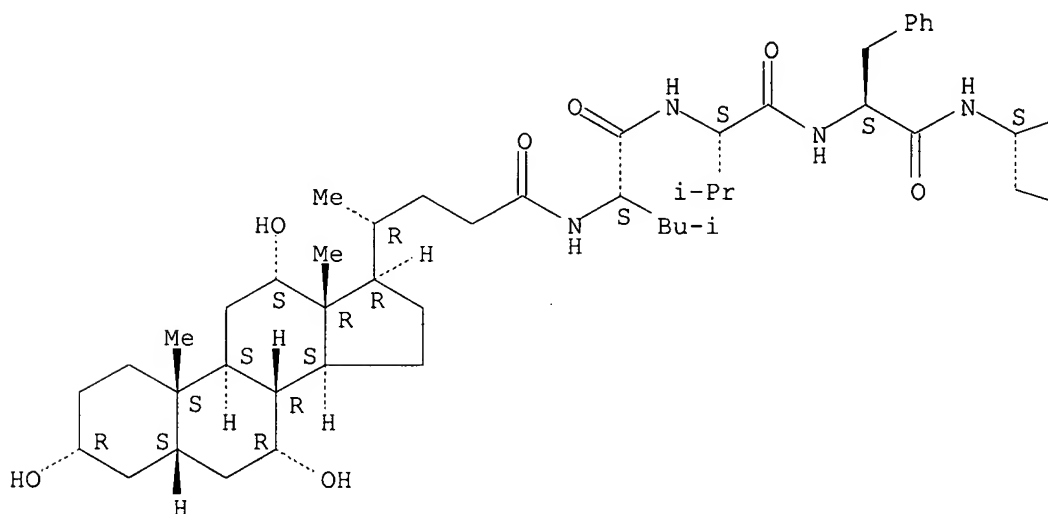


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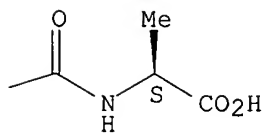
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(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



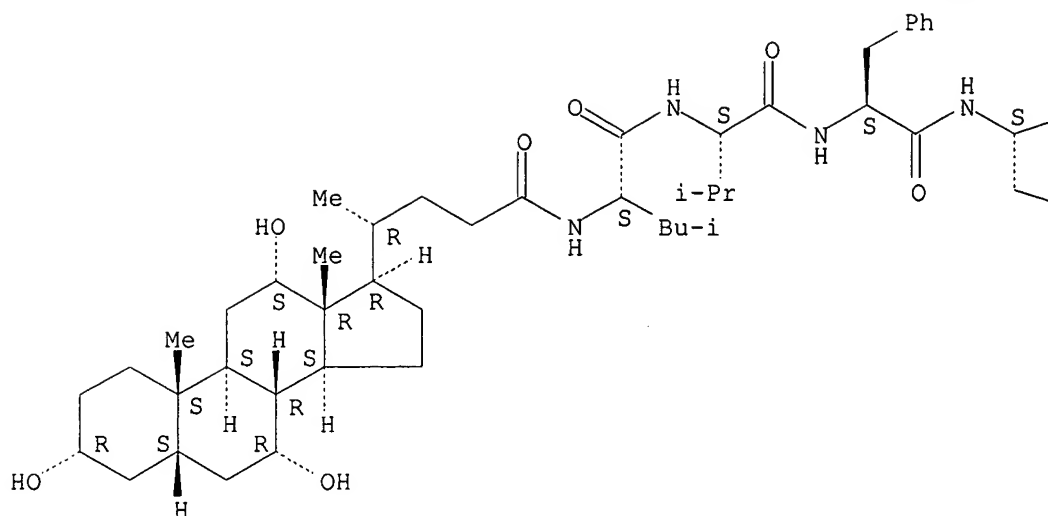
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(CA INDEX NAME)

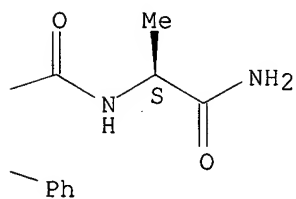
Absolute stereochemistry.



PAGE 1-A



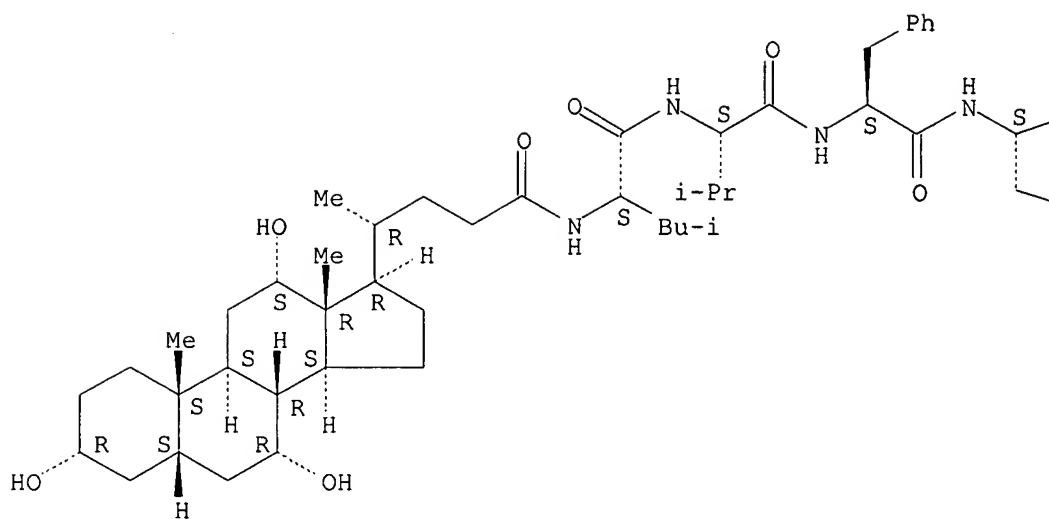
PAGE 1-B



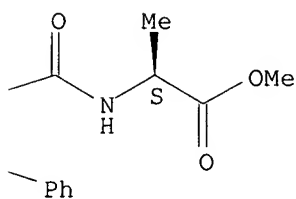
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Absolute stereochemistry.

PAGE 1-A

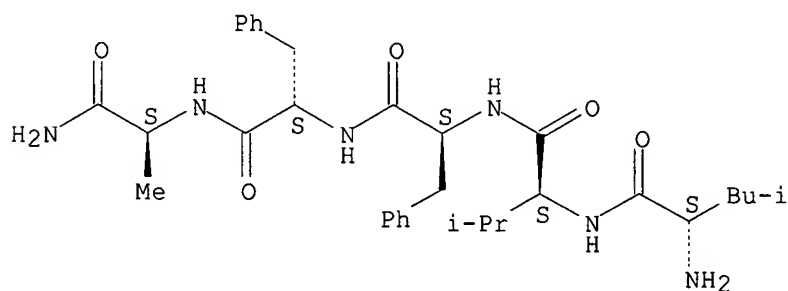


PAGE 1-B



RN 183746-77-2 HCAPLUS  
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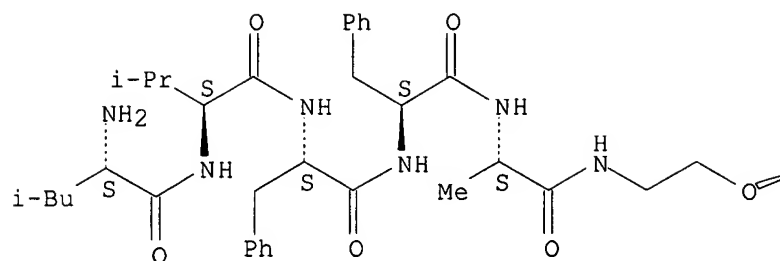
Absolute stereochemistry.



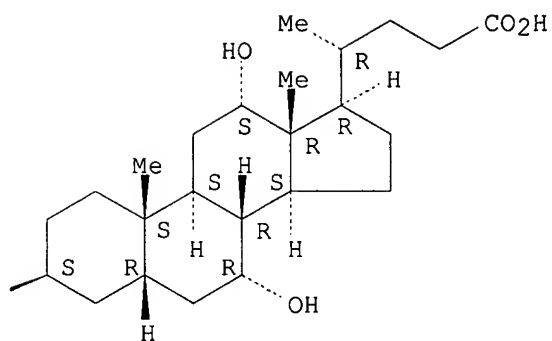
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Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

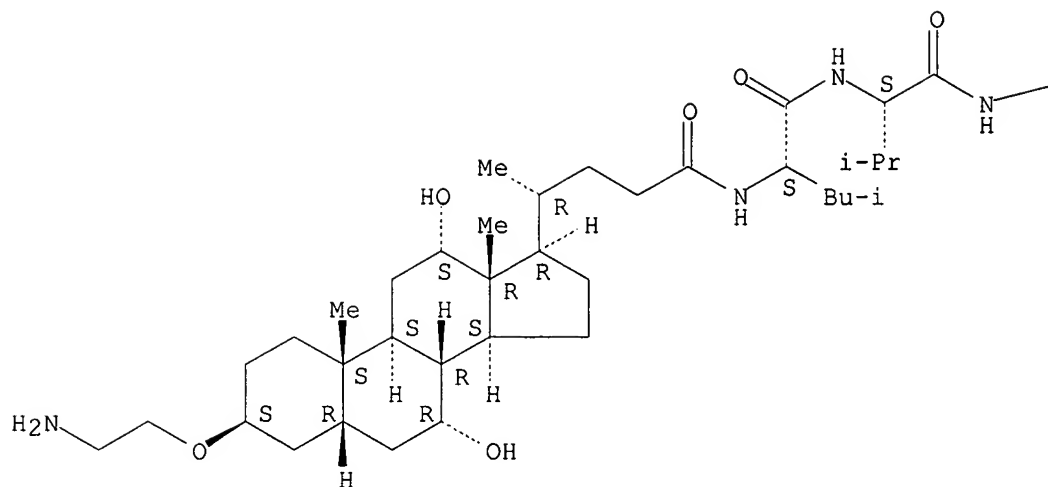


RN 183746-81-8 HCAPLUS

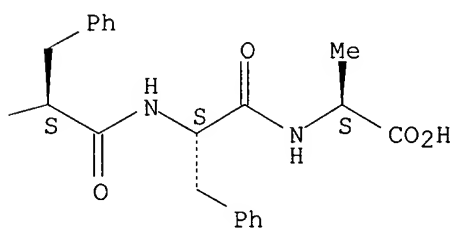
CN L-Alanine, N-[(3 $\beta$ ,5 $\beta$ ,7 $\alpha$ ,12 $\alpha$ )-3-(2-aminoethoxy)-7,12-dihydroxy-24-oxocholan-24-yl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

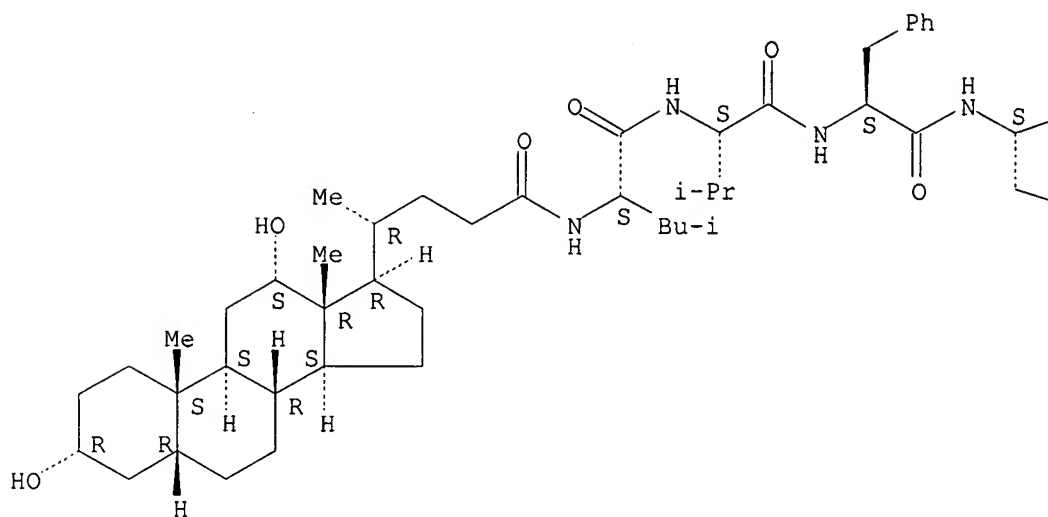


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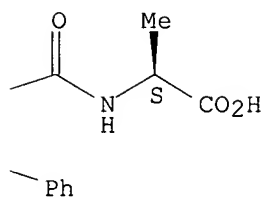
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Absolute stereochemistry.

PAGE 1-A



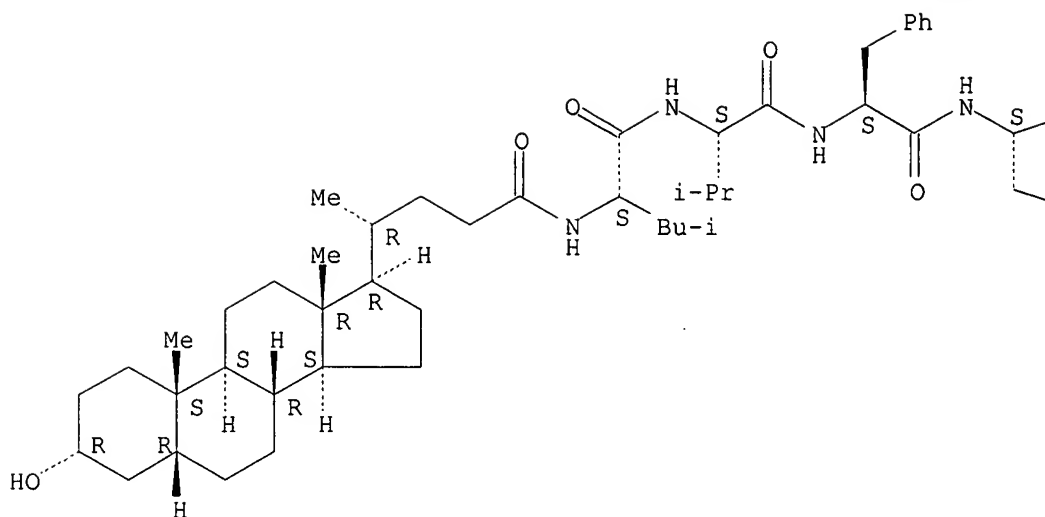
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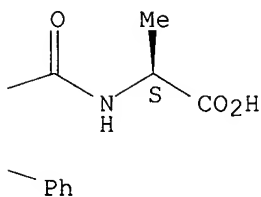
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Absolute stereochemistry.

PAGE 1-A

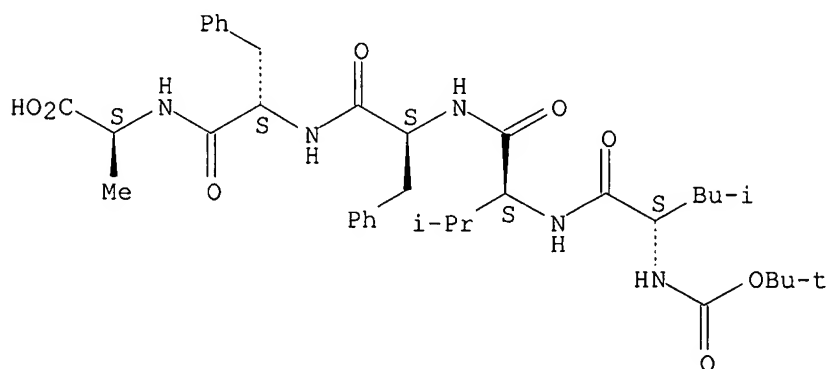


PAGE 1-B



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CN      L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl-L-valyl-L-
        phenylalanyl-L-phenylalanyl- (9CI)  (CA INDEX NAME)
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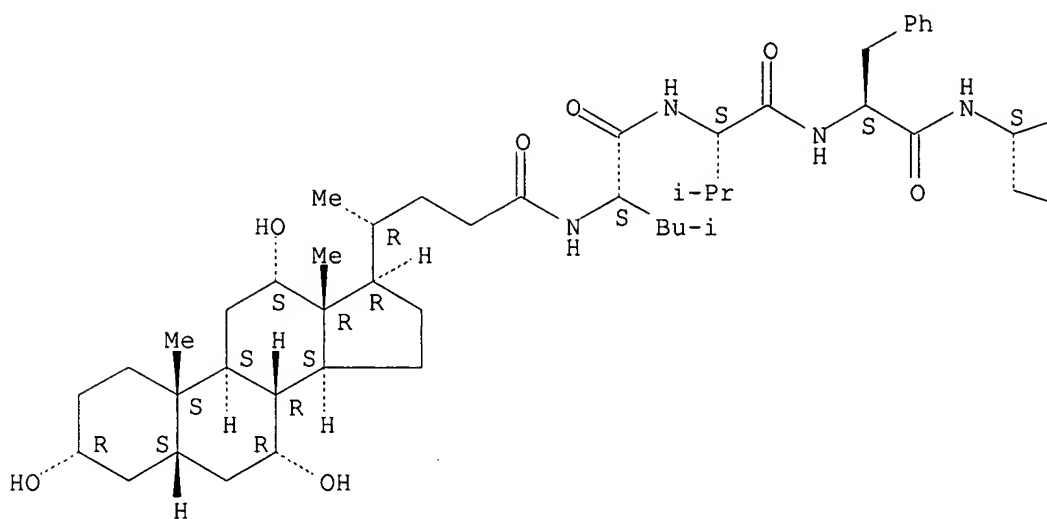
Absolute stereochemistry.



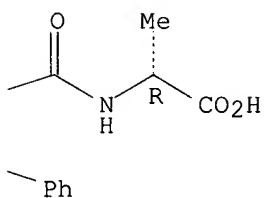
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Absolute stereochemistry.

PAGE 1-A



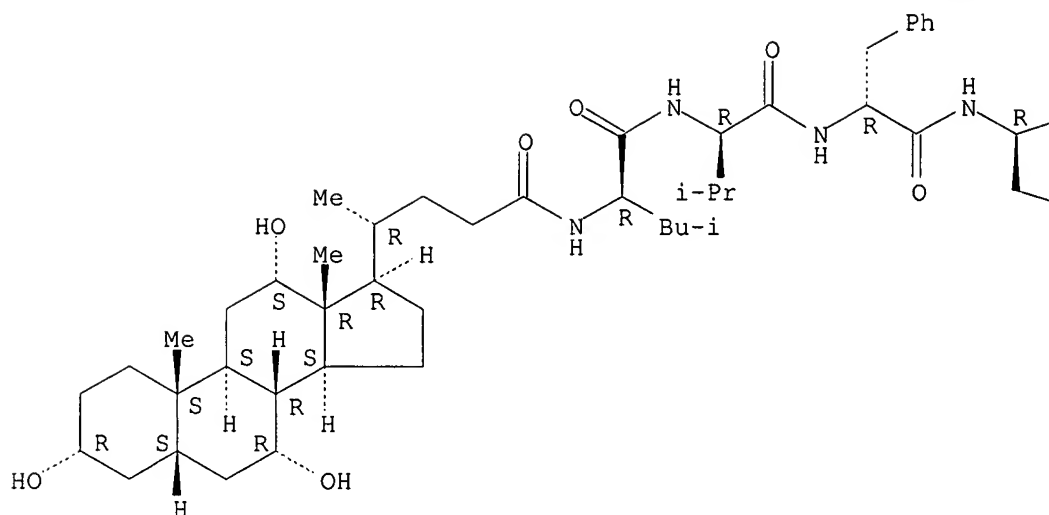
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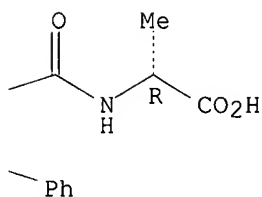
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 (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L9 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2006 ACS on STN  
 ACCESSION NUMBER: 1996:592336 HCAPLUS  
 DOCUMENT NUMBER: 125:292787  
 TITLE: Inhibition of Alzheimer's amyloidosis by peptides that prevent  $\beta$ -sheet conformation  
 AUTHOR(S): Soto, Claudio; Kindy, Mark S.; Baumann, Marc; Frangione, Blas  
 CORPORATE SOURCE: Dep. Neurology, Dep. Pathology, New York Univ. Med. Center, New York, NY, 10016, USA  
 SOURCE: Biochemical and Biophysical Research Communications (1996), 226(3), 672-680  
 CODEN: BBRC9; ISSN: 0006-291X  
 PUBLISHER: Academic  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English

AB Amyloid  $\beta$ -peptide ( $A\beta$ ) is a major fibrillar component of neuritic plaques in Alzheimer's disease (AD) brains and is related to the pathogenesis of the disease. We hypothesized that amyloid formation could be inhibited by peptides homologous to  $A\beta$  (position 17-21) with a similar degree of hydrophobicity, but with a very low propensity to adopt a  $\beta$ -sheet conformation by incorporating proline residues (anti- $\beta$ -sheet peptides or  $\beta$ -sheet inhibitors). An 11-residue peptide with these characteristics binds to  $A\beta$ , inhibits  $A\beta$  fibril formation, and partially disaggregates preformed fibrils in vitro.



Shorter anti- $\beta$ -sheet peptides and analogs containing D-amino acids are also able to inhibit A $\beta$  fibrillogenesis. The latter are more resistant to proteolytic degradation and may serve as a starting point of design more efficient peptides derivs. to inhibit amyloidogenesis in vivo.

IT 182912-78-3

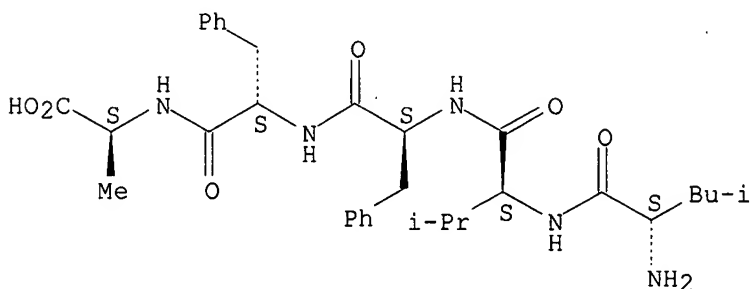
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)

(amyloid  $\beta$ -peptide (17-21) fragment sequence; Alzheimer's amyloidosis inhibition by peptides homologous to amyloid  $\beta$ -peptide and preventing  $\beta$ -sheet conformation)

RN 182912-78-3 HCAPLUS

CN L-Alanine, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 10 OF 10 USPATFULL on STN

ACCESSION NUMBER: 1998:122377 USPATFULL

TITLE: Modulators of beta-amyloid peptide aggregation

INVENTOR(S): Findeis, Mark A., Boston, MA, United States

Benjamin, Howard, Lexington, MA, United States

Garnick, Marc B., Brookline, MA, United States

Gefter, Malcolm L., Lincoln, MA, United States

Hundal, Arvind, Brighton, MA, United States

Kasman, Laura, Athens, GA, United States

Musso, Gary, Hopkinton, MA, United States

Signer, Ethan R., Cambridge, MA, United States

Wakefield, James, Brookline, MA, United States

Reed, Michael J., Oak Ridge, TN, United States

PATENT ASSIGNEE(S): Praecis Pharmaceuticals Incorporated, Cambridge, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 5817626		19981006
APPLICATION INFO.:	US 1995-404831		19950314 (8)
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	Granted		
PRIMARY EXAMINER:	Russel, Jeffrey E.		
LEGAL REPRESENTATIVE:	Lahive & Cockfield LLP, DeConti, Jr., Guilio A., Kara, Catherine J.		
NUMBER OF CLAIMS:	27		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	1 Drawing Figure(s); 1 Drawing Page(s)		
LINE COUNT:	1324		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds that act to modulate the aggregation of natural  $\beta$  amyloid peptides ( $\beta$ -AP) are disclosed. The  $\beta$  amyloid modulators of the

invention can promote  $\beta$ -AP aggregation or, more preferably, can inhibit natural  $\beta$ -AP aggregation. Furthermore, the modulators are capable of altering natural  $\beta$ -AP aggregation when the natural  $\beta$ -APs are in a molar excess amount relative to the modulators. Preferred  $\beta$  amyloid modulators comprise amino-terminally biotinylated  $\beta$  amyloid peptide compounds. Pharmaceutical compositions comprising the compounds of the invention, and methods of altering natural  $\beta$ -AP aggregation using the compounds of the invention, are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

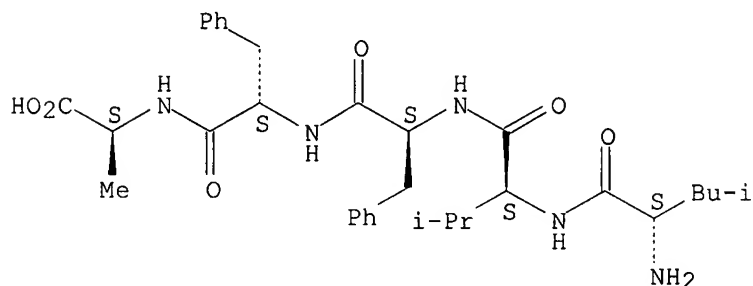
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 183746-81-8P 183746-89-6P 183746-91-0P  
 183746-96-5P 183903-86-8P 183903-87-9P

(preparation of peptides as modulators of amyloid aggregation for treating amyloidosis-associated disorders)

RN 182912-78-3 USPATFULL

CN L-Alanine, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

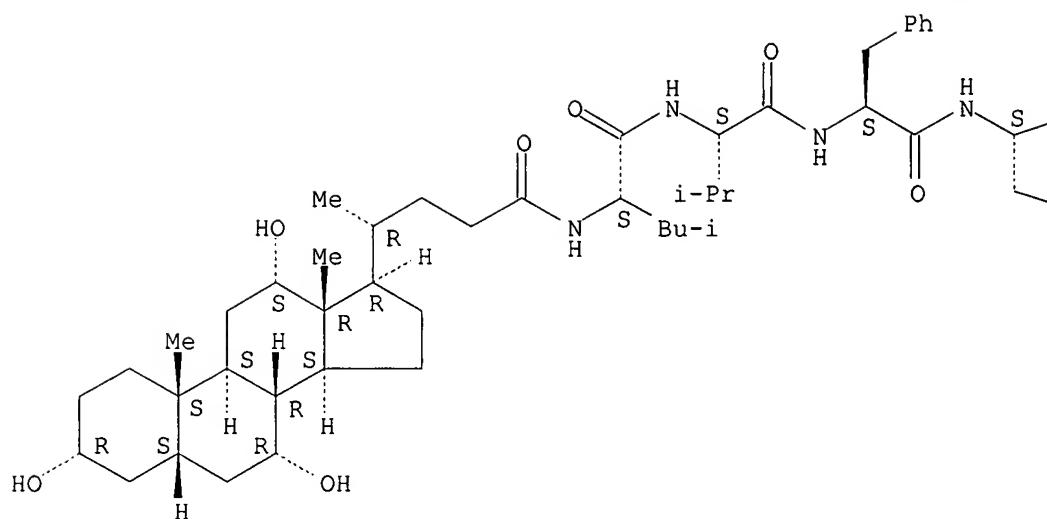


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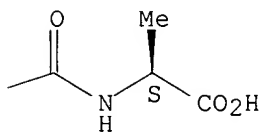
CN L-Alanine, N-[(3 $\alpha$ ,5 $\beta$ ,7 $\alpha$ ,12 $\alpha$ )-3,7,12-trihydroxy-24-oxocholan-24-yl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



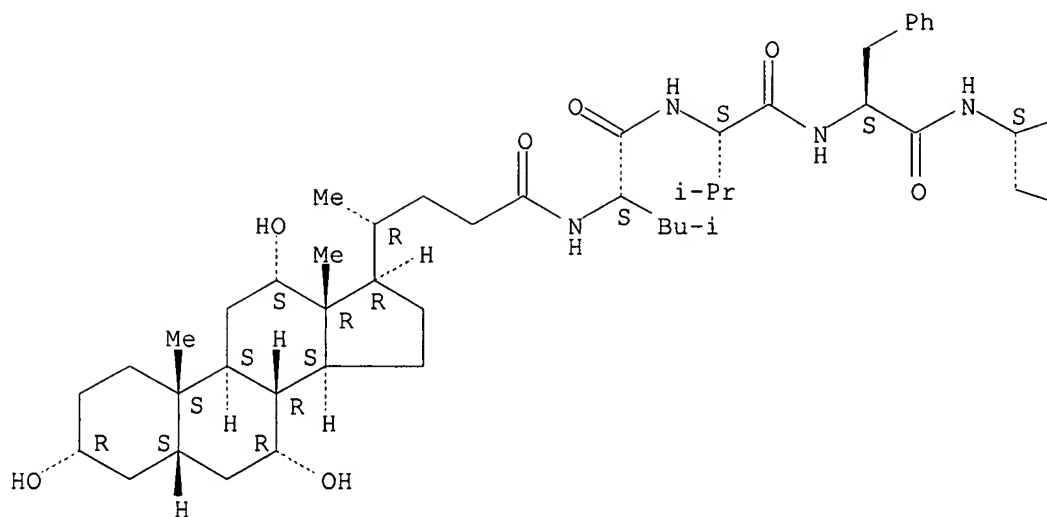
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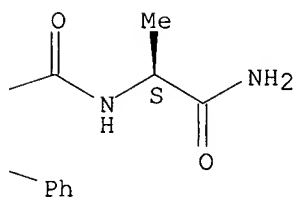
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(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

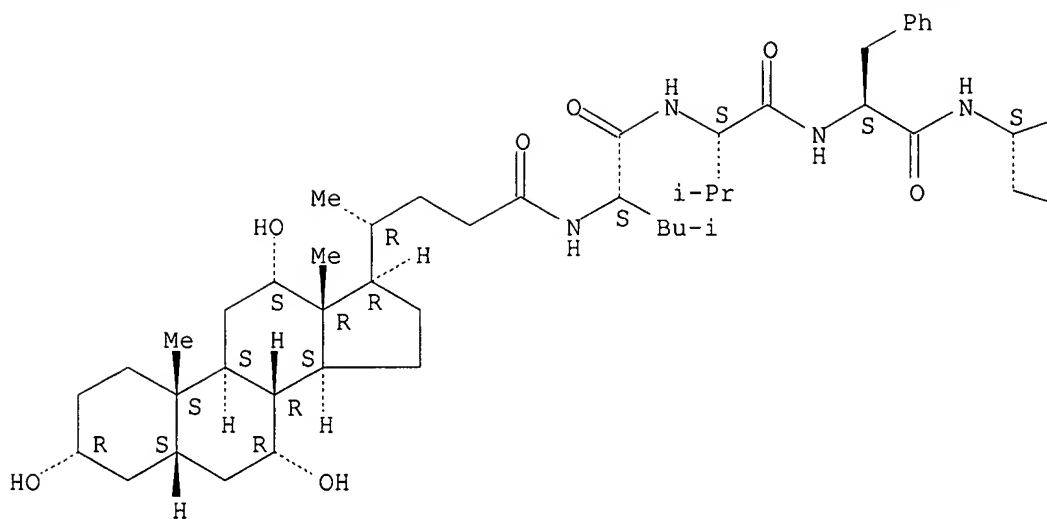


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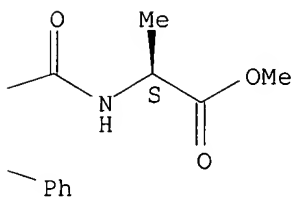
CN L-Alanine, N-[(3 $\alpha$ ,5 $\beta$ ,7 $\alpha$ ,12 $\alpha$ )-3,7,12-trihydroxy-24-oxocholan-24-yl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

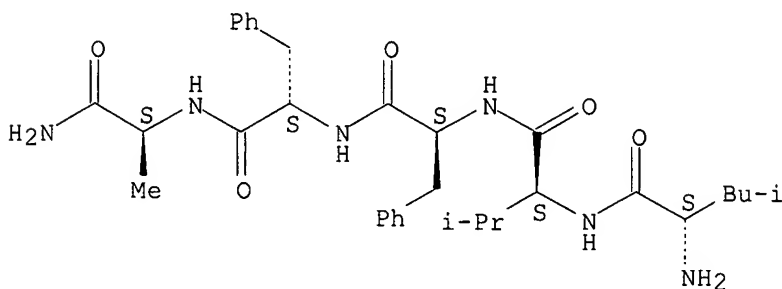


PAGE 1-B



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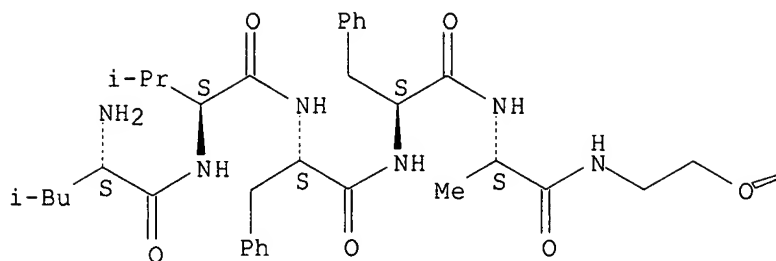
Absolute stereochemistry.



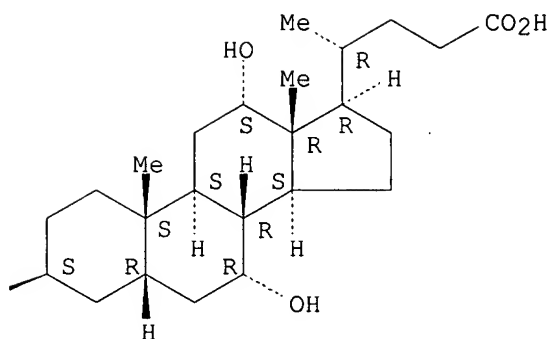
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 norcholan-3-yl]oxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



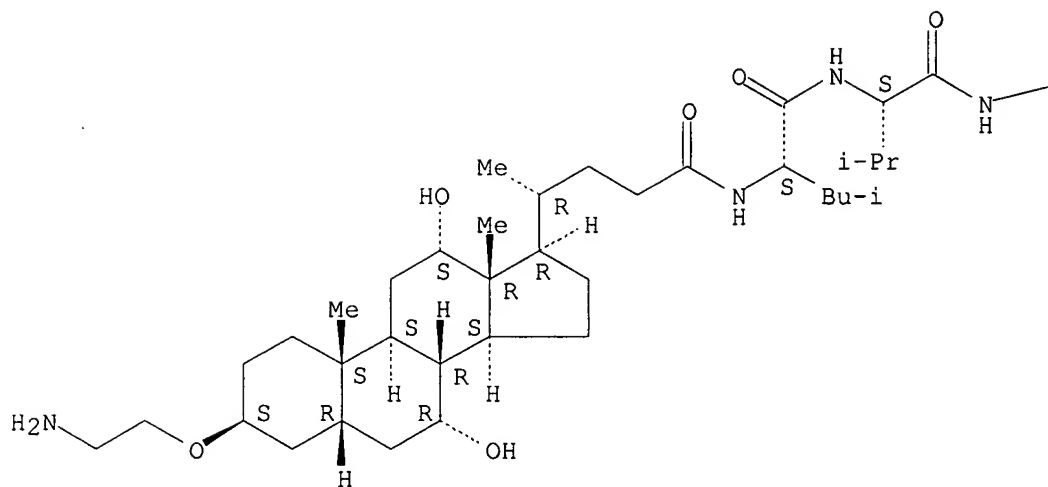
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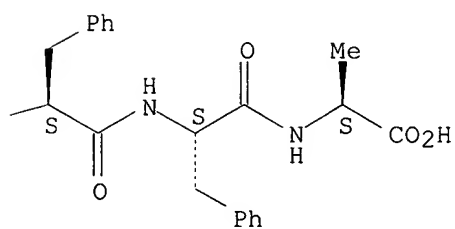
RN 183746-81-8 USPATFULL  
 CN L-Alanine, N-[(3 $\beta$ ,5 $\beta$ ,7 $\alpha$ ,12 $\alpha$ )-3-(2-aminoethoxy)-7,12-dihydroxy-24-oxocholan-24-yl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



RN 183746-89-6 USPATFULL

CN L-Alanine, N-[(3 $\alpha$ ,5 $\beta$ ,12 $\alpha$ )-3,12-dihydroxy-24-oxocholan-24-yl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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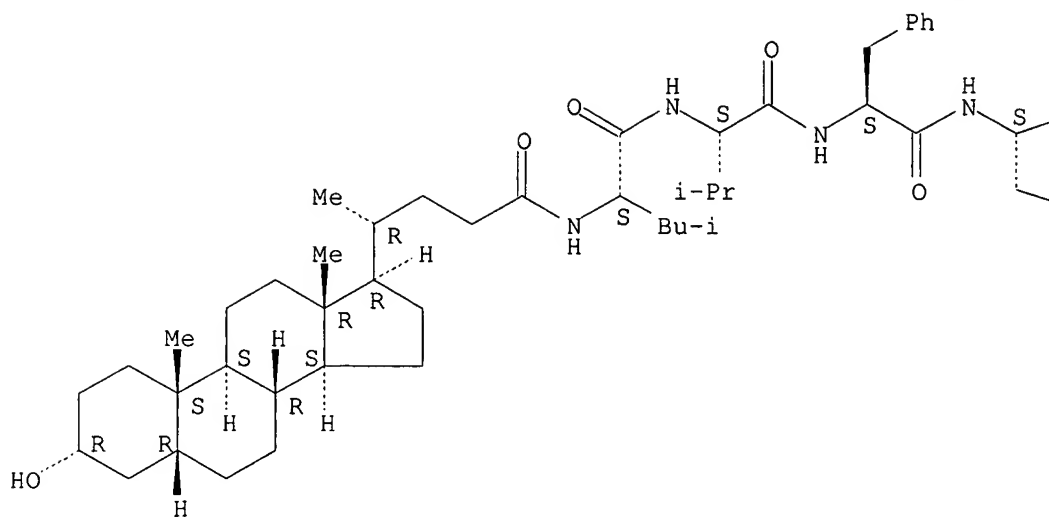
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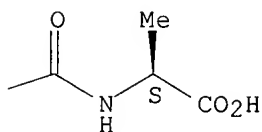
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PAGE 1-A



PAGE 1-B

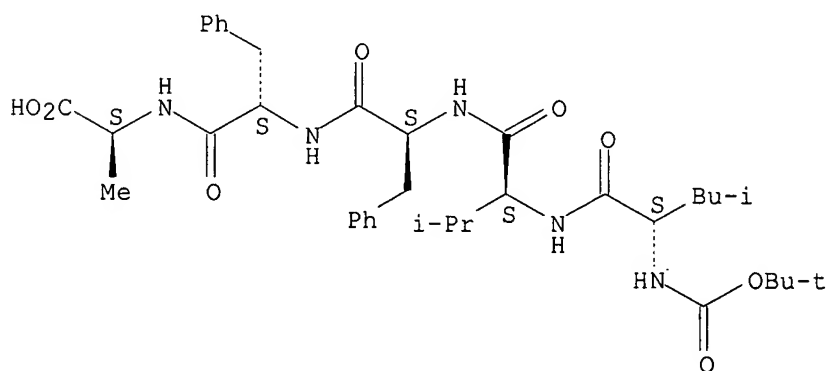


Ph

RN 183746-96-5 USPATFULL

CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

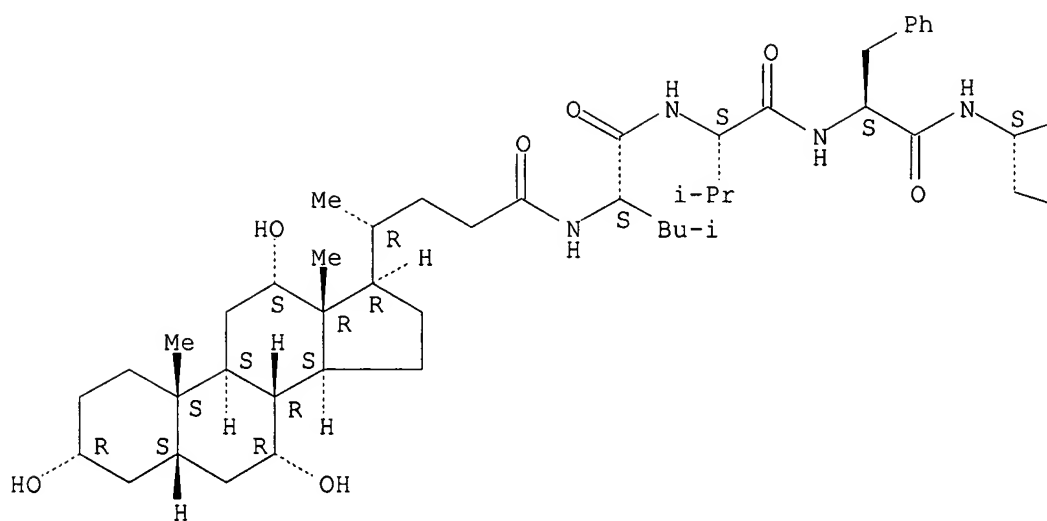


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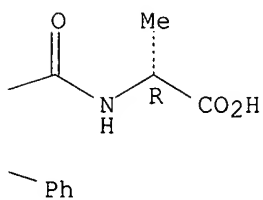
CN D-Alanine, N-[(3α,5β,7α,12α)-3,7,12-trihydroxy-24-oxocholan-24-yl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



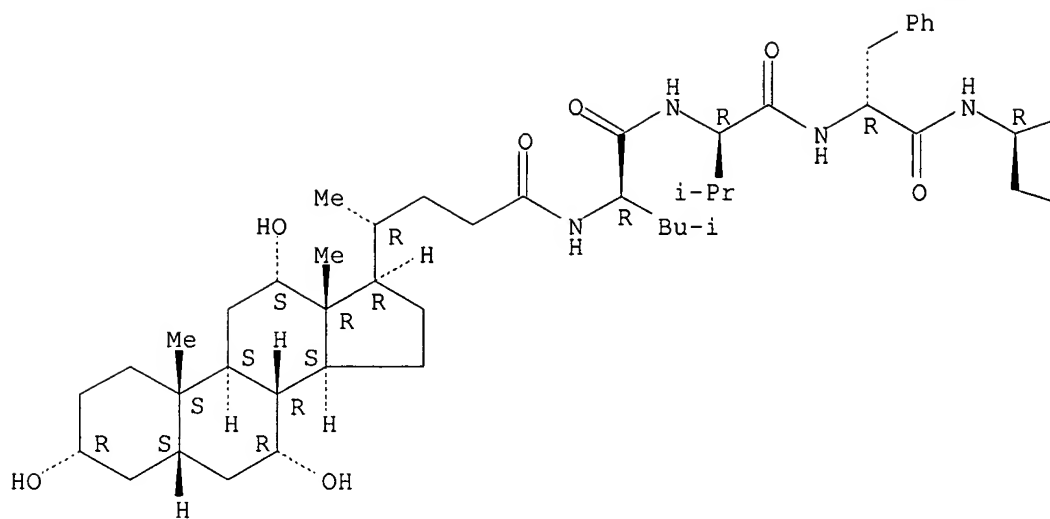
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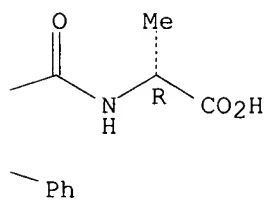
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 (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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L10 ANSWER 1 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:540135 HCAPLUS

DOCUMENT NUMBER: 137:108295

TITLE: Vaccines comprising all-D fibril peptides for prevention and treatment of Alzheimer's and amyloid-related diseases

INVENTOR(S): Chalifour, Robert; Hebert, Lise; Kong, Xianqi; Gervais, Francine

PATENT ASSIGNEE(S): Can.

SOURCE: U.S. Pat. Appl. Publ., 28 pp., Cont.-in-part of U.S. Ser. No. 724,842.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002094335	A1	20020718	US 2001-867847	20010529 <--
CA 2449056	AA	20021205	CA 2002-2449056	20020529
WO 2002096937	A2	20021205	WO 2002-CA763	20020529
WO 2002096937	A3	20030710		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1392728	A2	20040303	EP 2002-729715	20020529
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JP 2005508863	T2	20050407	JP 2003-500116	20020529
CN 1662554	A	20050831	CN 2002-814967	20020529
US 2005090439	A1	20050428	US 2004-825958	20040416 <--
PRIORITY APPLN. INFO.:			US 1999-168594P	P 19991129 <--
			US 2000-724842	A2 20001128
			US 2001-867847	A 20010529
			WO 2002-CA763	W 20020529

AB The present invention relates to a stereochem. based "non-self" antigen vaccine for the prevention and/or treatment of Alzheimer's and other amyloid related diseases. The present invention provides a vaccine for the prevention and treatment of Alzheimer's and other amyloid related diseases, which overcomes the drawbacks associated with using naturally occurring peptides, proteins or immunogens. The vaccine comprises fibril peptides consisting of all- D-amino acids.

IT 342877-91-2 342877-93-4 342877-94-5  
342877-95-6

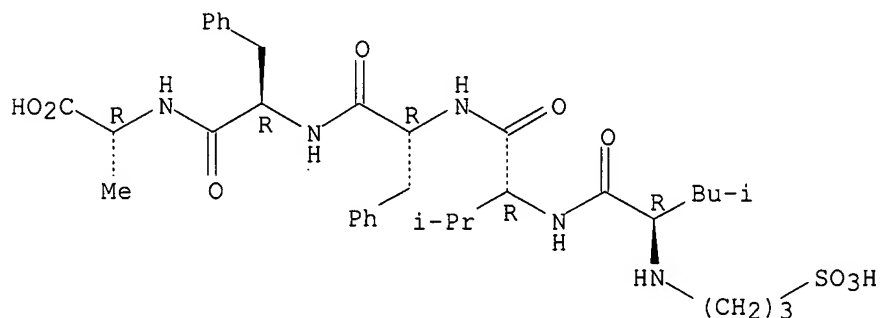
RL: BSU (Biological study, unclassified); PRP (Properties); THU

(Therapeutic use); BIOL (Biological study); USES (Uses)  
 (vaccines comprising all-D fibril peptides for prevention and treatment  
 of Alzheimer's and amyloid-related diseases)

RN 342877-91-2 HCAPLUS

CN D-Alanine, N-(3-sulfopropyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

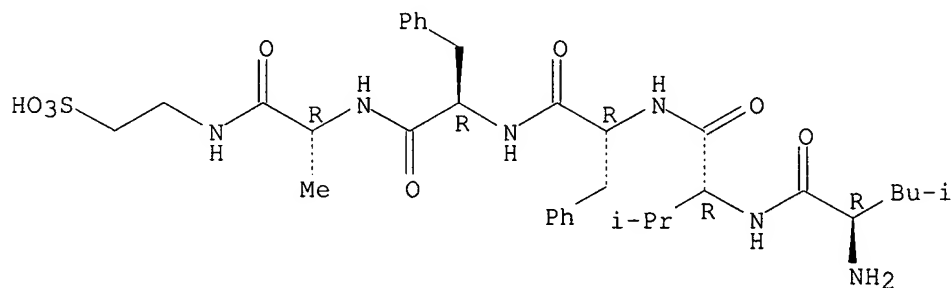
Absolute stereochemistry.



RN 342877-93-4 HCAPLUS

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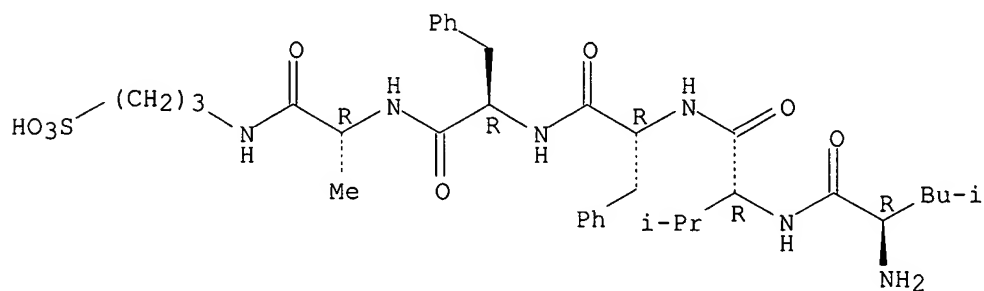
Absolute stereochemistry.



RN 342877-94-5 HCAPLUS

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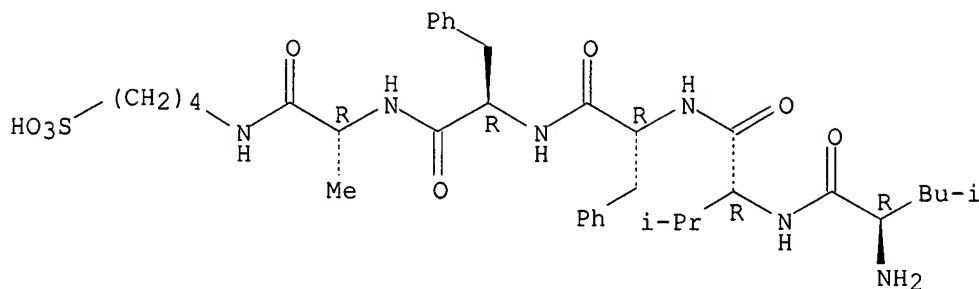
Absolute stereochemistry.



RN 342877-95-6 HCAPLUS

CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-N-(4-sulfobutyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 2 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:597818 HCAPLUS  
 DOCUMENT NUMBER: 135:185457  
 TITLE: Methods for enhancing the bioavailability of a drug  
 INVENTOR(S): Hayward, Neil J.; Gefter, Malcolm L.  
 PATENT ASSIGNEE(S): Praecis Pharmaceuticals Inc., USA  
 SOURCE: PCT Int. Appl., 86 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001058470	A2	20010816	WO 2001-US104178	20010209 <--
WO 2001058470	A3	20020207		
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EP 1263454	A2	20021211	EP 2001-910490	20010209 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003522152	T2	20030722	JP 2001-557578	20010209 <--
US 2005288222	A1	20051229	US 2004-793388	20040303 <--
PRIORITY APPLN. INFO.:				
			US 2000-181833P	P 20000211 <--
			US 2000-181943P	P 20000211 <--
			US 2001-781133	B1 20010209
			WO 2001-US4178	W 20010209
AB The invention provides methods and compns. for enhancing the bioavailability of a drug in a subject. The present invention also provides methods and compns. for treating or preventing hepatic injury in humans. The invention further provides methods for identifying hydrophobic peptides, e.g., $\beta$ -amyloid peptide derivs., which are useful in enhancing bioavailability of a drug. Thus, brain levels of PPI-58 were elevated in the presence of cyclosporin A. The biodistribution data demonstrated that higher levels were observed within the				

small intestine in the presence of cyclosporin A.

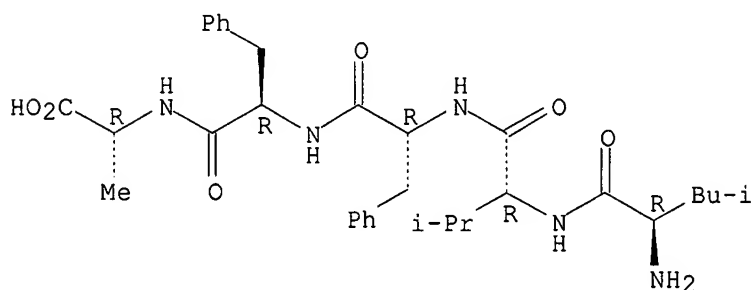
IT 204333-52-8 204333-53-9 204334-00-9

RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses) (methods for enhancing drug bioavailability)

RN 204333-52-8 HCAPLUS

CN D-Alanine, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

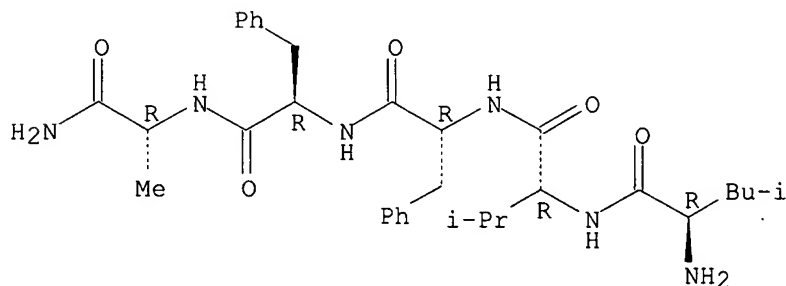
Absolute stereochemistry.



RN 204333-53-9 HCAPLUS

CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

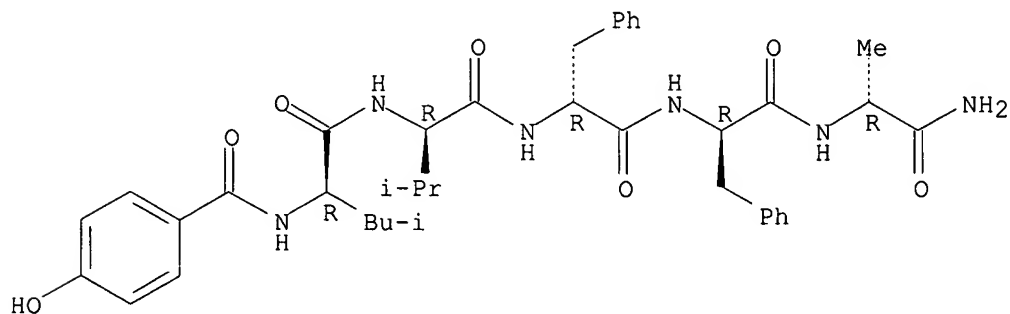
Absolute stereochemistry.



RN 204334-00-9 HCAPLUS

CN D-Alaninamide, N-(4-hydroxybenzoyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



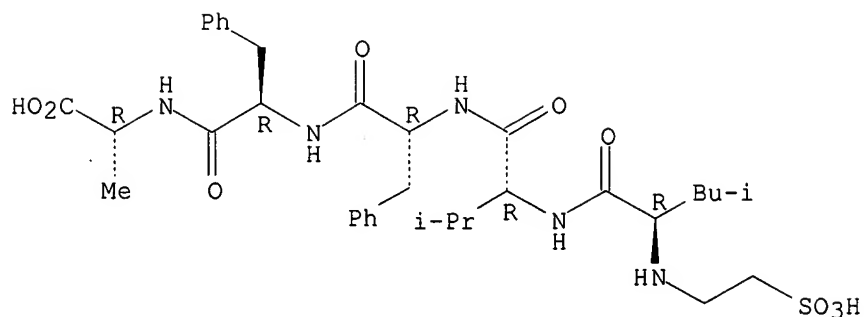
L10 ANSWER 3 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:416788 HCAPLUS  
 DOCUMENT NUMBER: 135:18553  
 TITLE: Vaccine for the prevention and treatment of  
 Alzheimer's and amyloid related diseases  
 INVENTOR(S): Chalifour, Robert; Hebert, Lise; Kong, Xianqi;  
 Gervais, Francine  
 PATENT ASSIGNEE(S): Neurochem, Inc., Can.  
 SOURCE: PCT Int. Appl., 31 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001039796	A2	20010607	WO 2000-CA1413	20001129 <--
WO 2001039796	A3	20011206		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2388559	AA	20010607	CA 2000-2388559	20001129 <--
BR 2000016022	A	20020806	BR 2000-16022	20001129 <--
EP 1235587	A2	20020904	EP 2000-981111	20001129 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 2004500354	T2	20040108	JP 2001-541528	20001129 <--
NO 2002002531	A	20020712	NO 2002-2531	20020528 <--
US 2005090439	A1	20050428	US 2004-825958	20040416 <--
PRIORITY APPLN. INFO.:			US 1999-168594P	P 19991129 <--
			US 2000-724842	A 20001128
			WO 2000-CA1413	W 20001129
AB	The present invention relates to a stereochem. based "non-self" antigen vaccine for the prevention and/or treatment of Alzheimer's and other amyloid related diseases. The present invention provides a vaccine for the prevention and treatment of Alzheimer's and other amyloid related diseases, which overcomes the drawbacks associated with using naturally occurring peptides, proteins or immunogens.			
IT	342877-90-1P 342877-91-2P 342877-92-3P 342877-93-4P 342877-94-5P 342877-95-6P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (vaccine for prevention and treatment of Alzheimer's and amyloid related diseases using all-D peptides that elicit immune response to amyloid protein)			
RN	342877-90-1 HCAPLUS			
CN	D-Alanine, N-(2-sulfoethyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-(9CI) (CA INDEX NAME)			

Absolute stereochemistry.

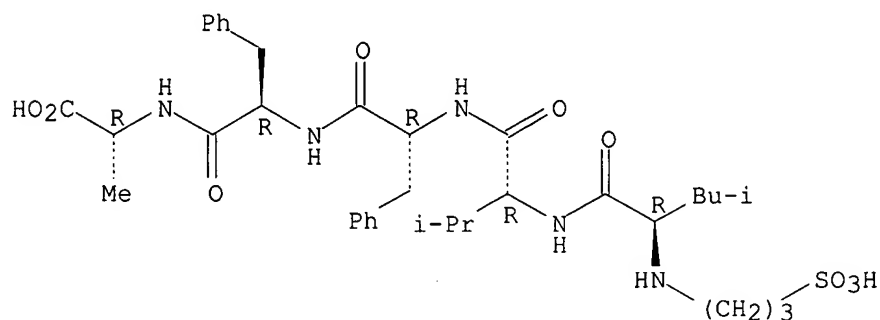




RN 342877-91-2 HCAPLUS

CN D-Alanine, N-(3-sulfopropyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

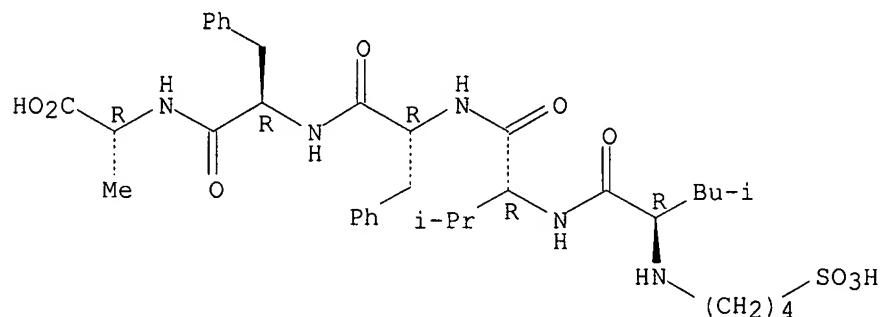
Absolute stereochemistry.



RN 342877-92-3 HCAPLUS

CN D-Alanine, N-(4-sulfobutyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

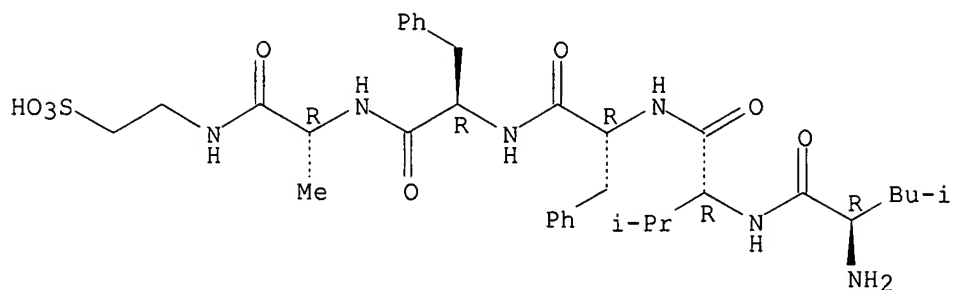
Absolute stereochemistry.



RN 342877-93-4 HCAPLUS

CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-N-(2-sulfoethyl)- (9CI) (CA INDEX NAME)

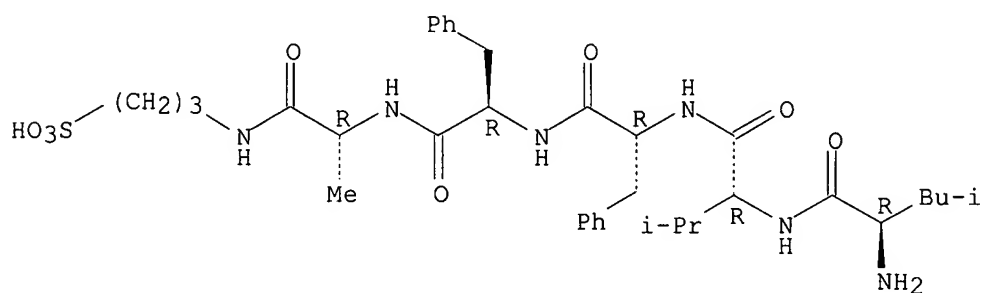
Absolute stereochemistry.



RN 342877-94-5 HCAPLUS

CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-N-(3-sulfopropyl)- (9CI) (CA INDEX NAME)

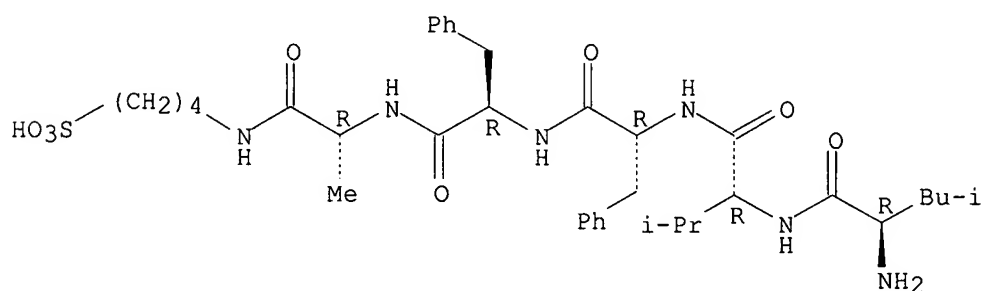
Absolute stereochemistry.



RN 342877-95-6 HCAPLUS

CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-N-(4-sulfobutyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 4 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:78389 HCAPLUS

DOCUMENT NUMBER: 134:131368

TITLE: Preparation of  $\beta$ -amyloid peptide inhibitors

INVENTOR(S): Barnham, Kevin Jeffrey; McCarthy, Thomas David; Pallich, Susanne; Matthews, Barry Ross; Cherny, Robert Alan

PATENT ASSIGNEE(S): Biomolecular Research Institute Ltd., Australia; The University of Melbourne

SOURCE: PCT Int. Appl., 60 pp.

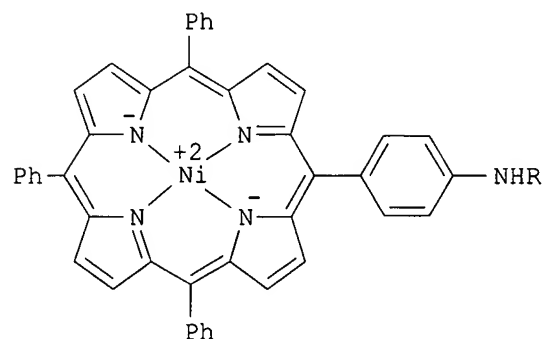
DOCUMENT TYPE: CODEN: PIXXD2

Patent

LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007442	A1	20010201	WO 2000-AU886	20000721 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2379858	AA	20010201	CA 2000-2379858	20000721 <--
EP 1232159	A1	20020821	EP 2000-945456	20000721 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2003505462	T2	20030212	JP 2001-512526	20000721 <--
AU 780380	B2	20050317	AU 2000-59548	20000721 <--
PRIORITY APPLN. INFO.:			AU 1999-1804	A 19990723 <--
			WO 2000-AU886	W 20000721

GI



AB The present invention relates to compds., such as I (R = BOC-L-Leu-L-Val-L-Phe-L-Phe-L-Ala-) as which inhibit the binding of metal ions to a region in the N-terminal loop of the  $\alpha$ -amyloid peptide which includes a cluster of histidine residues. In addition, the invention relates to pharmaceutical compns. including these compds. as the active agent, and to methods of treatment involving the administration of these compds. The compds. of the invention are useful in the treatment of Alzheimer's disease and other amyloid-related conditions. In a first aspect the present invention provides a compound which interacts with the  $\alpha$ -amyloid peptide in such a way that the N-terminal loop of the peptide (amino acid residues 1-15) is blocked or destabilized, thereby inhibiting the binding of one or more metal ions to at least one histidine residue within the N-terminal loop. Preferably the compound inhibits binding of  $\text{Cu}^{2+}$ ,  $\text{Zn}^{2+}$  and  $\text{Fe}^{3+}$  ions, but not  $\text{Mg}^{2+}$  or  $\text{Ca}^{2+}$  ions.

IT 183746-96-5P, BRI 7077 321913-14-8P, BRI 7082

321913-18-2P 321913-19-3P, BRI 7160

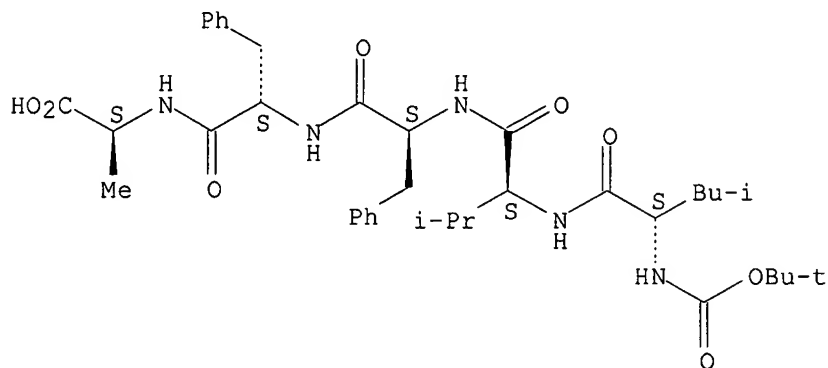
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of  $\beta$ -amyloid peptide inhibitors)

RN 183746-96-5 HCAPLUS

CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 321913-14-8 HCAPLUS

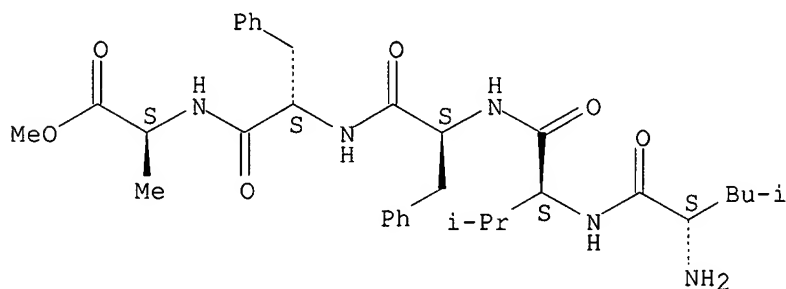
CN L-Alanine, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl-, methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 321913-13-7

CMF C33 H47 N5 O6

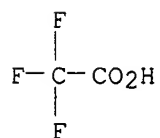
Absolute stereochemistry.



CM 2

CRN 76-05-1

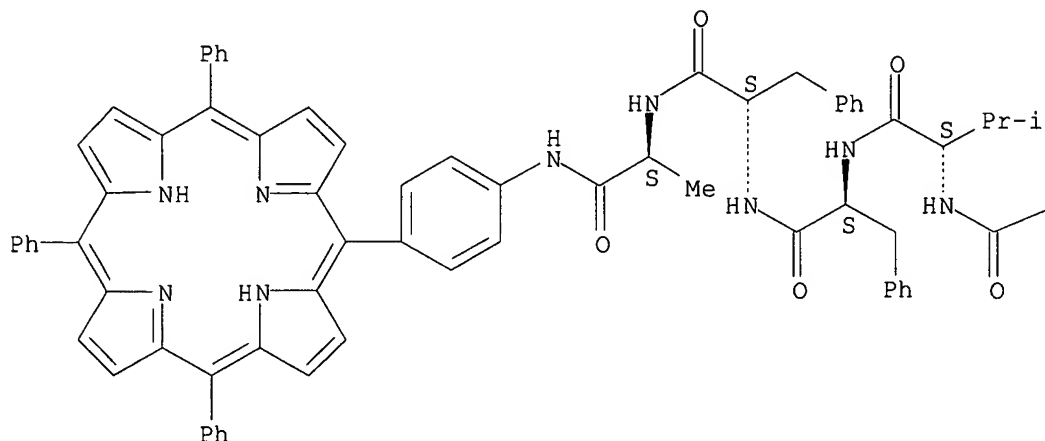
CMF C2 H F3 O2



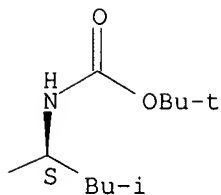
RN 321913-18-2 HCAPLUS  
 CN L-Alaninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl-N-[4-(10,15,20-triphenyl-21H,23H-porphin-5-yl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



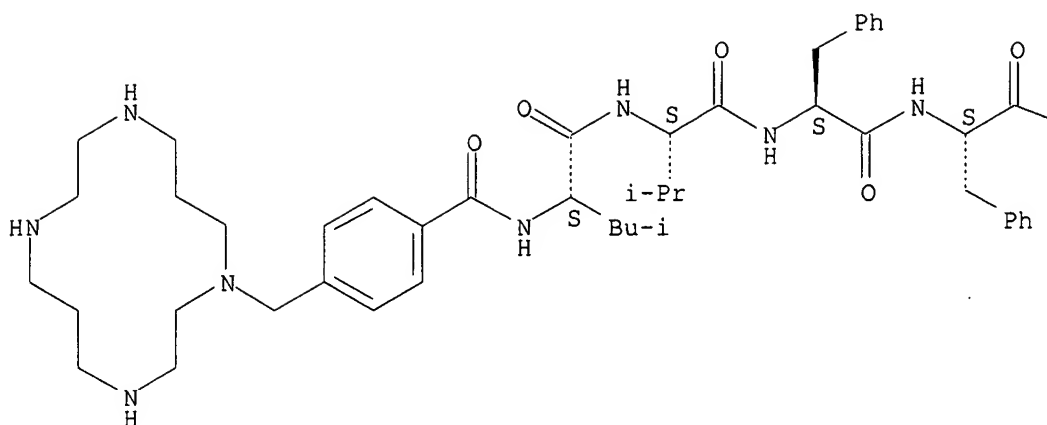
PAGE 1-B



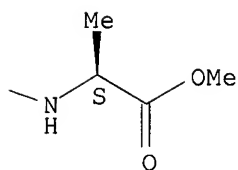
RN 321913-19-3 HCAPLUS  
 CN L-Alanine, N-[4-(1,4,8,11-tetraazacyclotetradec-1-ylmethyl)benzoyl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

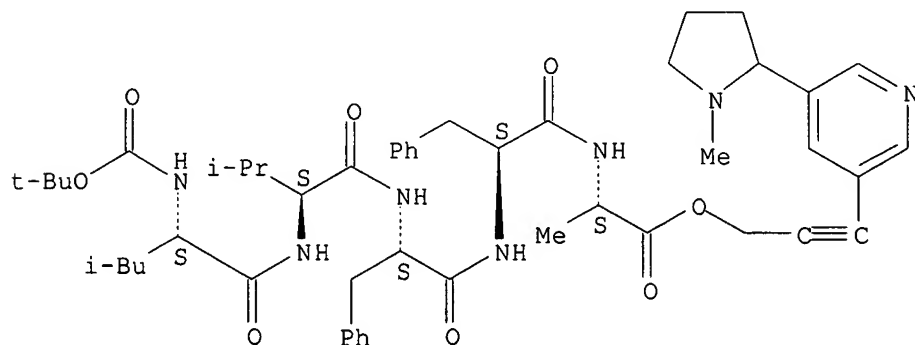


PAGE 1-B



IT 321913-16-0P, BRI 7106 321913-17-1P, BRI 7158  
 321913-20-6P, BRI 7161 321916-61-4P, BRI 7159  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of  $\beta$ -amyloid peptide inhibitors)  
 RN 321913-16-0 HCAPLUS  
 CN L-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl-, 3-[5-(1-methyl-2-pyrrolidinyl)-3-pyridinyl]-2-propynyl ester (9CI) (CA INDEX NAME)

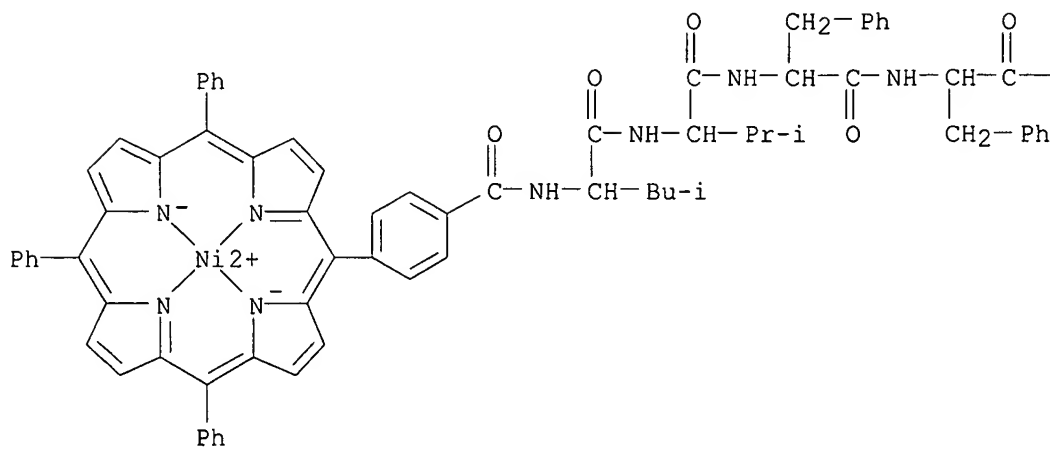
Absolute stereochemistry.



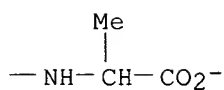
RN 321913-17-1 HCAPLUS  
 CN Nickelate(1-), [N-[4-(10,15,20-triphenyl-21H,23H-porphin-5-yl- $\kappa$ N21, $\kappa$ N22, $\kappa$ N23, $\kappa$ N24)benzoyl]-L-leucyl-L-valyl-L-

phenylalanyl-L-phenylalanyl-L-alaninato(3-)]-, hydrogen, (SP-4-2)- (9CI)  
(CA INDEX NAME)

PAGE 1-A

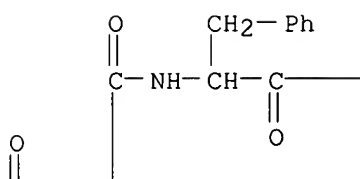
● H<sup>+</sup>

PAGE 1-B

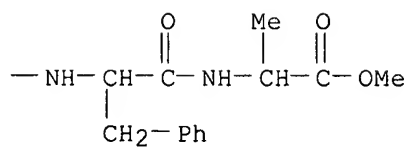


RN 321913-20-6 HCAPLUS  
CN Nickel, diaqua[methyl N-[4-[(1,4,8,11-tetraazacyclotetradec-1-yl-  
κN1,κN4,κN8,κN11)methyl]benzoyl]-L-leucyl-L-valyl-  
L-phenylalanyl-L-phenylalanyl-L-alaninato(2-)]-, (OC-6-15)- (9CI) (CA  
INDEX NAME)

PAGE 1-A

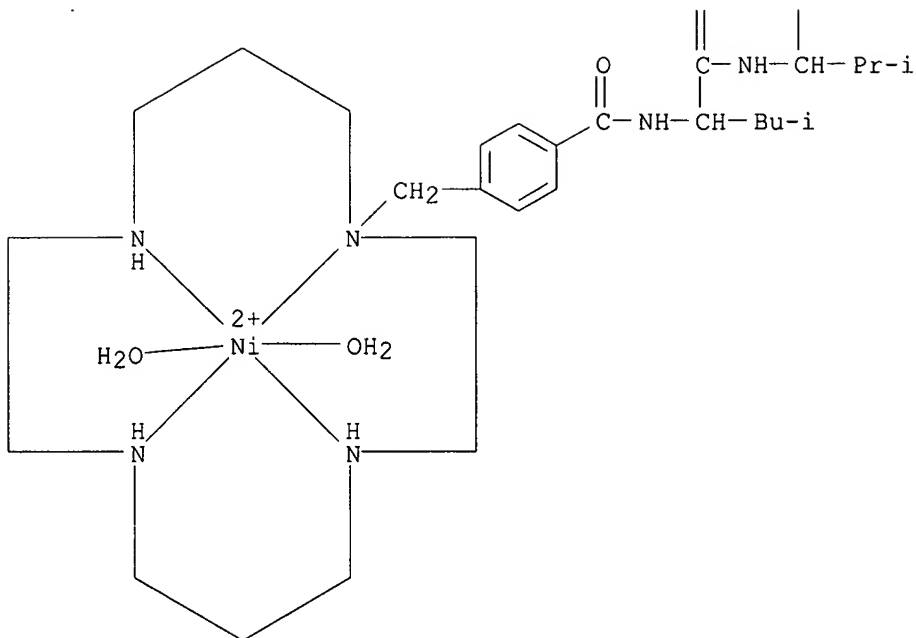


PAGE 1-B



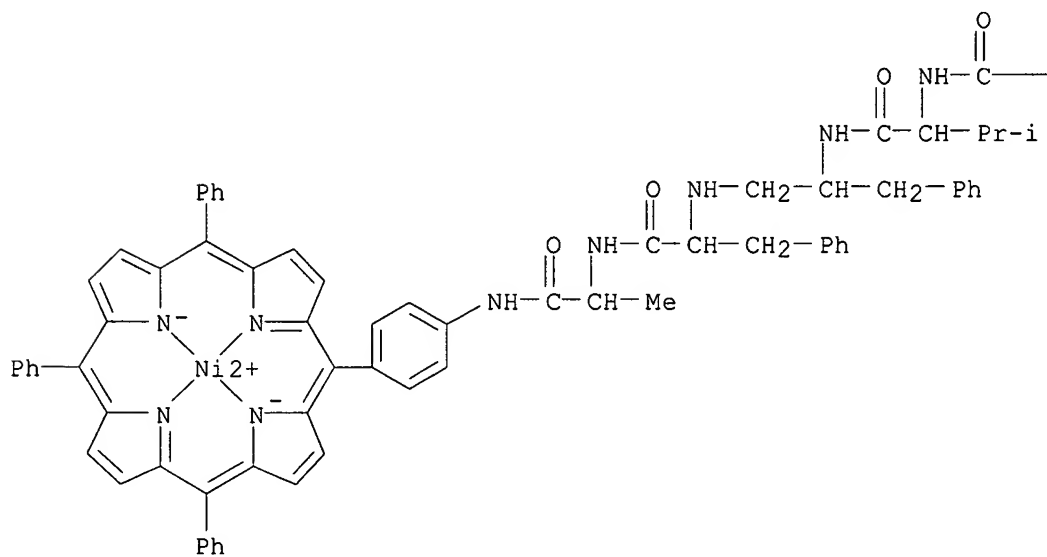


PAGE 2-A

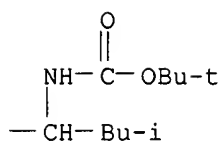


RN 321916-61-4 HCAPLUS  
 CN Nickel, [N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl-L-valyl-L-phenylalanyl-  
 ψ-(CH<sub>2</sub>-NH)-L-phenylalanyl-N-[4-(10,15,20-triphenyl-21H,23H-porphin-5-  
 yl-κ.italN21-24)phenyl]-L-alaninamidato(2-)]-, (SP-4-2)- (9CI) (CA  
 INDEX NAME)

PAGE 1-A



PAGE 1-B



IT 321746-99-0P 321747-00-6P 321747-02-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

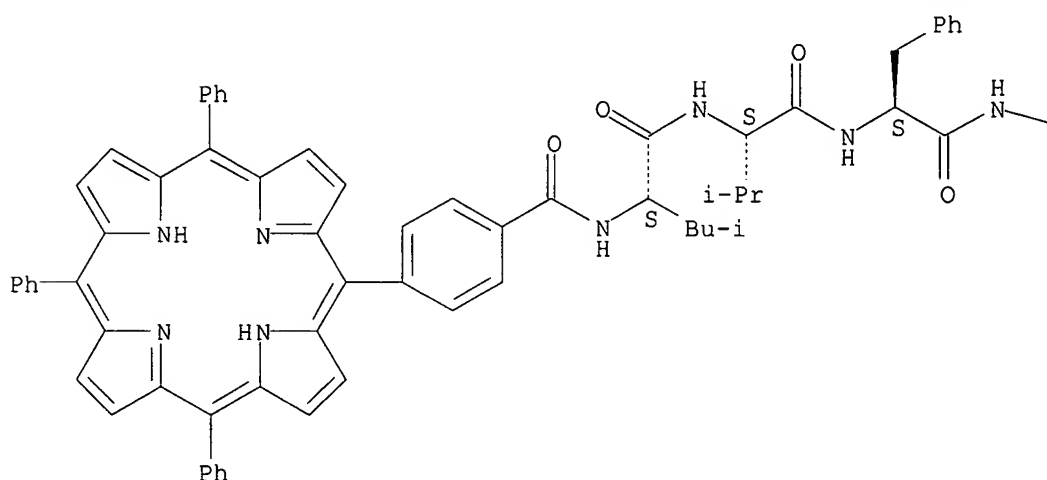
(preparation of  $\beta$ -amyloid peptide inhibitors)

RN 321746-99-0 HCAPLUS

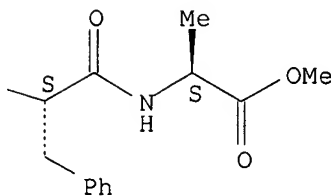
CN L-Alanine, N-[4-(10,15,20-triphenyl-21H,23H-porphin-5-yl)benzoyl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

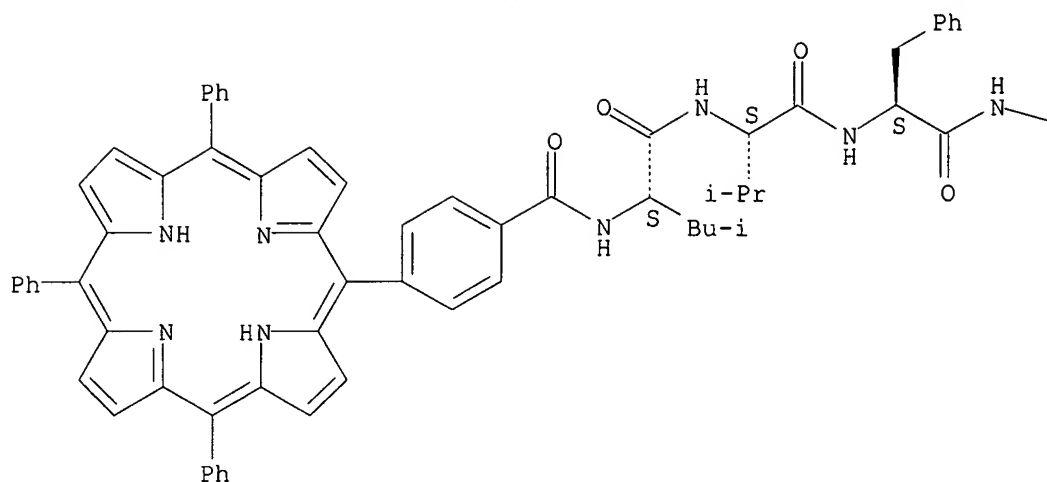


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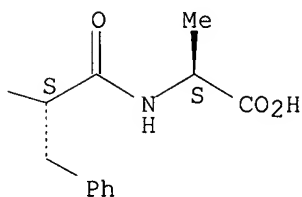
CN L-Alanine, N-[4-(10,15,20-triphenyl-21H,23H-porphin-5-yl)benzoyl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



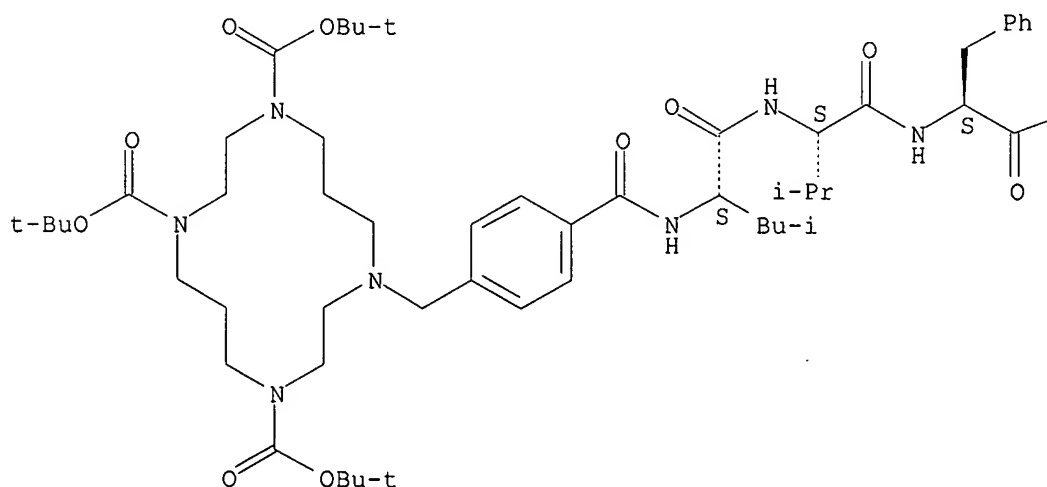
PAGE 1-B



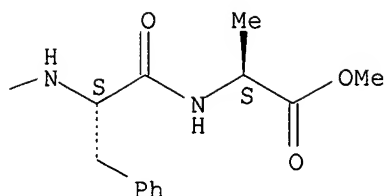
RN 321747-02-8 HCAPLUS  
 CN L-Alanine, N-[4-[[4,8,11-tris[(1,1-dimethylethoxy)carbonyl]-1,4,8,11-tetraazacyclotetradec-1-yl]methyl]benzoyl]-L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 5 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:628174 HCAPLUS

DOCUMENT NUMBER: 133:221242

TITLE: Modulators of beta-amyloid peptide aggregation comprising D-amino acids

INVENTOR(S): Findeis, Mark A.; Phillips, Kathryn; Olson, Gary L.; Self, Christopher

PATENT ASSIGNEE(S): Praecis Pharmaceuticals Incorporated, USA

SOURCE: PCT Int. Appl., 87 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000052048	A1	20000908	WO 2000-US5574	20000303 <--
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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CA 2362834	C	20051206		
EP 1161449	A1	20011212	EP 2000-916028	20000303 <--
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BR 2000008738	A	20011226	BR 2000-8738	20000303 <--
JP 2002543043	T2	20021217	JP 2000-602272	20000303 <--
US 6610658	B1	20030826	US 2000-519019	20000303 <--
NZ 514414	A	20040227	NZ 2000-514414	20000303 <--
AU 781292	B2	20050512	AU 2000-37196	20000303 <--
RU 2260599	C2	20050920	RU 2001-127246	20000303 <--
ZA 2001007913	A	20020926	ZA 2001-7913	20010926 <--
US 2003236197	A1	20031225	US 2003-395290	20030324 <--
US 6831066	B2	20041214		
US 2005137128	A1	20050623	US 2004-989763	20041115 <--
AU 2005203579	A1	20050908	AU 2005-203579	20050810 <--
PRIORITY APPLN. INFO.:			US 1999-122736P	P 19990304 <--
			US 2000-519019	A1 20000303 <--

WO 2000-US5574

W 20000303 &lt;--

US 2003-395290

A1 20030324

AB Compds. that modulate natural  $\beta$  amyloid peptide aggregation are provided. The modulators of the invention comprise a peptide, preferably based on a  $\beta$  amyloid peptide, that is comprised entirely of D-amino acids. Preferably, the peptide comprises 3-5 D-amino acid residues and includes at least two D-amino acid residues independently selected from the group consisting of D-leucine, D-phenylalanine and D-valine. In a particularly preferred embodiment, the peptide is a retro-inverso isomer of a  $\beta$  amyloid peptide, preferably a retro-inverso isomer of A $\beta$ 17-21. In certain embodiments, the peptide is modified at the amino-terminus, the carboxy-terminus, or both. Preferred amino-terminal modifying groups alkyl groups. Preferred carboxy-terminal modifying groups include an amide group, an acetate group, an alkyl amide group, an aryl amide group or a hydroxy group. Pharmaceutical compns. comprising the compds. of the invention, and diagnostic and treatment methods for amyloidogenic diseases using the compds. of the invention, are also disclosed.

IT 182912-78-3

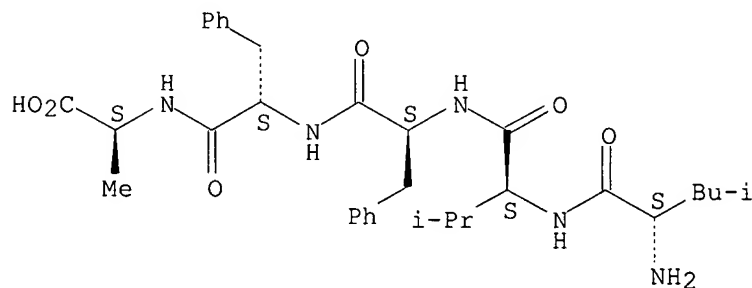
RL: PRP (Properties)

(Unclaimed; modulators of beta-amyloid peptide aggregation comprising D-amino acids)

RN 182912-78-3 HCAPLUS

CN L-Alanine, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 290828-20-5 290828-21-6

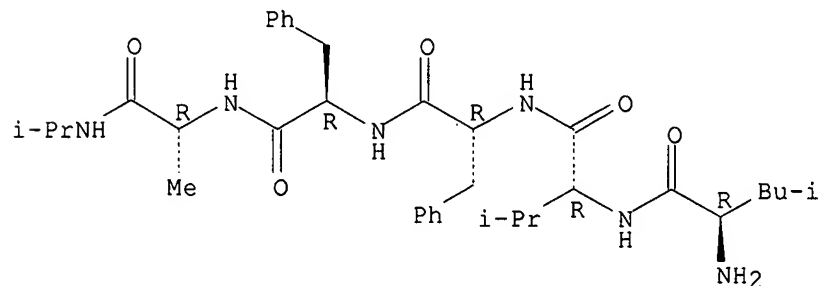
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(modulators of  $\beta$ -amyloid peptide aggregation comprising D-amino acids)

RN 290828-20-5 HCAPLUS

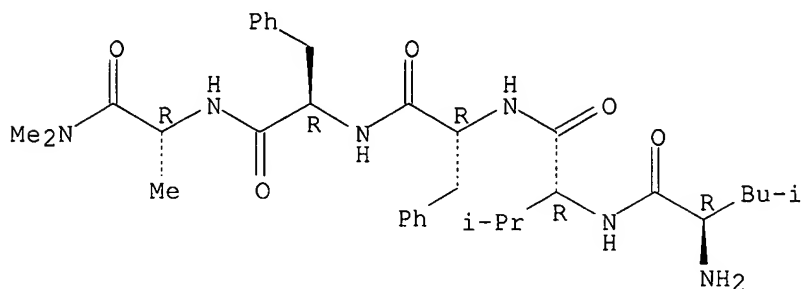
CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 290828-21-6 HCAPLUS  
 CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-N,N-dimethyl-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 6 OF 12 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:732951 HCAPLUS

DOCUMENT NUMBER: 131:346548

TITLE: Modulators of  $\beta$ -amyloid peptide aggregation  
 comprising D-amino acids

INVENTOR(S): Findeis, Mark A.; Gefter, Malcolm L.; Musso, Gary;  
 Signer, Ethan R.; Wakefield, James; Molineaux, Susan;  
 Chin, Joseph; Lee, Jung-ja; Kelley, Michael;  
 Komar-Panicucci, Sonja; Arico-Muendel, Christopher C.;  
 Phillips, Kathryn; Hayward, Neil J.

PATENT ASSIGNEE(S): Praecis Pharmaceuticals, Inc., USA

SOURCE: U.S., 40 pp., Cont.-in-part of U.S. Ser. No. 548,998,  
 abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 7

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5985242	A	19991116	US 1997-920162	19970827 <--
US 6303567	B1	20011016	US 1996-703675	19960827 <--
US 6277826	B1	20010821	US 1999-356931	19990719 <--
AU 759036	B2	20030403	AU 2000-35389	20000519 <--
US 2002103134	A1	20020801	US 2001-895443	20010629 <--
US 6689752	B2	20040210		
AU 769915	B2	20040212	AU 2002-15539	20020211 <--
AU 2003208150	A1	20030807	AU 2003-208150	20030703 <--
US 2006014696	A1	20060119	US 2003-677076	20030930 <--
AU 2004202014	A1	20040610	AU 2004-202014	20040512 <--
PRIORITY APPLN. INFO.:			US 1995-548998	B2 19951027 <--
			US 1996-616081	B2 19960314 <--
			US 1996-703675	A 19960827 <--
			US 1997-897342	B2 19970721 <--
			US 1995-404831	A2 19950314 <--
			US 1995-475579	A2 19950607 <--
			AU 1996-52524	A3 19960314 <--
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			US 1997-920162	A1 19970827 <--

US 1999-356931	A1 19990719 <--
AU 2000-35389	A3 20000519 <--
US 2001-895443	A1 20010629
AU 2002-15539	A3 20020211

OTHER SOURCE(S): MARPAT 131:346548

AB Compds. that modulate natural  $\beta$  amyloid peptide aggregation are provided. The modulators of the invention comprise a peptide, preferably based on a  $\beta$  amyloid peptide, that is comprised entirely of D-amino acids. Preferably, the peptide comprises 3-5 D-amino acid residues and includes at least two D-amino acid residues independently selected from the group consisting of D-leucine, D-phenylalanine and D-valine. In a particularly preferred embodiment, the peptide is a retro-inverso isomer of a  $\beta$  amyloid peptide, preferably a retro-inverso isomer of A $\beta$ 17-21. In certain embodiments, the peptide is modified at the amino-terminus, the carboxy-terminus, or both. Preferred amino-terminal modifying groups include cyclic, heterocyclic, polycyclic and branched alkyl groups. Preferred carboxy-terminal modifying groups include an amide group, an alkyl amide group, an aryl amide group or a hydroxy group. Pharmaceutical compns. comprising the compds. of the invention, and diagnostic and treatment methods for amyloidogenic diseases using the compds. of the invention, are also disclosed.

IT 204333-38-0 204333-40-4 204333-84-6  
 204333-88-0 204333-90-4 204333-91-5  
 204333-92-6 204333-93-7 204333-95-9  
 204333-96-0 204333-97-1 204333-98-2  
 204333-99-3 204334-00-9 204334-01-0  
 204334-15-6 250370-38-8 250370-43-5

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

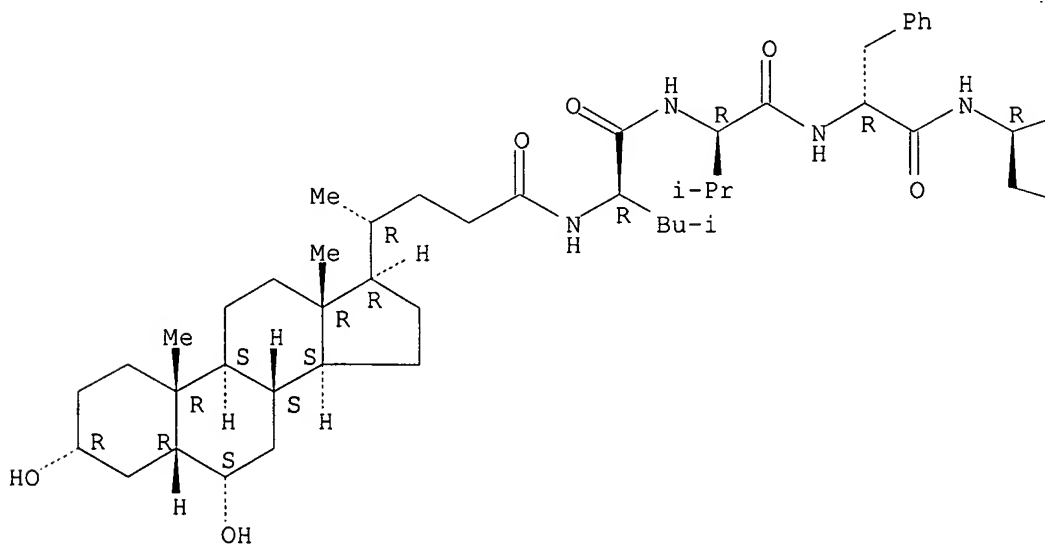
(modulators of  $\beta$ -amyloid peptide aggregation comprising D-amino acids)

RN 204333-38-0 HCAPLUS

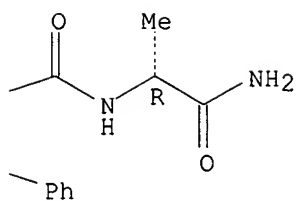
CN D-Alaninamide, N-[(3 $\alpha$ ,5 $\beta$ ,6 $\alpha$ )-3,6-dihydroxycholelan-24-oyl]-  
 D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

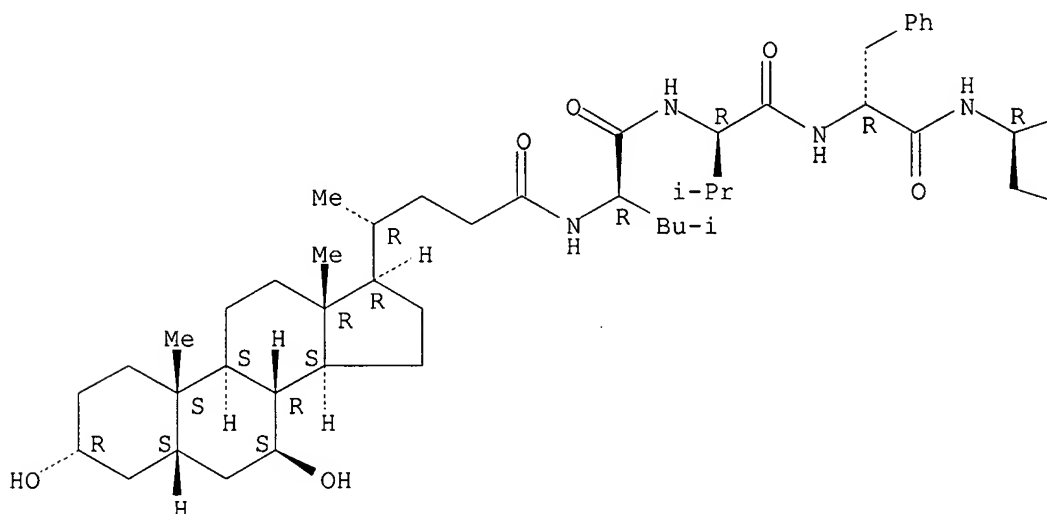


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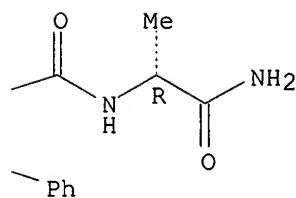
CN D-Alaninamide, N-[(3 $\alpha$ ,5 $\beta$ ,7 $\beta$ )-3,7-dihydroxycholestan-24-oyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

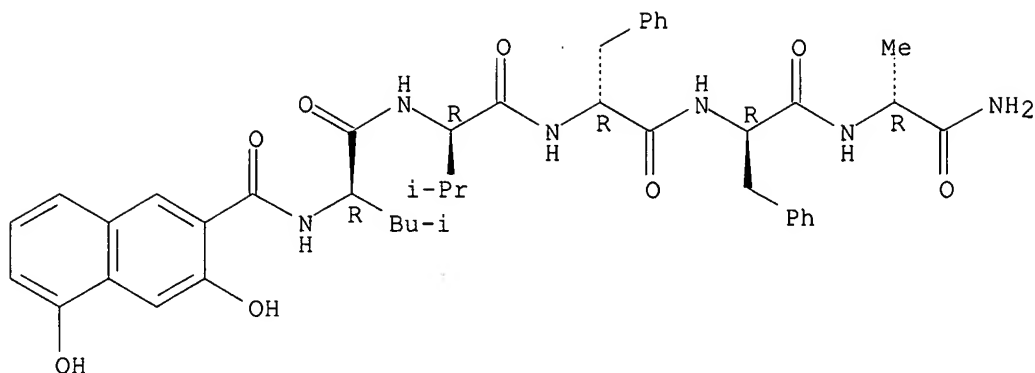


RN 204333-84-6 HCAPLUS

CN D-Alaninamide, N-[(3,5-dihydroxy-2-naphthalenyl)carbonyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

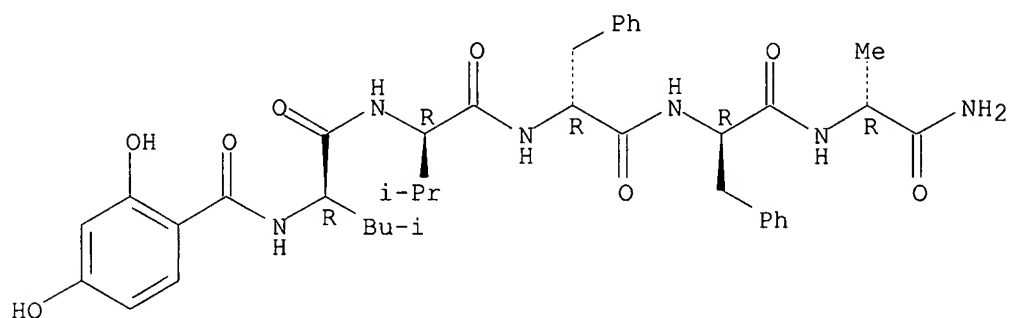




RN 204333-88-0 HCAPLUS

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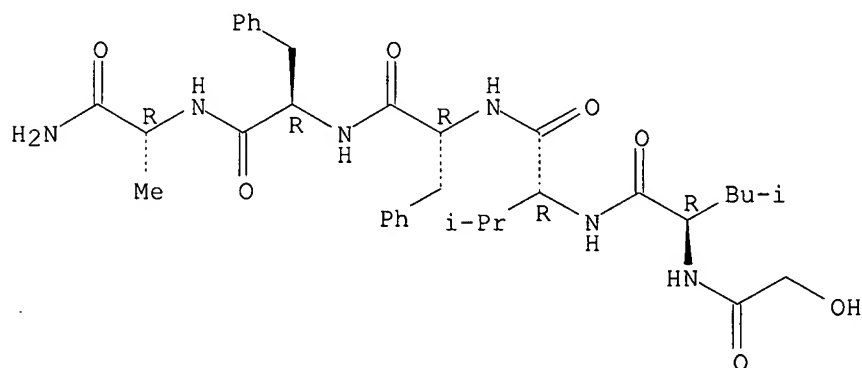
Absolute stereochemistry.



RN 204333-90-4 HCAPLUS

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Absolute stereochemistry.

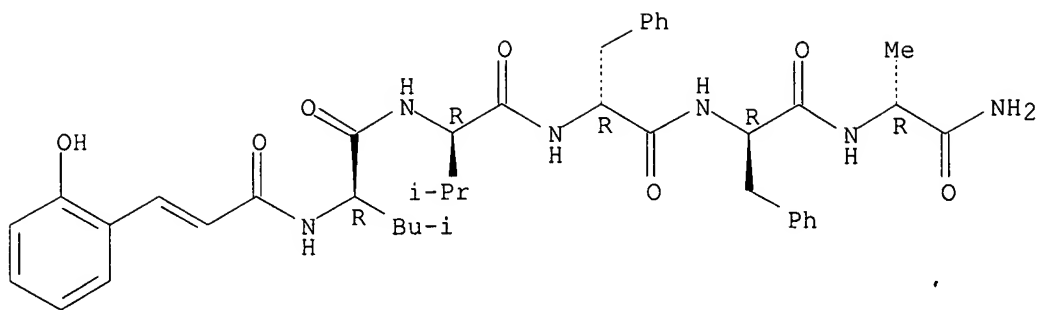


RN 204333-91-5 HCAPLUS

CN D-Alaninamide, N-[3-(2-hydroxyphenyl)-1-oxo-2-propenyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

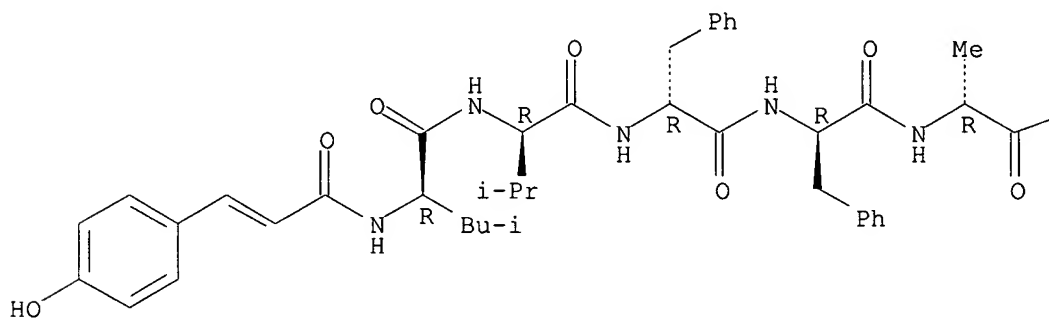


RN 204333-92-6 HCAPLUS

CN D-Alaninamide, N-[3-(4-hydroxyphenyl)-1-oxo-2-propenyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.

PAGE 1-A



PAGE 1-B

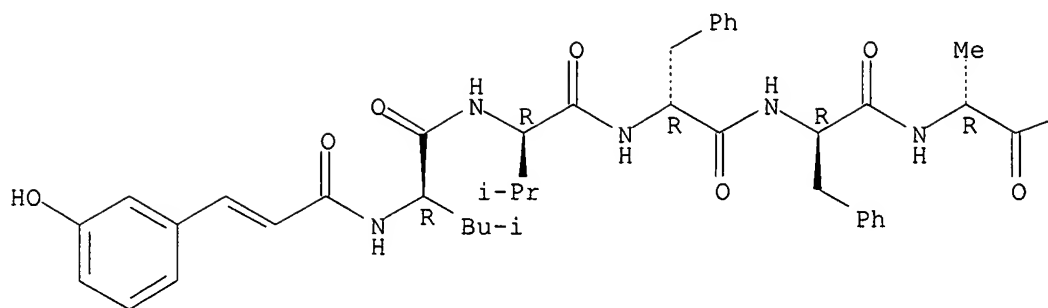
—NH<sub>2</sub>

RN 204333-93-7 HCAPLUS

CN D-Alaninamide, N-[3-(3-hydroxyphenyl)-1-oxo-2-propenyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.

PAGE 1-A



PAGE 1-B

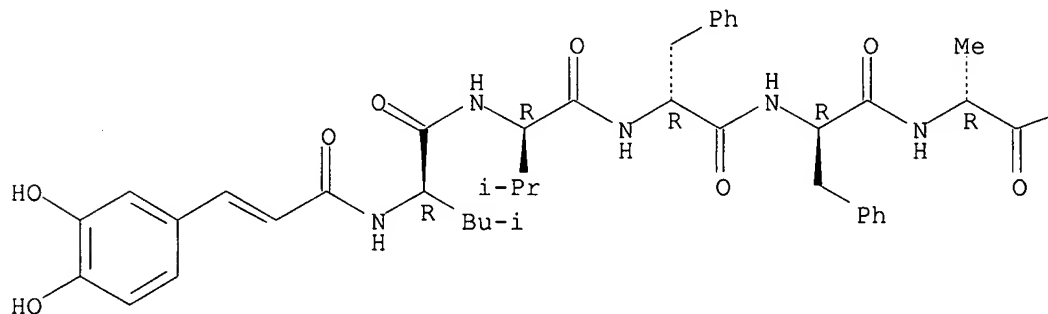
—NH<sub>2</sub>

RN 204333-95-9 HCAPLUS

CN D-Alaninamide, N-[3-(3,4-dihydroxyphenyl)-1-oxo-2-propenyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.

PAGE 1-A



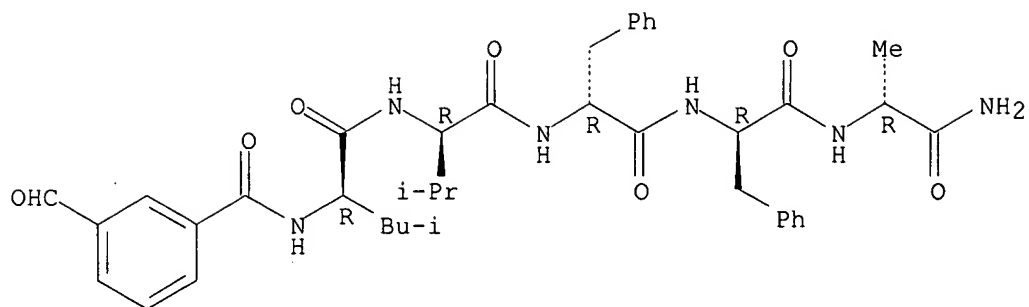
PAGE 1-B

—NH<sub>2</sub>

RN 204333-96-0 HCAPLUS

CN D-Alaninamide, N-(3-formylbenzoyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

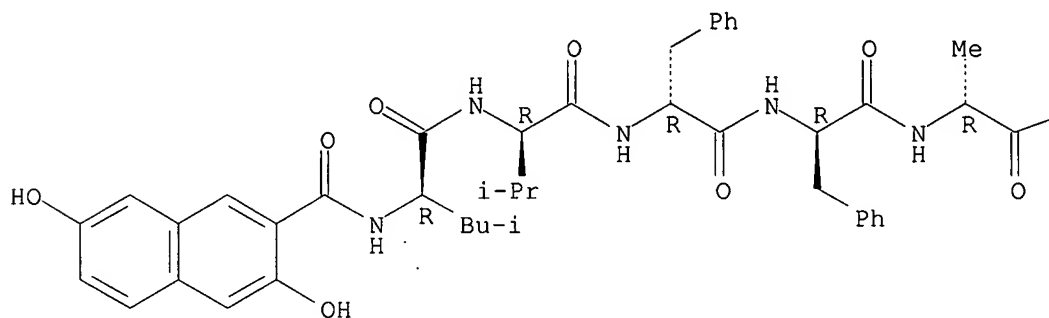


RN 204333-97-1 HCAPLUS

CN D-Alaninamide, N-[(3,7-dihydroxy-2-naphthalenyl)carbonyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



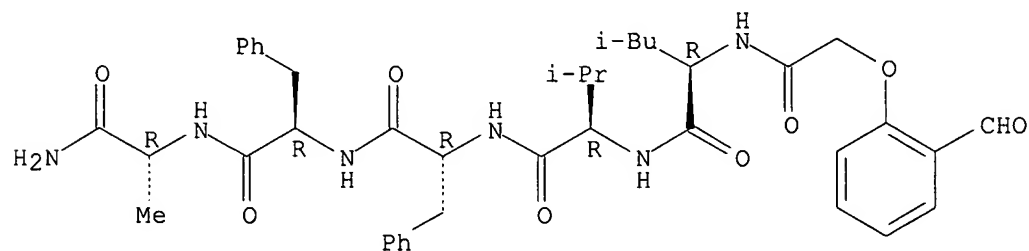
PAGE 1-B

—NH<sub>2</sub>

RN 204333-98-2 HCAPLUS

CN D-Alaninamide, N-[(2-formylphenoxy)acetyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

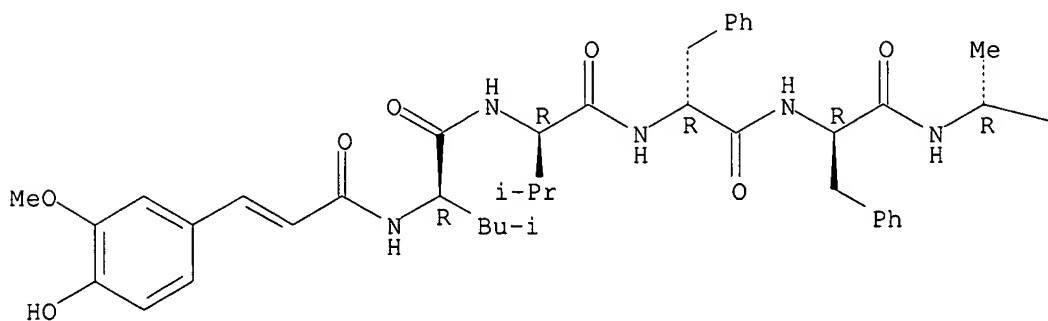


RN 204333-99-3 HCAPLUS

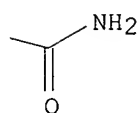
CN D-Alaninamide, N-[3-(4-hydroxy-3-methoxyphenyl)-1-oxo-2-propenyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.

PAGE 1-A



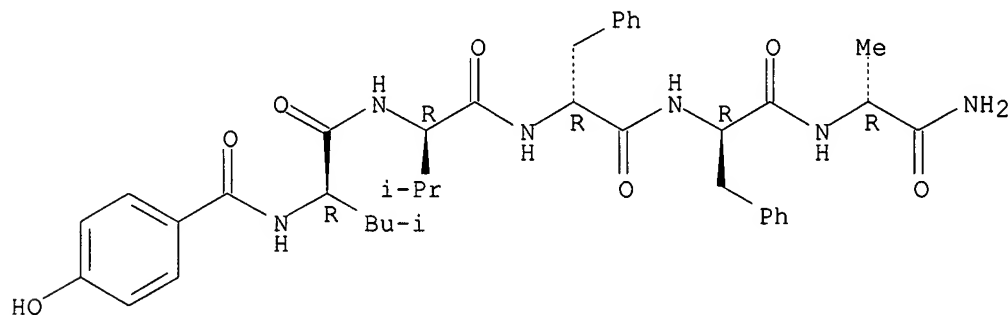
PAGE 1-B



RN 204334-00-9 HCAPLUS

CN D-Alaninamide, N-(4-hydroxybenzoyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

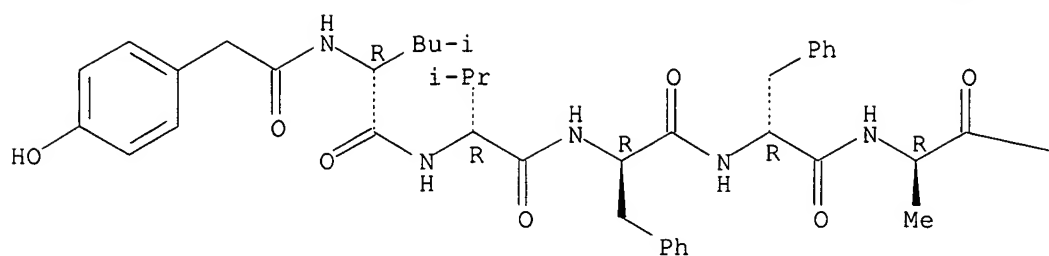


RN 204334-01-0 HCAPLUS

CN D-Alaninamide, N-[(4-hydroxyphenyl)acetyl]-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

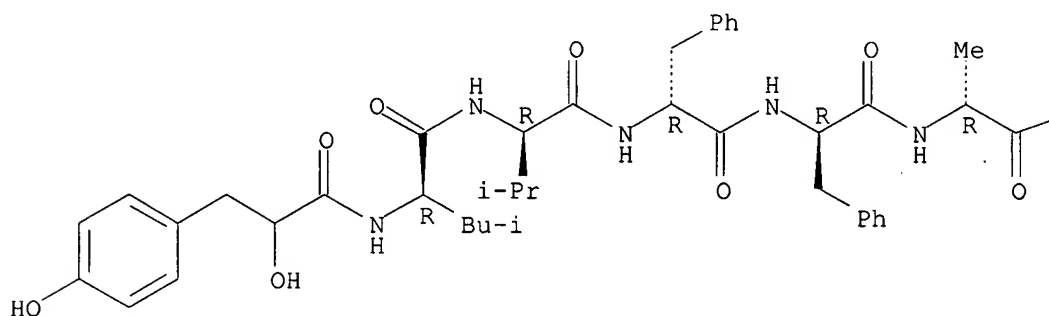
—NH<sub>2</sub>

RN 204334-15-6 HCAPLUS

CN D-Alaninamide, α,4-dihydroxybenzenepropanoyl-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



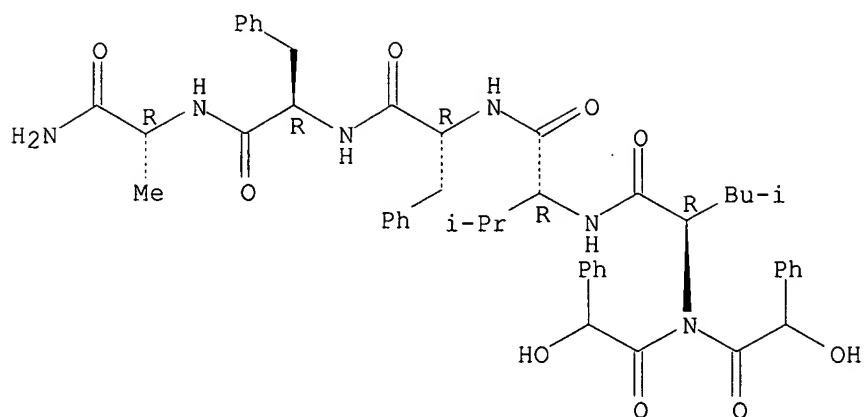
PAGE 1-B

—NH<sub>2</sub>

RN 250370-38-8 HCAPLUS

CN D-Alaninamide, N,N-bis(hydroxyphenylacetyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

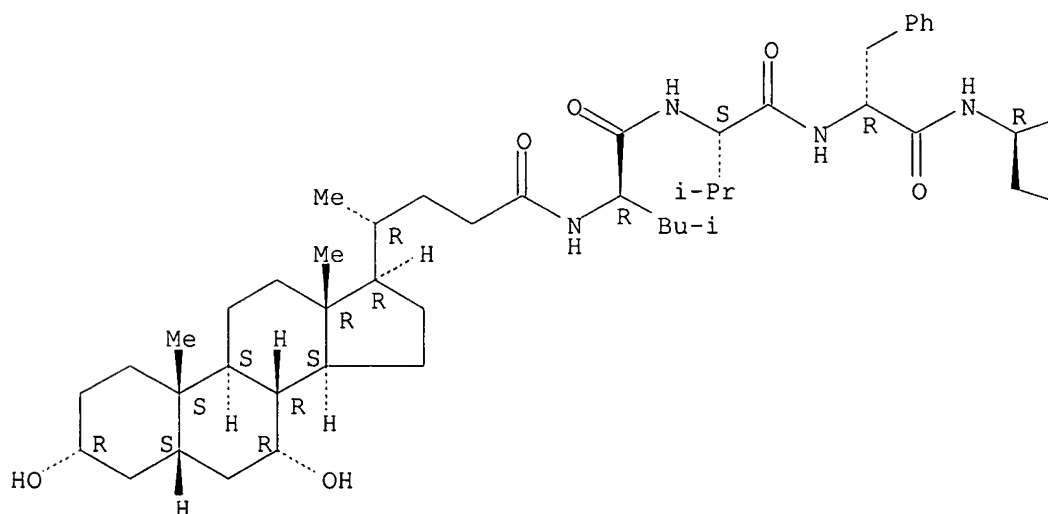


RN 250370-43-5 HCAPLUS

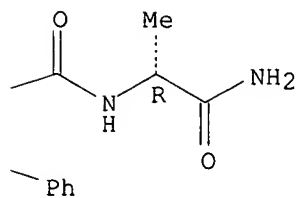
CN D-Alaninamide, N-[(3 $\alpha$ ,5 $\beta$ ,7 $\alpha$ )-3,7-dihydroxycholelan-24-oyl]-  
D-leucyl-L-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



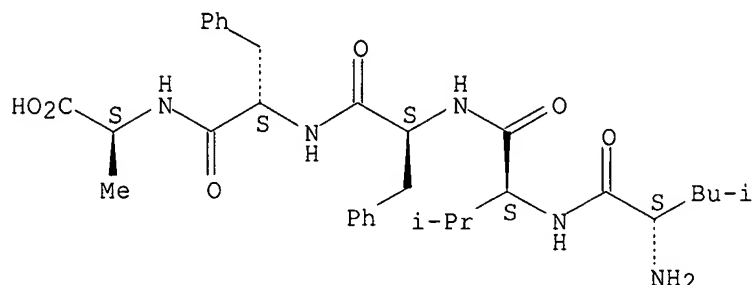
IT 182912-78-3  
RL: PRP (Properties)

(unclaimed sequence; modulators of  $\beta$ -amyloid peptide aggregation comprising D-amino acids)

RN 182912-78-3 HCAPLUS

CN L-Alanine, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 7 OF 12 USPATFULL on STN

ACCESSION NUMBER: 2005:331237 USPATFULL

TITLE: Methods for enhancing the bioavailability of a drug

INVENTOR(S): Hayward, Neil J., North Grafton, MA, UNITED STATES

Gefter, Malcolm L., Lincoln, MA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005288222	A1	20051229
APPLICATION INFO.:	US 2004-793388	A1	20040303 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2001-781133, filed on 9 Feb 2001, ABANDONED		

	NUMBER	DATE	
PRIORITY INFORMATION:	US 2000-181833P	20000211 (60)	<--
	US 2000-181943P	20000211 (60)	<--
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	LAHIVE & COCKFIELD, LLP., 28 STATE STREET, BOSTON, MA, 02109, US		
NUMBER OF CLAIMS:	9		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	6 Drawing Page(s)		
LINE COUNT:	2400		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides methods and compositions for enhancing the bioavailability of a drug in a subject. The present invention also provides methods and compositions for treating or preventing hepatic injury in a subject in need thereof. The invention further provides methods for identifying hydrophobic peptides, e.g.,  $\beta$ -amyloid peptide derivatives, which are useful in enhancing bioavailability of a drug in a subject.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 204333-52-8 204333-53-9 204334-00-9

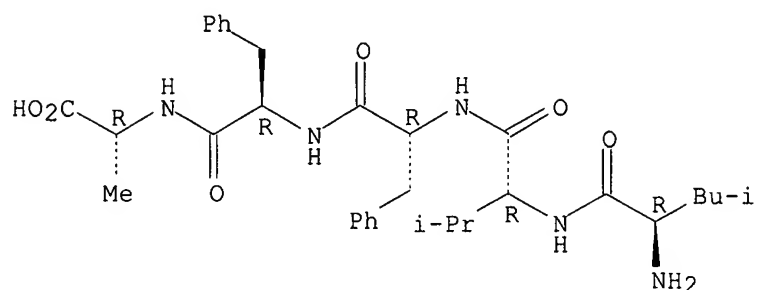
(methods for enhancing drug bioavailability)

RN 204333-52-8 USPATFULL



CN D-Alanine, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

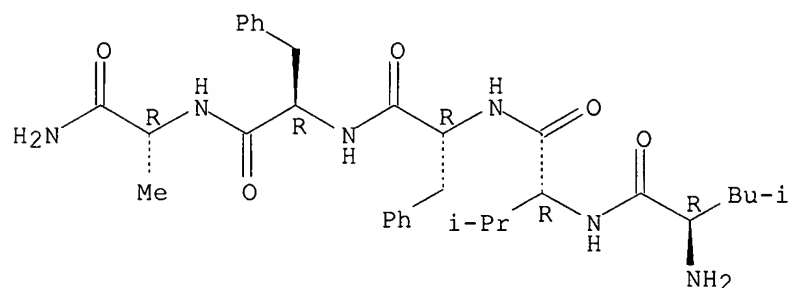
Absolute stereochemistry.



RN 204333-53-9 USPATFULL

CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

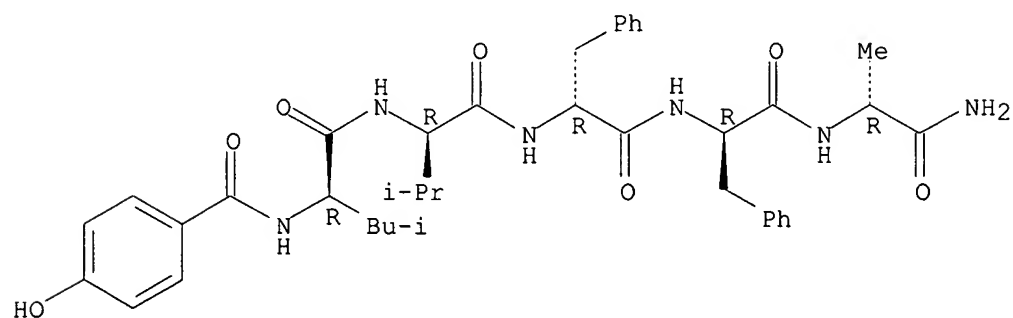
Absolute stereochemistry.



RN 204334-00-9 USPATFULL

CN D-Alaninamide, N-(4-hydroxybenzoyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 8 OF 12 USPATFULL on STN

ACCESSION NUMBER: 2005:158918 USPATFULL

TITLE: Modulators of -amyloid peptide aggregation

INVENTOR(S): Findeis, Mark A., Cambridge, MA, UNITED STATES

Phillips, Kathryn, Marlborough, MA, UNITED STATES

Olsen, Gary L., Mountainside, NJ, UNITED STATES

PATENT ASSIGNEE(S): Self, Christopher, West Caldwell, NJ, UNITED STATES  
Praecis Pharmaceuticals, Inc., Waltham, MA, UNITED STATES (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005137128	A1	20050623
APPLICATION INFO.:	US 2004-989763	A1	20041115 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2003-395290, filed on 24 Mar 2003, GRANTED, Pat. No. US 6831066 Continuation of Ser. No. US 2000-519019, filed on 3 Mar 2000, GRANTED, Pat. No. US 6610658		

	NUMBER	DATE	
PRIORITY INFORMATION:	US 1999-122736P	19990304 (60)	<--
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	LAHIVE & COCKFIELD, LLP., 28 STATE STREET, BOSTON, MA, 02109, US		
NUMBER OF CLAIMS:	11		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	2 Drawing Page(s)		
LINE COUNT:	2844		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds that modulate natural  $\beta$  amyloid peptide aggregation are provided. The modulators of the invention comprise a peptide, preferably based on a  $\beta$  amyloid peptide, that is comprised entirely of D-amino acids. Preferably, the peptide comprises 3-5 D-amino acid residues and includes at least two D-amino acid residues independently selected from the group consisting of D-leucine, D-phenylalanine and D-valine. In a particularly preferred embodiment, the peptide is a retro-inverso isomer of a  $\beta$  amyloid peptide, preferably a retro-inverso isomer of A $\beta$ .sub.17-21. In certain embodiments, the peptide is modified at the amino-terminus, the carboxy-terminus, or both. Preferred amino-terminal modifying groups alkyl groups. Preferred carboxy-terminal modifying groups include an amide group, an acetate group, an alkyl amide group, an aryl amide group or a hydroxy group. Pharmaceutical compositions comprising the compounds of the invention, and diagnostic and treatment methods for amyloidogenic diseases using the compounds of the invention, are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

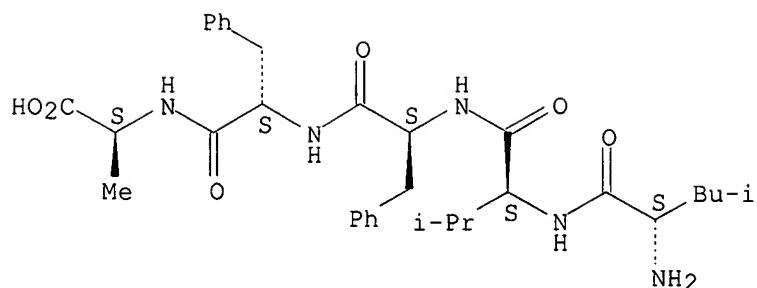
IT 182912-78-3

(Unclaimed; modulators of beta-amyloid peptide aggregation comprising D-amino acids)

RN 182912-78-3 USPATFULL

CN L-Alanine, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



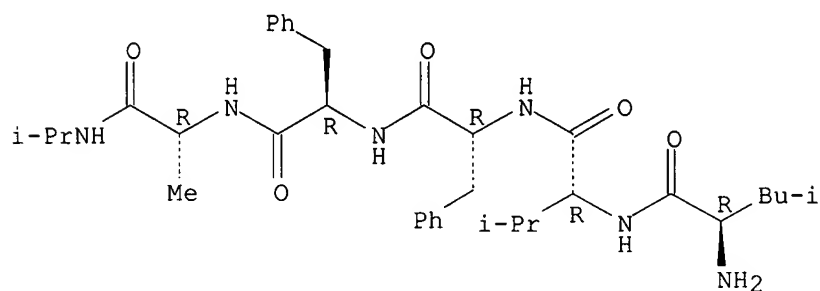
IT 290828-20-5 290828-21-6

(modulators of  $\beta$ -amyloid peptide aggregation comprising D-amino acids)

RN 290828-20-5 USPATFULL

CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

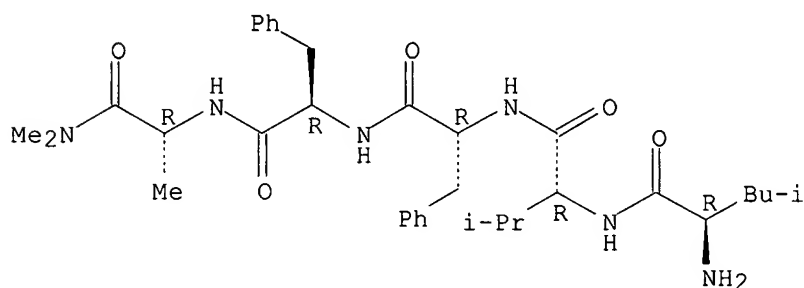
Absolute stereochemistry.



RN 290828-21-6 USPATFULL

CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 9 OF 12 USPATFULL on STN

ACCESSION NUMBER: 2005:105501 USPATFULL

TITLE: Vaccine for the prevention and treatment of Alzheimer's and amyloid related diseases

INVENTOR(S): Chalifour, Robert, Ile Bizard, CANADA

Hebert, Lise, Montreal Nord, CANADA

Kong, Xianqi, Dollard-des-Ormeaux, CANADA

Gervais, Francine, Ile Bizard, CANADA

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005090439	A1	20050428
APPLICATION INFO.:	US 2004-825958	A1	20040416 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2000-724842, filed on 28 Nov 2000, ABANDONED		

	NUMBER	DATE	
PRIORITY INFORMATION:	US 1999-168594P	19991129 (60)	<--
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	CLARK & ELBING LLP, 101 FEDERAL STREET, BOSTON, MA, 02110, US		
NUMBER OF CLAIMS:	21		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	7 Drawing Page(s)		
LINE COUNT:	963		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a stereochemically based "non-self" antigen vaccine for the prevention and/or treatment of Alzheimer's and other amyloid related diseases. The present invention provides a vaccine for the prevention and treatment of Alzheimer's and other amyloid related diseases, which overcomes the drawbacks associated with using naturally occurring peptides, proteins or immunogens.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 342877-90-1P 342877-91-2P 342877-92-3P

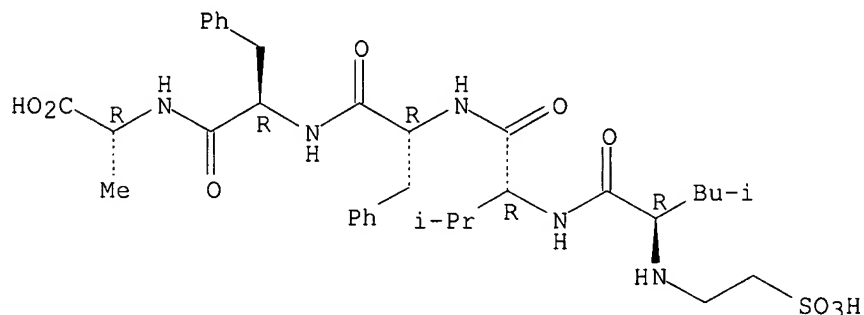
342877-93-4P 342877-94-5P 342877-95-6P

(vaccine for prevention and treatment of Alzheimer's and amyloid related diseases using all-D peptides that elicit immune response to amyloid protein)

RN 342877-90-1 USPTAFULL

CN D-Alanine, N-(2-sulfoethyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

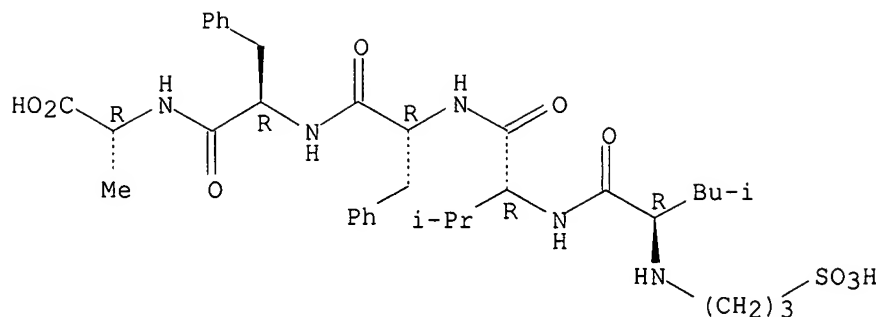
Absolute stereochemistry.



RN 342877-91-2 USPTAFULL

CN D-Alanine, N-(3-sulfopropyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

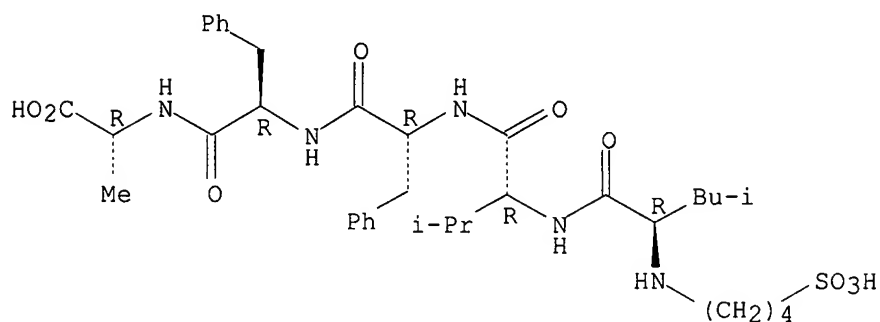
Absolute stereochemistry.



RN 342877-92-3 USPATFULL

CN D-Alanine, N-(4-sulfobutyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-  
(9CI) (CA INDEX NAME)

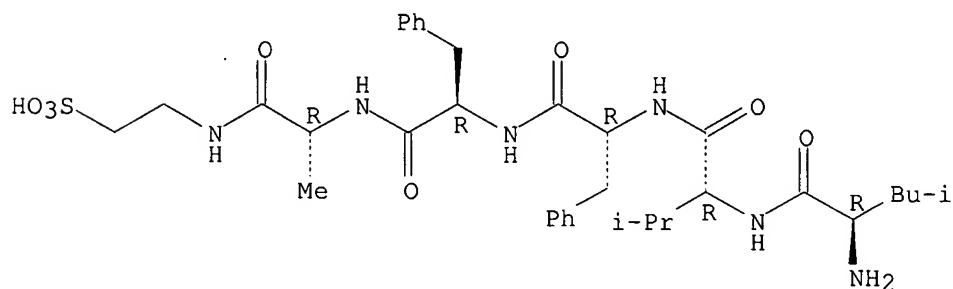
Absolute stereochemistry.



RN 342877-93-4 USPATFULL

CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-N-(2-sulfoethyl)- (9CI) (CA INDEX NAME)

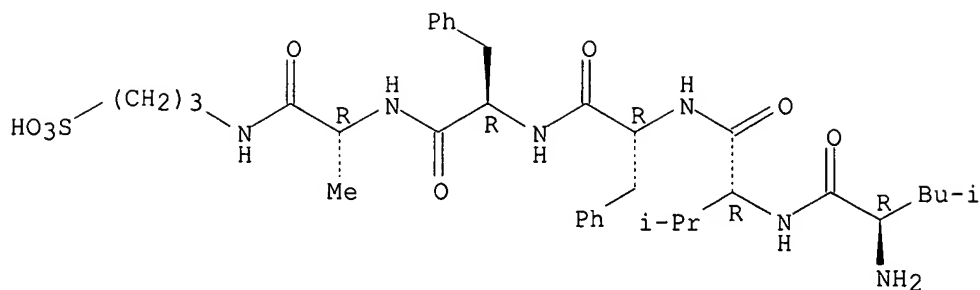
Absolute stereochemistry.



RN 342877-94-5 USPATFULL

CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-N-(3-sulfopropyl)- (9CI) (CA INDEX NAME)

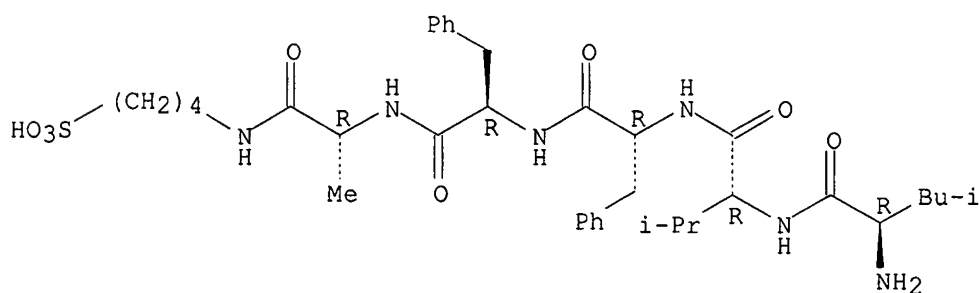
Absolute stereochemistry.



RN 342877-95-6 USPATFULL

CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-N-(4-sulfobutyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 10 OF 12 USPATFULL on STN

ACCESSION NUMBER: 2003:335319 USPATFULL

TITLE: Modulators of beta-amyloid peptide aggregation

INVENTOR(S): Findeis, Mark A., Cambridge, MA, UNITED STATES

Phillips, Kathryn, Boston, MA, UNITED STATES

Olson, Gary L., Mountainside, NJ, UNITED STATES

Self, Christopher, West Caldwell, NJ, UNITED STATES

PATENT ASSIGNEE(S): Praecis Pharmaceuticals Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003236197	A1	20031225
	US 6831066	B2	20041214
APPLICATION INFO.:	US 2003-395290	A1	20030324 (10)
RELATED APPLN. INFO.:	Continuation of Ser. No. US 2000-519019, filed on 3 Mar 2000, GRANTED, Pat. No. US 6610658		

	NUMBER	DATE
PRIORITY INFORMATION:	US 1999-122736P	19990304 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	LAHIVE & COCKFIELD, 28 STATE STREET, BOSTON, MA, 02109	
NUMBER OF CLAIMS:	14	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	2 Drawing Page(s)	
LINE COUNT:	2892	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds that modulate natural  $\beta$  amyloid peptide aggregation are provided. The modulators of the invention comprise a peptide, preferably

based on a  $\beta$  amyloid peptide, that is comprised entirely of D-amino acids. Preferably, the peptide comprises 3-5 D-amino acid residues and includes at least two D-amino acid residues independently selected from the group consisting of D-leucine, D-phenylalanine and D-valine. In a particularly preferred embodiment, the peptide is a retro-inverso isomer of a  $\beta$  amyloid peptide, preferably a retro-inverso isomer of A $\beta$ .sub.17-21. In certain embodiments, the peptide is modified at the amino-terminus, the carboxy-terminus, or both. Preferred amino-terminal modifying groups alkyl groups. Preferred carboxy-terminal modifying groups include an amide group, an acetate group, an alkyl amide group, an aryl amide group or a hydroxy group. Pharmaceutical compositions comprising the compounds of the invention, and diagnostic and treatment methods for amyloidogenic diseases using the compounds of the invention, are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

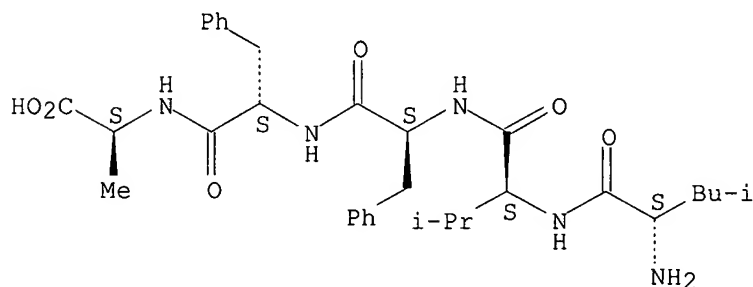
IT **182912-78-3**

(Unclaimed; modulators of beta-amyloid peptide aggregation comprising D-amino acids)

RN 182912-78-3 USPTAFULL

CN L-Alanine, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



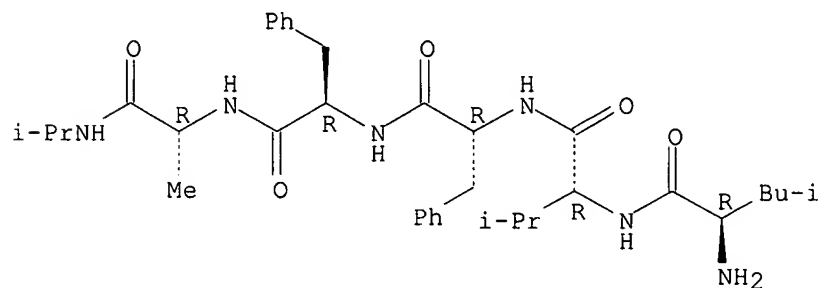
IT **290828-20-5 290828-21-6**

(modulators of  $\beta$ -amyloid peptide aggregation comprising D-amino acids)

RN 290828-20-5 USPTAFULL

CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

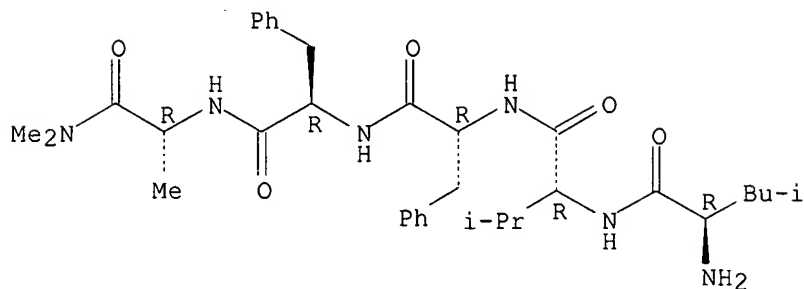
Absolute stereochemistry.



RN 290828-21-6 USPTAFULL

CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 11 OF 12 USPATFULL on STN

ACCESSION NUMBER: 2003:228314 USPATFULL

TITLE: Modulators of  $\mu$ -amyloid peptide aggregation

INVENTOR(S): Findeis, Mark A., Cambridge, MA, United States

Phillips, Kathryn, Boston, MA, United States

Olson, Gary L., Mountainside, NJ, United States

Self, Christopher, West Caldwell, NJ, United States

PATENT ASSIGNEE(S): Praecis Pharmaceuticals Inc., Waltham, MA, United States (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6610658	B1	20030826
APPLICATION INFO.:	US 2000-519019		20000303 (9)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 1999-122736P	19990304 (60)	<--
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	GRANTED		
PRIMARY EXAMINER:	Low, Christopher S. F.		
ASSISTANT EXAMINER:	Kam, Chih-Min		
LEGAL REPRESENTATIVE:	Lahive & Cockfield LLP, DeConti, Jr., Giulio A.		
NUMBER OF CLAIMS:	5		
EXEMPLARY CLAIM:	1		
NUMBER OF DRAWINGS:	2 Drawing Figure(s); 2 Drawing Page(s)		
LINE COUNT:	2884		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds that modulate natural  $\beta$  amyloid peptide aggregation are provided. The modulators of the invention comprise a peptide, preferably based on a  $\beta$  amyloid peptide, that is comprised entirely of D-amino acids. Preferably, the peptide comprises 3-5 D-amino acid residues and includes at least two D-amino acid residues independently selected from the group consisting of D-leucine, D-phenylalanine and D-valine. In a particularly preferred embodiment, the peptide is a retro-inverso isomer of a  $\beta$  amyloid peptide, preferably a retro-inverso isomer of A $\beta$ .sub.17-21. In certain embodiments, the peptide is modified at the: amino-terminus, carboxy-terminus, or both. Preferred amino-terminal modifying groups alkyl groups. Preferred carboxy-terminal modifying groups include an amide group, an acetate group, an alkyl amide group, an aryl amide group or a hydroxy group. Pharmaceutical compositions comprising the compounds of the invention, and diagnostic and treatment methods for amyloidogenic diseases using the compounds of the invention, are also disclosed.



CAS INDEXING IS AVAILABLE FOR THIS PATENT.

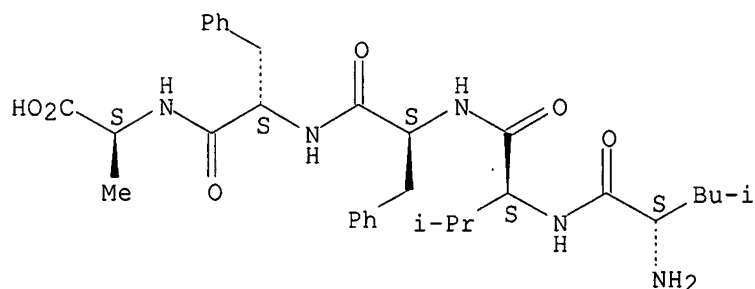
IT **182912-78-3**

(Unclaimed; modulators of beta-amyloid peptide aggregation comprising D-amino acids)

RN 182912-78-3 USPATFULL

CN L-Alanine, L-leucyl-L-valyl-L-phenylalanyl-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



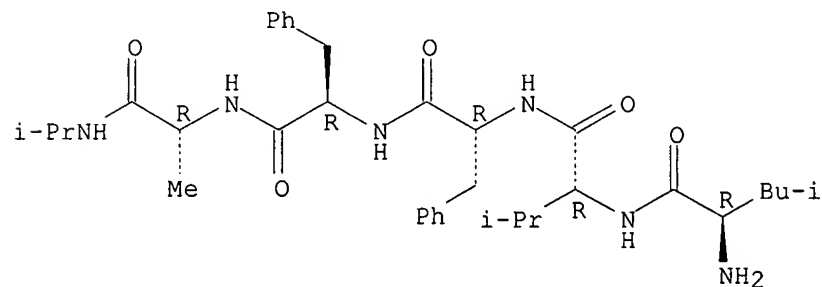
IT **290828-20-5 290828-21-6**

(modulators of  $\beta$ -amyloid peptide aggregation comprising D-amino acids)

RN 290828-20-5 USPATFULL

CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

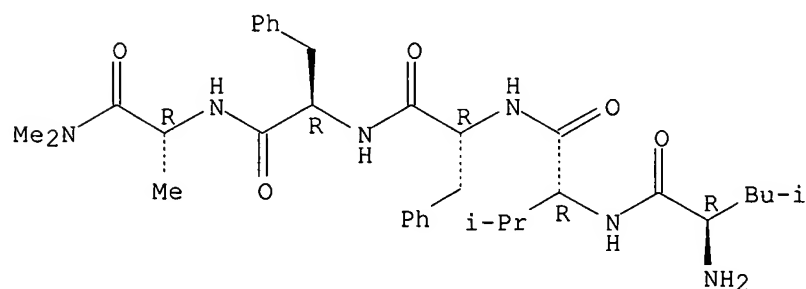
Absolute stereochemistry.



RN 290828-21-6 USPATFULL

CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 12 OF 12 USPTAFULL on STN  
 ACCESSION NUMBER: 2002:259378 USPTAFULL  
 TITLE: Methods for enhancing the bioavailability of a drug  
 INVENTOR(S): Hayward, Neil J., North Grafton, MA, UNITED STATES  
 Geffer, Malcolm L., Lincoln, MA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002142950	A1	20021003
APPLICATION INFO.:	US 2001-781133	A1	20010209 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-181833P	20000211 (60) <--
	US 2000-181943P	20000211 (60) <--
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	LAHIVE & COCKFIELD, 28 STATE STREET, BOSTON, MA, 02109	
NUMBER OF CLAIMS:	65	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	6 Drawing Page(s)	
LINE COUNT:	2566	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides methods and compositions for enhancing the bioavailability of a drug in a subject. The present invention also provides methods and compositions for treating or preventing hepatic injury in a subject in need thereof. The invention further provides methods for identifying hydrophobic peptides, e.g.,  $\beta$ -amyloid peptide derivatives, which are useful in enhancing bioavailability of a drug in a subject.

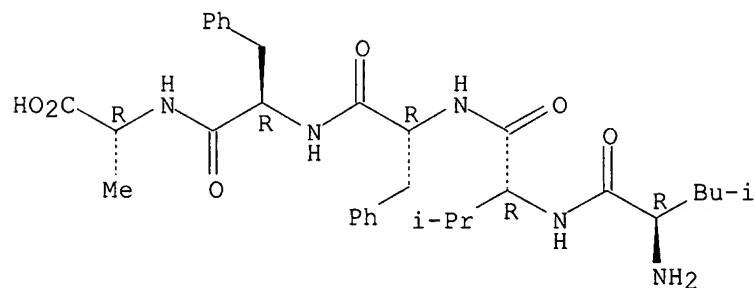
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 204333-52-8 204333-53-9 204334-00-9  
 (methods for enhancing drug bioavailability)

RN 204333-52-8 USPTAFULL

CN D-Alanine, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

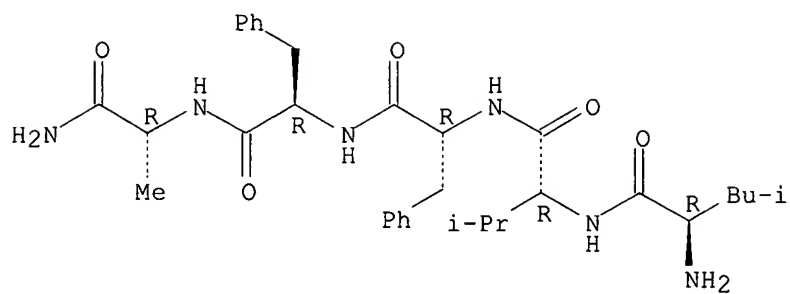
Absolute stereochemistry.



RN 204333-53-9 USPTAFULL

CN D-Alaninamide, D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 204334-00-9 USPATFULL

CN D-Alaninamide, N-(4-hydroxybenzoyl)-D-leucyl-D-valyl-D-phenylalanyl-D-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

